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Requester's Full Name: Thomas S. Heard Examiner #: 80541 Date: 7/27/09
 Art. #.: 1654 Phone Number: 2-2064 Serial Number: 101536242
 Location (Bldg/Room): REN3321 Mailbox #: REN3C18 Results Format Preferred (circle): PAPER DISK
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Title of Invention: See attached B/D Data Sheet

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Earliest Practice Date: See Attached Bib Data Sheet

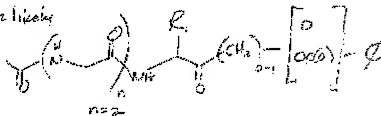
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USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

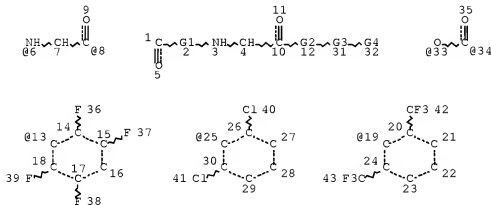
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DEFAULT MLEVEL IS ATOM
DEFAULT ELEVEL IS LIMITED

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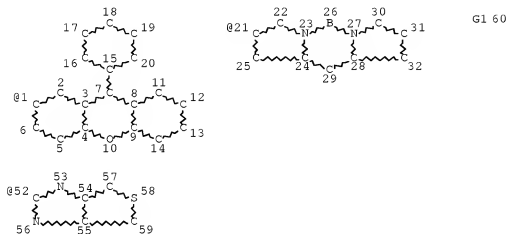
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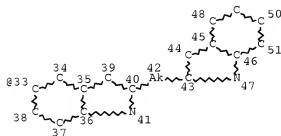
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L14 STR



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NUMBER OF NODES IS 60

STEREO ATTRIBUTES: NONE

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 L22 33 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L20 OR L21 OR L17

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L22 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2009 ACS ON STN
 ACCESSION NUMBER: 2008:352859 CAPLUS Full-text
 DOCUMENT NUMBER: 148:394354
 TITLE: Compositions and methods for treatment of viral
 diseases
 INVENTOR(S): Johansen, Lisa M.; Owens, Christopher M.; Mawhinney,
 Christina; Chappell, Todd W.; Brown, Alexander T.;
 Frank, Michael G.; Altmeyer, Ralf
 PATENT ASSIGNEE(S): Combinatorx (Singapore) Pre. Ltd., Singapore
 SOURCE: PCT Int. Appl., 237pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008033466	A2	20080320	WO 2007-US19932	20070913
WO 2008033466	A3	20081211		
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Based on the results of the authors screen identifying compds. and combinations of compds. having antiviral activity, the present invention features compns., methods, and kits useful in the treatment of viral diseases. In certain embodiments, the viral disease is caused by a single stranded RNA virus, a flaviviridae virus, or a hepatic virus. In particular embodiments, the viral disease is viral hepatitis (e.g., hepatitis A, hepatitis B, hepatitis C, hepatitis D, hepatitis E). Also featured are screening methods for identification of novel compds. that may be used to treat a viral disease.

CC 1-5 (Pharmacology)

IT 50-35-1, Thalidomide 50-41-9, Clomiphene citrate 50-55-5, Reserpine
 50-63-5, Chloroquine phosphate 50-91-9, Floxuridine 51-21-8,

Fluorouracil 51-24-1, Tiratricol 51-45-6, Histamine, biological studies 53-19-0, Mitotane 53-43-0, Dehydroepiandrosterone 53-60-1, Promazine hydrochloride 54-42-2, Idoxuridine 55-03-8, Levothyroxine sodium 55-86-7, Mechlorethamine hydrochloride 56-47-3, Desoxycorticosterone acetate 56-53-1, Diethylstilbestrol 56-92-8, Histamine dihydrochloride 58-18-4, Methyltestosterone 58-22-0, Testosterone 58-61-7D, Adenosine, carboxylic derivs. 60-56-0, MM I 61-12-1, Dibucaine hydrochloride 61-19-8D, Adenosine monophosphate, L HSA derivs. 61-82-5, Amitrole 63-25-2, Carbaryl 65-49-6, p-Aminosalicylic acid 66-81-9, Cycloheximide 67-92-5, Dicyclomine hydrochloride 68-19-9, Vitamin B12 68-88-2, Hydroxyzine 70-00-8, Trifluoridine 83-70-5, Vitamin K5 83-89-6, Quinacrine 84-17-3, Dienestrol 88-58-4, BHQ 94-09-7, Benzocaine 96-84-4, Iophenoxic acid 97-00-7, Dinitrochlorobenzene 97-77-8, Disulfiram 103-16-2, Monobenzene 113-52-0, Imipramine hydrochloride 118-42-3, Hydroxychloroquine 119-04-0D, Neomycin B, arginine conjugates 123-31-9, Hydroquinone, biological studies 123-77-3, Azodicarbonamide 126-07-8, Griseofulvin 127-07-1, Hydroxycarbamide 128-13-2, Ursodeoxycholic acid 128-62-1, Noscapine 129-46-4, Suramin sodium 132-17-2, Benztropine mesylate 132-69-4, Benzydamine hydrochloride 136-40-3, Phenazopyridine hydrochloride 137-26-8, Thiram 137-53-1, Dextrothyroxine sodium 146-56-5, Fluphenazine hydrochloride 147-94-4, Cytarabine 148-82-3, Melfalan 150-76-5, Mequinol 151-21-3, Sodium laurylsulfate, biological studies 152-43-2, Quinestrol 152-62-5, Dydrogesterone 303-45-7, Gossypol 314-13-6, Evans Blue 321-64-2, Tacrine 339-72-0, Levycloserine 362-07-2, 2-Methoxyestradiol 402-71-1 404-86-4, Capsaicin 440-17-5, Trifluoperazine hydrochloride 458-37-7, Curcumin 468-61-1, Oxeladin 481-49-2, Cepharanthine 517-89-5, Shikonin 518-28-5, Podophyllotoxin 521-78-8, Trimipramine maleate 524-12-9, Wedelolactone 538-03-4D, Arsenoxide, glutathione derivs. 548-04-9, Hypericin 562-09-4, Chlorphenoxamine hydrochloride 569-65-3, Mecizizine 616-91-1, Acetylcysteine 636-47-5, Stallimycin 637-58-1, Pramoxine hydrochloride 749-02-0, Spiperone 749-13-3, Trifluoperidol 751-94-0, Sodium fusidate 768-94-5, Amantadine 881-68-5, Vanillin acetate 909-13-7, Dihydrocostatolide 969-33-5, Cyproheptadine hydrochloride 1229-29-4, Doxepin hydrochloride 1244-76-4 1393-48-2, Thiostrepton 1405-86-3, Glycyrrhizin 1405-97-6, Gramicidin 1424-00-6, Mesterolone 1621-55-2 1910-68-5, Methisazone 2068-78-2, Vincristine sulfate 2140-72-9 2169-75-7, Deptropine citrate 2210-63-1, Mefebutazone 2391-56-2, 1,5-Bis(4-aminophenoxy)pentane 2413-38-9, Flupentixol dihydrochloride 2438-72-4, Bufexamac 2753-45-9, Mebeverine hydrochloride 3039-71-2, U18666A 3056-17-5, Stavudine 3093-35-4, Halcinonide 3254-89-5, Diphenidol hydrochloride 3416-05-5 3424-98-4, Telbivudine 3572-43-8, Bromhexine 3572-60-9, Amidinomyacin 3599-32-4, Indocyanine Green 3731-59-7, Moroxydine 4097-22-7, Dideoxyadenosine 4291-63-8, Cladribine 4991-65-5, Tioxolone 5154-02-9, 1,5-Isoquinolinediol 5398-51-6, NSC 4493 5466-77-3, Octyl Methoxycinnamate 5535-20-6, PD 0084430 5536-17-4, Vidarabine 5987-82-6, Benoxinate hydrochloride 6190-39-2, Dihydroergotamine mesylate 6485-39-8, Manganese gluconate 6493-05-6, Pentoxifylline 6873-13-8, Phellodendrine 7059-23-6, Methylglyoxal bis(guanyldihydrazone) dihydrochloride 7081-38-1, Oxyphenbutazone monohydrate 7083-71-8, Emetine dihydrochloride hydrate 7235-40-7, Beta-Carotene 7481-89-2, Zalcitabine 7481-89-2D, Zalcitabine, Phosphatidyl derivs. 7689-03-4, Camptothecin 8067-24-1, Ergoloid mesylates 8077-15-4, F 36 9001-63-2, Lysozyme 9005-25-8, XP 951, biological studies 9031-94-1, Aminopeptidase 9032-43-3, Cellulose sulfate 9036-19-5, Octoxynol 9 9042-14-2, Dextran sulfate 9050-67-3, Sizofiran 9054-89-1D, Superoxide dismutase, lecithinized 10083-24-6, Piceatannol 10212-25-6, Cyclocytidine hydrochloride 10347-81-6, Maprotiline hydrochloride

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 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(comps. and methods for treatment of viral diseases)

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 1015079-22-7 1015079-23-8 1015079-24-9 1015079-25-0 1015079-26-1
 1015079-27-2 1015079-28-3 1015079-29-4 1015079-30-7
 1015079-31-8 1015079-32-9 1015079-33-6
 1015079-34-1 1015079-35-2 1015079-36-3 1015079-37-4 1015079-38-5
 1015079-39-6 1015079-40-9 1015079-41-0 1015079-42-1 1015079-43-2
 1015079-44-3 1015079-45-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(comps. and methods for treatment of viral diseases)

IT 1015079-46-5 1015079-47-6 1015079-49-8 1015079-50-1 1015079-51-2
 1015079-52-3 1015079-53-4 1015079-54-5 1015079-55-6
 1015079-56-7 1015079-57-8 1015079-58-9 1015079-59-0 1015079-60-3
 1015079-61-4 1015079-62-5 1015079-63-6 1015079-64-7 1015079-65-8
 1015079-66-9 1015079-67-0 1015079-68-1 1015079-69-2 1015079-70-5
 1015079-71-6 1015079-72-7 1015079-73-8 1015079-74-9 1015079-75-0

1015079-76-1	1015079-77-2	1015079-78-3	1015079-79-4	1015079-80-7
1015079-81-8	1015079-82-9	1015079-83-0	1015079-84-1	1015079-85-2
1015079-86-3	1015079-87-4	1015079-88-5	1015079-89-6	1015079-90-9
1015079-91-0	1015079-92-1	1015079-93-2	1015079-94-3	1015079-95-4
1015079-96-5	1015079-97-6	1015079-98-7	1015079-99-8	1015080-00-8
1015080-01-9	1015080-02-0	1015080-03-1	1015080-04-2	1015080-05-3
1015080-06-4	1015080-07-5	1015080-08-6	1015080-09-7	1015080-10-0
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1015080-53-1	1015080-55-3	1015080-56-4	1015080-57-5	1015080-58-6
1015080-59-7	1015080-60-0	1015080-61-1		

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(comps. and methods for treatment of viral diseases)

IT 3599-32-4, Indocyanine Green 254750-02-2, IDN 6556

1015079-08-9 1015079-21-6 1015079-27-2

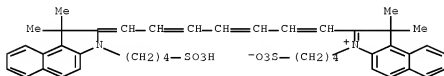
1015079-31-8 1015079-33-0 1015079-55-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(comps. and methods for treatment of viral diseases)

RN 3599-32-4 CAPLUS

CN 1H-Benz[e]indolium, 2-[7-[1,3-dihydro-1,1-dimethyl-3-(4-sulfo-
butyl)-2H-benz[e]indol-2-ylidene]-1,3,5-heptatrien-1-yl]-1,1-dimethyl-3-(4-
sulfo-4-butyl)-, inner salt, sodium salt (1:1) (CA INDEX NAME)

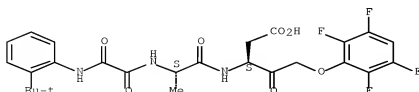


● Na

RN 254750-02-2 CAPLUS

CN L-Alaninamide, N-[2-(1,1-dimethylethyl)phenyl]-2-oxoglycyl-N-[(1S)-1-
(carboxymethyl)-2-oxo-3-(2,3,5,6-tetrafluorophenoxy)propyl]- (CA INDEX
NAME)

Absolute stereochemistry.



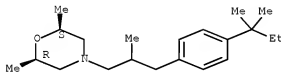
RN 1015079-08-9 CAPLUS
 CN 1H-Benz[e]indolium, 2-[7-[1,3-dihydro-1,1-dimethyl-3-(4-sulfobutyl)-2H-benz[e]indol-2-ylidene]-1,3,5-heptatrien-1-yl]-1,1-dimethyl-3-(4-sulfobutyl)-, inner salt, sodium salt (1:1), mixt. with rel- (2R,6S)-4-[3-[4-(1,1-dimethylpropyl)phenyl]-2-methylpropyl]-2,6-dimethylmorpholine (CA INDEX NAME)

CM 1

CRN 78613-35-1

CMF C21 H35 N O

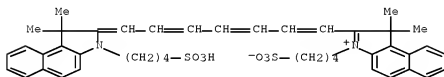
Relative stereochemistry.



CM 2

CRN 3599-32-4

CMF C43 H48 N2 O6 S2 . Na



● Na

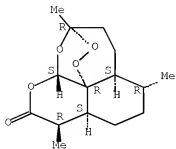
RN 1015079-21-6 CAPLUS
 CN 1H-Benz[e]indolium, 2-[7-[1,3-dihydro-1,1-dimethyl-3-(4-sulfobutyl)-2H-benz[e]indol-2-ylidene]-1,3,5-heptatrien-1-yl]-1,1-dimethyl-3-(4-sulfobutyl)-, inner salt, sodium salt (1:1), mixt. with (3R,5aS,6R,8aS,9R,12S,12aR)-octahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10(3H)-one (CA INDEX NAME)

CM 1

CRN 63968-64-9

CMF C15 H22 O5

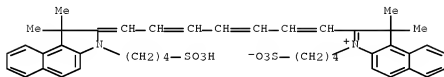
Absolute stereochemistry.



CM 2

CRN 3599-32-4

CMF C43 H48 N2 O6 S2 . Na



● Na

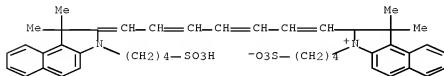
RN 1015079-27-2 CAPLUS

CN 1H-Benz[e]indolium, 2-[7-[1,3-dihydro-1,1-dimethyl-3-(4-sulfobutyl)-2H-benz[e]indol-2-ylidene]-1,3,5-heptatrien-1-yl]-1,1-dimethyl-3-(4-sulfobutyl)-, inner salt, sodium salt (1:1), mixt. with 4,4'-[1,5-pentanediy]bis(oxy)]bis[benzenamine] (CA INDEX NAME)

CM 1

CRN 3599-32-4

CMF C43 H48 N2 O6 S2 . Na

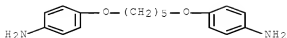


● Na

CM 2

CRN 2391-56-2

CMF C17 H22 N2 O2



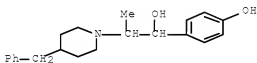
RN 1015079-31-8 CAPLUS

CN 1H-Benz[e]indolium, 2-[7-[1,3-dihydro-1,1-dimethyl-3-(4-sulfobutyl)-2H-benz[e]indol-2-ylidene]-1,3,5-heptatrien-1-yl]-1,1-dimethyl-3-(4-sulfobutyl)-, inner salt, sodium salt (1:1), mixt. with α -(4-hydroxyphenyl)- β -methyl-4-(phenylmethyl)-1-piperidineethanol (CA INDEX NAME)

CM 1

CRN 23210-56-2

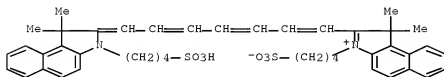
CMF C21 H27 N O2



CM 2

CRN 3599-32-4

CMF C43 H48 N2 O6 S2 . Na



● Na

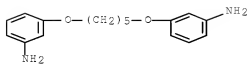
RN 1015079-33-0 CAPLUS

CN 1H-Benz[e]indolium, 2-[7-[1,3-dihydro-1,1-dimethyl-3-(4-sulfobutyl)-2H-benz[e]indol-2-ylidene]-1,3,5-heptatrien-1-yl]-1,1-dimethyl-3-(4-sulfobutyl)-, inner salt, sodium salt (1:1), mixt. with 3,3'-[1,5-pentanedibis(oxy)]bis[benzenamine] (CA INDEX NAME)

CM 1

CRN 109091-47-6

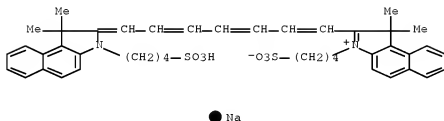
CMF C17 H22 N2 O2



CM 2

CRN 3599-32-4

CMF C43 H48 N2 O6 S2 . Na



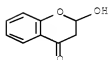
RN 1015079-55-6 CAPLUS

CN 1H-Benz[e]indolium, 2-[7-[1,3-dihydro-1,1-dimethyl-3-(4-sulfobutyl)-2H-benz[e]indol-2-ylidene]-1,3,5-heptatrien-1-yl]-1,1-dimethyl-3-(4-sulfobutyl)-, inner salt, sodium salt (1:1), mixt. with 2,3-dihydro-2-hydroxy-4H-1-benzopyran-4-one (CA INDEX NAME)

CM 1

CRN 57669-32-6

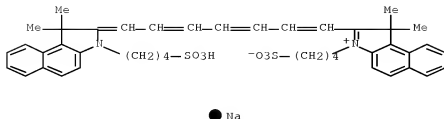
CMF C9 H8 O3



CM 2

CRN 3599-32-4

CMF C43 H48 N2 O6 S2 . Na



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L22 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2009 ACS ON STN
 ACCESSION NUMBER: 2006:1242827 CAPLUS Full-text
 DOCUMENT NUMBER: 146:28352
 TITLE: Water-soluble multi-biotin-containing compounds
 INVENTOR(S): Wilbur, D. Scott; Pathare, Pradip M.; Hamlin, Donald
 K.; Wan, Feng
 PATENT ASSIGNEE(S): University of Washington, USA
 SOURCE: U.S., 98pp., Cont.-in-part of U.S. Ser. No. 324,267,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 7141676	B1	20061128	US 2002-261040	20020930 <--
US 20060228325	A1	20061012	US 2006-435963	20060517 <--
US 20070071673	A1	20070329	US 2006-516419	20060906 <--
PRIORITY APPLN. INFO.:			US 1996-11321P	P 19960208 <--
			US 1997-798413	B2 19970207 <--
			US 1999-324267	B2 19990602 <--
			WO 1998-SE1345	A 19980707 <--
			WO 1999-SE1241	A 19990707 <--
			US 2000-750280	A1 20001229 <--
			US 2002-261040	A3 20020930 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Water-soluble discrete multi-biotin-containing compds. with ≥ 3 biotin moieties are disclosed. The water-soluble biotin-containing compds. may addnl. comprise ≥ 1 moieties that confer resistance to cleavage by biotinidase or that is cleavable in vitro or in vivo. The discrete multi-biotin-containing compds. may include a reactive moiety that provides a site for reaction with yet another moiety, such as a targeting, diagnostic or therapeutic functional moiety. Biotinylation reagents comprising water-soluble linker moieties are also disclosed and may addnl. comprise a biotinidase protective group. Methods for amplifying the number of sites for binding biotin-binding proteins at a selected target using multi-biotin compds. also are disclosed.

INCL 548303700; 424001650; 424-DIG.16; 424001110

CC 37-3 (Plastics Manufacture and Processing)

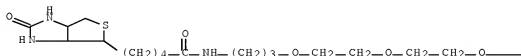
Section cross-reference(s): 9, 28, 63

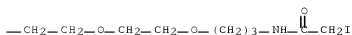
ST dendrimer biotin polyamino acid trifunctional aryl prepri

- IT Linking agents
(for biotin-containing compds.; synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
- IT Solid phase synthesis
(synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
- IT Dendrimers
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
- IT 1067645-78-6 1067645-87-7 1067646-19-8
1067649-88-0 1067650-61-6 1067650-72-9
1067653-39-7
RL: PRPH (Prophetic)
(Water-soluble multi-biotin-containing compounds)
- IT 915944-76-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(amidation; synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
- IT 127457-76-5P 915944-77-3P 915944-87-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(dendron coupling; synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
- IT 915944-75-1P 915944-79-5P 915944-83-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(hydrolysis; synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
- IT 915944-80-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reaction with hydrazine; synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
- IT 915944-81-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reaction with protected aminobenzoic acid; synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
- IT 915944-84-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reaction with thiophosgene; synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
- IT 186020-66-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reaction with tosyl chloride; synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
- IT 915944-74-0P 915944-86-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reduction; synthesis of water-soluble multi-biotin-containing compds.

- for use in targeting biotin-binding proteins)
- IT 194920-43-9P 194920-56-4P 194920-58-6P
194920-69-9P
- RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
- IT 58-85-5, Biotin 74-89-5, Methyl amine, reactions
98-59-9, Tosyl chloride 99-05-8, m-Aminobenzoic acid 112-27-6, Triethylene glycol 302-01-2, Hydrazine, reactions 463-71-8, Thiophosgene 929-59-9 1074-82-4, Potassium phthalimide 1663-39-4, tert-Butyl acrylate 4246-51-9 4422-95-1, 1,3,5-Benzenetricarbonyl trichloride 4480-83-5, 1,4-Dioxane-2,6-dione 34619-03-9, Di-tert-Butyl carbonate 55750-48-6, N-Methoxycarbonylmaaleimide 59085-15-3 125215-72-7D, dendrimers with biotins, polylysine, polyglutamic and polyaspartic acids 142685-25-4, 2,3,5,6-Tetrafluorophenyl trifluoroacetate 153086-78-3 915944-89-7
- RL: RCT (Reactant); RACT (Reactant or reagent)
- (synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
- IT 111331-82-9P 173341-32-7P 175885-18-4P
183896-00-6P 183896-02-8P 194920-44-0P
194920-57-5P 194920-62-2P 194920-63-3P
194920-66-6P 217817-01-1P 217817-03-3P 217817-04-4P
217817-06-6P, dendrimers with iodolabeledbenzoyl, polylysine, polyglutamic and polyaspartic acids 217817-06-6P
915944-73-9P 915944-78-4P 915944-82-0P
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
- IT 915944-85-3P 915944-88-6P
- RL: SPN (Synthetic preparation); PREP (Preparation)
- (synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
- IT 1067645-78-6 1067645-87-7 1067646-19-8
1067649-88-0 1067650-61-6 1067650-72-9
1067653-39-7
- RL: PRPH (Prophetic)
- (Water-soluble multi-biotin-containing compounds)
- RN 1067645-78-6 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

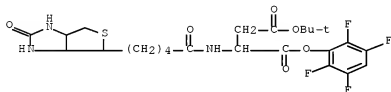
PAGE 1-A





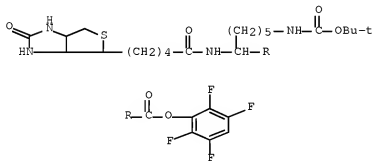
RN 1067645-87-7 CAPLUS

CN Aspartic acid, N-[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-oxopentyl]-, 4-(1,1-dimethylethyl) 1-(2,3,5,6-tetrafluorophenyl) ester (CA INDEX NAME)



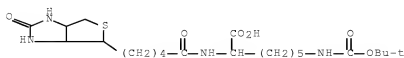
RN 1067646-19-8 CAPLUS

CN Heptanoic acid, 7-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-[[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-oxopentyl]amino]-, 2,3,5,6-tetrafluorophenyl ester (CA INDEX NAME)



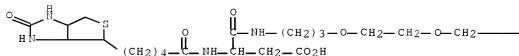
RN 1067649-88-0 CAPLUS

CN Heptanoic acid, 7-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-[[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-oxopentyl]amino]- (CA INDEX NAME)



RN 1067650-61-6 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

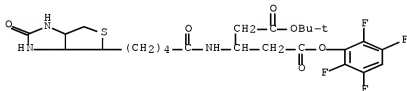
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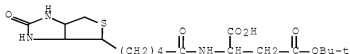
PAGE 1-B



RN 1067650-72-9 CAPLUS
 CN Pentanedioic acid, 3-[[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-oxopentyl]amino]-, 1-(1,1-dimethylethyl) 5-(2,3,5,6-tetrafluorophenyl) ester (CA INDEX NAME)



RN 1067653-39-7 CAPLUS
 CN Aspartic acid, N-[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-oxopentyl]-, 4-(1,1-dimethylethyl) ester (CA INDEX NAME)

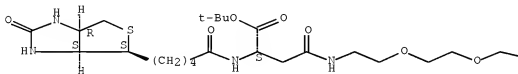


IT 915944-77-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (dendron coupling; synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)
 RN 915944-77-3 CAPLUS
 CN 8,11,25,28-Tetraoxa-5,14,22,31-tetraazapentatriacontanedioic acid,

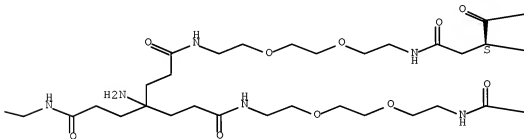
18-amino-18-[(16S)-16-[(1,1-dimethylethoxy)carbonyl]-22-[(3aS, 4S, 6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-3,14,18-trioxo-7,10-dioxo-4,13,17-triazadocos-1-yl]-2,34-bis[[5-[(3aS, 4S, 6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-4,15,21,32-tetraoxo-, 1,35-bis(1,1-dimethylethyl) ester, (2S,34S)- (CA INDEX NAME)

Absolute stereochemistry.

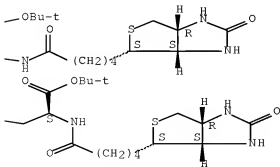
PAGE 1-A



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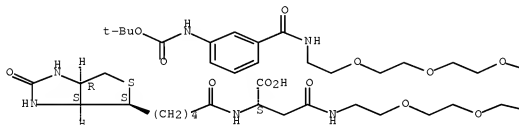
PAGE 1-C



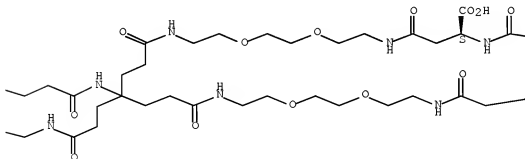
IT 915944-83-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (hydrolysis; synthesis of water-soluble multi-biotin-containing
 compds. for use in targeting biotin-binding proteins)
 RN 915944-83-1 CAPLUS
 CN 8,11,25,28-Tetraoxa-5,14,22,31-tetraazapentatriacontanedioic acid,
 18-[(16S)-16-carboxy-22-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-
 d]imidazol-4-yl]-3,14,18-trioxo-7,10-dioxo-4,13,17-triazadocos-1-yl]-18-
 [[14-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]-1,14-dioxo-4,7,10-
 trioxa-13-azatetradec-1-yl]amino]-2,34-bis[[5-[(3aS,4S,6aR)-hexahydro-2-
 oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-4,15,21,32-tetraoxo-
 , (2S,34S)- (CA INDEX NAME)

Absolute stereochemistry.

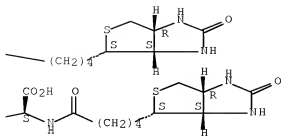
PAGE 1-A



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IT 915944-80-8P

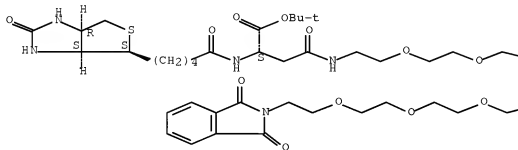
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(reaction with hydrazine; synthesis of water-soluble multi-biotin
-containing compds. for use in targeting biotin-binding proteins)

RN 915944-80-8 CAPLUS

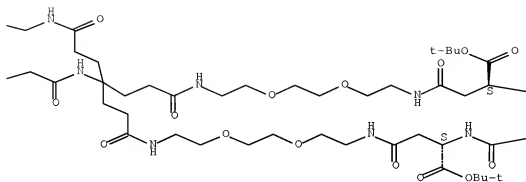
CN 8,11,25,28-Tetraoxa-5,14,22,31-tetraazapentatriacontanedioic acid,
18-[[13-[2-[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]ethoxy]ethoxy]-1-oxopropyl]amino]-18-[(16S)-16-[(1,1-dimethylethoxy)carbonyl]-22-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-3,14,18-trioxo-7,10-dioxo-4,13,17-triazadocos-1-yl]-2,34-bis[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-4,15,21,32-tetraoxo-, 1,35-bis(1,1-dimethylethyl) ester,
(2S,34S)- (CA INDEX NAME)

Absolute stereochemistry.

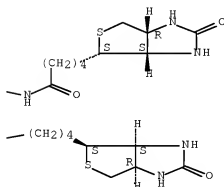
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IT 915944-81-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

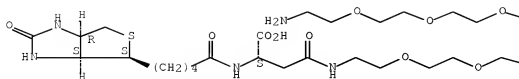
(reaction with protected aminobenzoic acid; synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)

RN 915944-81-9 CAPLUS

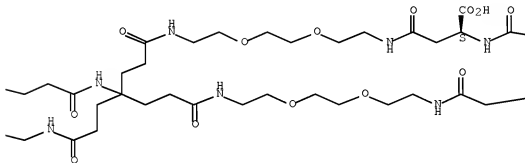
CN 8,11,25,28-Tetraoxa-5,14,22,31-tetraazapentatriacontanedioic acid, 18-[[3-[2-[2-(2-aminoethoxy)ethoxy]ethoxy]-1-oxopropyl]amino]-18-[(16S)-16-carboxy-22-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-3,14,18-trioxo-7,10-dioxo-4,13,17-triazadocos-1-yl]-2,34-bis[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-4,15,21,32-tetraoxo-, (2S,34S)- (CA INDEX NAME)

Absolute stereochemistry.

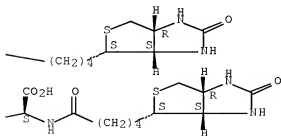
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IT 915944-84-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (reaction with thiophosgene; synthesis of water-soluble multi-
 biotin-containing compds. for use in targeting biotin)

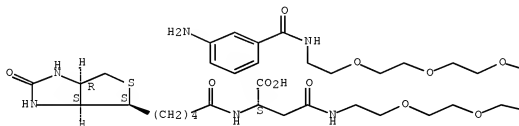
-binding proteins)

RN 915944-84-2 CAPLUS

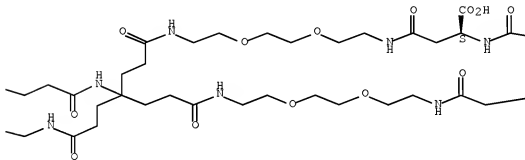
CN 8,11,25,28-Tetraoxa-5,14,22,31-tetraazapentatriacontanedioic acid,
 18-[[14-(3-aminophenyl)-1,14-dioxo-4,7,10-trioxa-13-azatetradec-1-
 yl]amino]-18-[(16S)-16-carboxy-22-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-
 thieno[3,4-d]imidazol-4-yl]-3,14,18-trioxo-7,10-dioxo-4,13,17-triazadocos-
 1-yl]-2,34-bis[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-
 yl]-1-oxopentyl]amino]-4,15,21,32-tetraoxo-, (2S,34S)- (CA INDEX NAME)

Absolute stereochemistry.

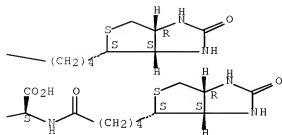
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IT 194920-43-9P 194920-56-4P 194920-58-6P

194920-69-9P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)

RN 194920-43-9 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanamide,
N-[3-[2-(3-aminopropoxy)ethoxy]ethoxy]propyl]hexahydro-2-oxo-,
(3aS,4S,6aR)-, trifluoroacetate (1:1) (CA INDEX NAME)

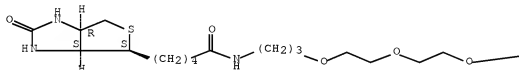
CM 1

CRN 183896-00-6

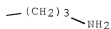
CMF C20 H38 N4 O5 S

Absolute stereochemistry.

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CM 2

CRN 76-05-1

CMF C2 H F3 O2

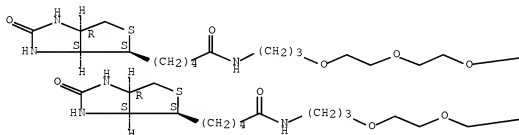


RN 194920-56-4 CAPLUS

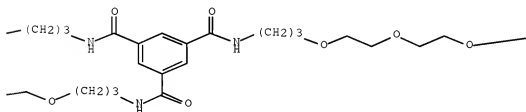
CN 1,3,5-Benzenetricarboxamide, N1,N3,N5-tris[19-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-15-oxo-4,7,10-trioxa-14-azanonadec-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

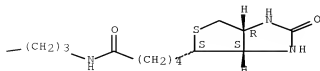
PAGE 1-A



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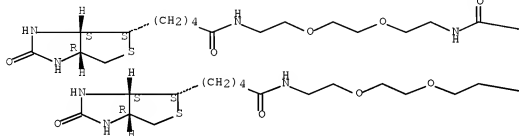


RN 194920-58-6 CAPLUS

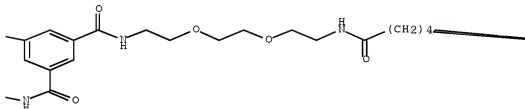
CN 1,3,5-Benzenetricarboxamide, N1,N3,N5-tris[2-[2-[2-[5-[(3aS,4S,6aR)-
hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-
oxopentyl]amino]ethoxy]ethoxy]ethyl)- (CA INDEX NAME)

Absolute stereochemistry.

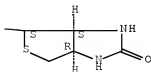
PAGE 1-A



PAGE 1-B



PAGE 1-C

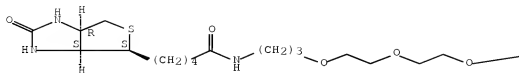


RN 194920-69-9 CAPLUS

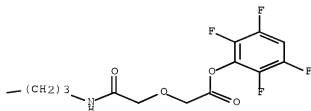
CN 3,10,13,16-Tetraoxa-6,20-diazapentacosanoic acid,
25-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-5,21-dioxo-
, 2,3,5,6-tetrafluorophenyl ester (CA INDEX NAME)

Absolute stereochemistry.

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IT 58-85-5, Biotin 915944-89-7

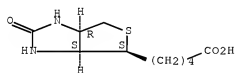
RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis of water-soluble multi-biotin-containing compds. for use in targeting biotin-binding proteins)

RN 58-85-5 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanoic acid, hexahydro-2-oxo-, (3aS,4S,6aR)- (CA INDEX NAME)

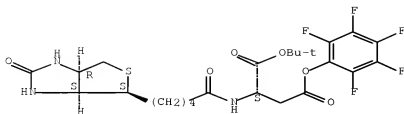
Absolute stereochemistry. Rotation (+).



RN 915944-89-7 CAPLUS

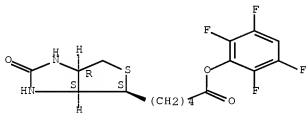
CN L-Aspartic acid, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 1-(1,1-dimethylethyl) 4-(2,3,4,5,6-pentafluorophenyl) ester (CA INDEX NAME)

Absolute stereochemistry.



IT 173341-32-7P 175885-18-4P 183896-00-6P
 183896-02-8P 194920-44-0P 194920-57-5P
 194920-63-3P 217817-04-4P 217817-06-6DP,
 dendrimers with iodolabeledbenzoyl, polylysine, polyglutamic and
 polyaspartic acids 217817-06-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (synthesis of water-soluble multi-biotin-containing compds. for use
 in targeting biotin-binding proteins)
 RN 173341-32-7 CAPLUS
 CN 1H-Thieno[3,4-d]imidazole-4-pentanoic acid, hexahydro-2-oxo-,
 2,3,5,6-tetrafluorophenyl ester, (3aS,4S,6aR)- (CA INDEX NAME)

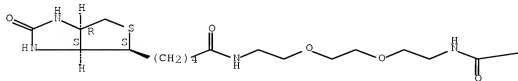
Absolute stereochemistry.



RN 175885-18-4 CAPLUS
 CN 5,8-Dioxa-2,11-diazahehexadecanoic acid,
 16-((3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-12-oxo-,
 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

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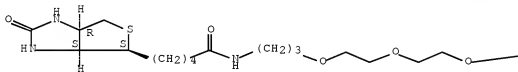
— OBU-t

RN 183896-00-6 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanamide,
 N-[3-[2-[2-(3-aminopropoxy)ethoxy]ethoxy]propyl]hexahydro-2-oxo-,
 (3aS,4S,6aR)- (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B

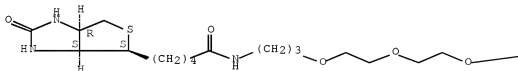
— (CH₂)₃—NH₂

RN 183896-02-8 CAPLUS

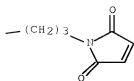
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide,
 N-[3-[2-[2-[3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)propoxy]ethoxy]ethoxy]propyl]hexahydro-2-oxo-, (3aS,4S,6aR)- (CA INDEX NAME)

Absolute stereochemistry.

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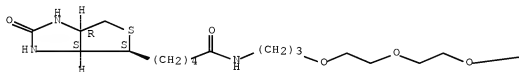


RN 194920-44-0 CAPLUS

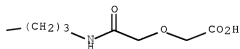
CN 3,10,13,16-Tetraoxa-6,20-diazapentacosanoic acid,
25-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-5,21-dioxo-
(CA INDEX NAME)

Absolute stereochemistry.

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RN 194920-57-5 CAPLUS

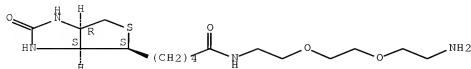
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide,
N-[2-[2-(2-aminoethoxy)ethoxy]ethyl]hexahydro-2-oxo-,
2,2,2-trifluoroacetate (1:1), (3aS,4S,6aR)- (CA INDEX NAME)

CM 1

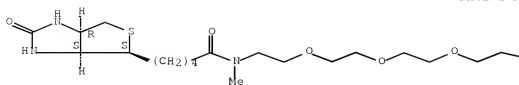
CRN 138529-46-1

CMF C16 H30 N4 O4 S

Absolute stereochemistry. Rotation (+).



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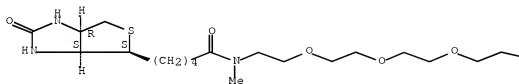


RN 217817-06-6 CAPLUS

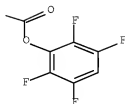
CN 4,7,10-Trioxa-13-azaoctadecanoic acid,
18-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-13-methyl-
14-oxo-, 2,3,5,6-tetrafluorophenyl ester (CA INDEX NAME)

Absolute stereochemistry.

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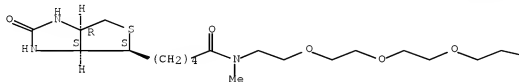
PAGE 1-B



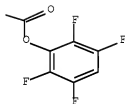
RN	217817-06-6	CAPLUS
CN	4,7,10-Trioxa-13-azaoctadecanoic acid, 18-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-13-methyl- 14-oxo-, 2,3,5,6-tetrafluorophenyl ester (CA INDEX NAME)	

Absolute stereochemistry.

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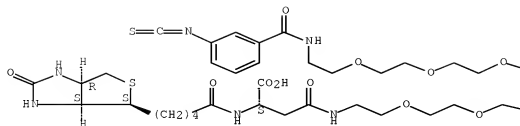


IT 915944-85-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of water-soluble multi-biotin-containing compds. for use
in targeting biotin-binding proteins)

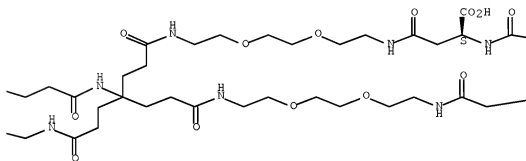
RN	915944-85-3	CAPLUS
CN	8,11,25,28-Tetraoxa-5,14,22,31-tetraazapentatriacontanedioic acid, 18-[(16Z)-16-carboxy-22-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-3,14,18-trioxo-7,10-dioxo-4,13,17-triazadocetyl-yl]-2,34-bis[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-18-[[14-(3-isothiocyanatophenyl)-1,14-dioxo-4,7,10-trioxo-13-azatetradec-1-yl]amino]-4,15,21,32-tetraoxo-, (2S,34S)-	(CA INDEX NAME)

Absolute stereochemistry.

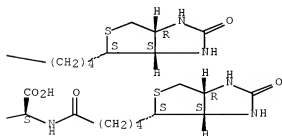
PAGE 1-A



PAGE 1-B



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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2006:1229079 CAPLUS Full-text

DOCUMENT NUMBER: 146:742
 TITLE: HMGB1 and caspase inhibitors in combination therapy compositions for treatment of diseases involving inflammatory cytokine cascade
 INVENTOR(S): Tracey, Kevin J.; Yang, Huan
 PATENT ASSIGNEE(S): The Feinstein Institute for Medical Research, USA
 SOURCE: PCT Int. Appl., 158 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006124477	A2	20061123	WO 2006-US18152	20060511
WO 2006124477	A3	20070510		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA EP 1907003 A2 20080409 EP 2006-770191 20060511 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR PRIORITY APPLN. INFO.: US 2005-680975P P 20050513 WO 2006-US18152 W 20060511				

OTHER SOURCE(S): MARPAT 146:742

AB Comps. and methods are disclosed for treating a condition characterized by activation of an inflammatory cytokine cascade in a patient. The comps. comprise an agent that inhibits HMGB1 (high mobility group box chromosomal protein 1) biol. activity and a caspase inhibitor. The methods comprise treating a cell or a patient with sufficient amts. of the composition to inhibit the release of proinflammatory cytokine(s) and/or inhibit the inflammatory cytokine cascade.

IC ICM A61K

CC 1-7 (Pharmacology)

IT 50-36-2D, Cocaine, quaternary analogs of 51-83-2, Carbachol 51-84-3, Acetylcholine, biological studies 54-11-5, Nicotine 63-75-2, Arecoline 357-70-0, Galantamine 6270-63-9D, 2(1H)-Pyrazinone, derivs. 6363-82-2, Muscarine 14769-73-4, Levamisole 54135-60-3, 2,2'-Methylenebis(1,3-cyclohexanedione) 107233-08-9, Cevimeline 153088-73-4 156223-05-1, DMXB-A 156743-78-1 156743-79-2 156743-85-0 187389-52-2 192588-76-4, FLICE-inhibitory protein 220509-74-0 248270-40-8 248270-41-9 248270-43-1 248270-44-2 248270-45-3 294860-01-8, M 791 306771-08-4 325830-21-5, M 920 373358-00-0 915223-06-2 915223-07-3 915399-47-2, BD ApoBlock Caspase Inhibitor

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (HMGB1 and caspase inhibitors in combination therapy comps. for treatment of diseases involving inflammatory cytokine cascade)

IT 153088-73-4 306771-08-4

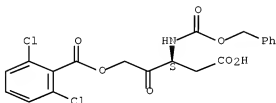
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(HMGB1 and caspase inhibitors in combination therapy compns. for treatment of diseases involving inflammatory cytokine cascade)

RN 153088-73-4 CAPLUS

CN Benzoic acid, 2,6-dichloro-, (3S)-4-carboxy-2-oxo-3-[[(phenylmethoxy)carbonyl]amino]butyl ester (CA INDEX NAME)

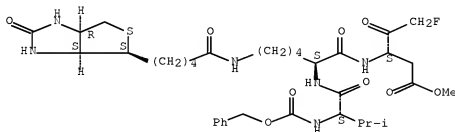
Absolute stereochemistry.



RN 306771-08-4 CAPLUS

CN L-Lysinamide, N-[(phenylmethoxy)carbonyl]-L-valyl-N-[(1S)-3-fluoro-1-(2-methoxy-2-oxoethyl)-2-oxopropyl]-N6-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L22 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2009 ACS ON STN

ACCESSION NUMBER: 2006:342052 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 145:42184

TITLE: Extension of the Single Amino Acid Chelate Concept (SAAC) to Bifunctional Biotin Analogues for Complexation of the M(CO)3+1 Core (M = Tc and Re): Syntheses, Characterization, Biotinidase Stability, and Avidin Binding

AUTHOR(S): James, Shelly; Maresca, Kevin P.; Allis, Damian G.; Valliant, John F.; Eckelman, William; Babich, John W.; Zubieta, Jon

CORPORATE SOURCE: Department of Chemistry, Syracuse University, Syracuse, NY, 13244, USA

SOURCE: Bioconjugate Chemistry (2006), 17(3), 579-589

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

- AB Biotin and avidin form one of the most stable complexes known (KD = 10-15 M-1) making this pairing attractive for a variety of biomedical applications including targeted radiotherapy. In this application, one of the pair is attached to a targeting mol., while the other is subsequently used to deliver a radionuclide for imaging and/or therapeutic applications. Recently, we reported a new single amino acid chelate (SAAC) capable of forming stable complexes with Tc(CO)3 or Re(CO)3 cores. We describe here the application of SAAC analogs for the development of a series of novel radiolabeled biotin derivs. capable of forming robust complexes with both Tc and Re. Compsds. were prepared through varying modification of the free carboxylic acid group of biotin. Each 99mTc complex of SAAC-biotin was studied for their ability to bind avidin, susceptibility to biotinidase, and specificity for avidin in an in vivo avidin-containing tumor model. The radiochem. stability of the 99mTc(CO)3 complexes was also investigated by challenging each 99mTc-complex with large molar excesses of cysteine and histidine at elevated temperature. All compds. were radiochem. stable for greater than 24 h at elevated temperature in the presence of histidine and cysteine. Both [99mTc(CO)3(L6)]+1 [TcL6; L6 = biotinylamidopropyl-N,N-(dipicolyl)amine] and [99mTc(CO)3(L12a)]+1 [TcL12; L12 = N,N-(dipicolyl)biotinamido-Boc-lysine; TcL12a; L12a = N,N-(dipicolyl)biotinamide-lysine] readily bound to avidin whereas [99mTc(CO)3(L9)]+1 [TcL9; L9 = N,N-(dipicolyl)biotinamine] demonstrated minimal specific binding. TcL6 and TcL9 were resistant to biotinidase cleavage, while TcL12a, which contains a lysine linkage, was rapidly cleaved. The highest uptake in an in vivo avidin tumor model was exhibited by TcL6, followed by TcL9 and TcL12a, resp. This is likely the result of both intact binding to avidin and resistance to circulating biotinidase. Ligand L6 is the first SAAC analog of biotin to demonstrate potential as a radiolabeled targeting vector of biotin capable of forming robust radiochem. complexes with both 99mTc and rhenium radionuclides. Computational simulations were performed to assess biotin-derivative accommodation within the binding site of the avidin. These calcs. predict that deformation of the surface domain of the binding pocket can occur to accommodate the transition metal-biotin derivs. with negligible changes to the inner-β-barrel, the region most responsible for binding and retaining biotin and its derivs. The biol. activity and biodistribution of the technetium complexes TcL6, TcL9, and TcL12a were examined in an avidin tumor model. In the avidin bead tumor localization model, TcL6 demonstrated the most favorable localization with a 7:1 ratio of avidin bead implanted muscle vs. normal muscle, while TcL9 exhibited a 2:1 ratio. However, TcL9 displayed no specificity for avidin.
- CC 8-9 (Radiation Biochemistry)
- Section cross-reference(s): 29
- IT 7440-15-SDP, Rhenium, biotin analog complexes 378784-45-3DP, biotin analog complexes, biological studies 889886-85-5DP, complexes with rhenium and technetium-99m 889886-86-6DP, complexes with rhenium and technetium-99m 889886-88-8DP, complexes with rhenium and technetium-99m
- RL: PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (single amino acid chelate bifunctional biotin analogs complexation of Tc and Re core: preparation, stability, and avidin binding)
- IT 5460-29-7 6929-42-6 23288-60-0 25908-22-9 26445-06-7, Pyridinecarboxaldehyde 29227-68-7, Dipicolylamine 53906-36-8, Biotinol 62062-43-5 135242-89-6 142685-25-4 173341-32-7 828915-71-5 889886-87-7
- RL: RCT (Reactant); RACT (Reactant or reagent)
- (single amino acid chelate bifunctional biotin analogs complexation of Tc and Re core: preparation, stability, and avidin binding)
- IT 163932-31-8P 199117-05-0P 199117-06-1P 889886-85-5P

889886-86-6P 889886-88-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(single amino acid chelate bifunctional biotin analogs complexation of Tc and Re core: preparation, stability, and avidin binding)

IT 889886-85-5DF, complexes with rhenium and technetium-99m

889886-86-6DF, complexes with rhenium and technetium-99m

889886-88-8DF, complexes with rhenium and technetium-99m

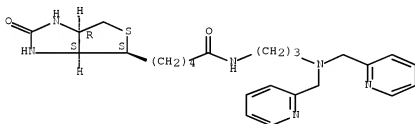
RL: PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(single amino acid chelate bifunctional biotin analogs complexation of Tc and Re core: preparation, stability, and avidin binding)

RN 889886-85-5 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanamide,
N-[3-[bis(2-pyridinylmethyl)amino]propyl]hexahydro-2-oxo-, (3aS,4S,6aR)-
(CA INDEX NAME)

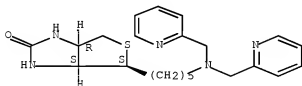
Absolute stereochemistry.



RN 889886-86-6 CAPLUS

CN 1H-Thieno[3,4-d]imidazol-2(3H)-one,
4-[5-[bis(2-pyridinylmethyl)amino]pentyl]tetrahydro-, (3aS,4S,6aR)- (CA
INDEX NAME)

Absolute stereochemistry.

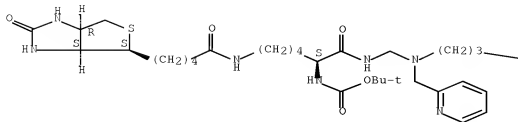


RN 889886-88-8 CAPLUS

CN Carbamic acid, [(1S)-5-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-[[[(2-pyridinylmethyl)[3-(2-pyridinyl)propyl]amino]methyl]amino]carbonyl]pentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

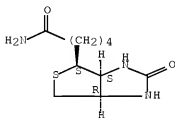


PAGE 1-B



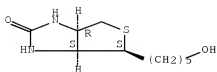
IT 6929-42-6 53906-36-8, Biotinol 62062-43-5
 135242-89-6 173341-32-7 889886-87-7
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (single amino acid chelate bifunctional biotin analogs complexation of
 Tc and Re core: preparation, stability, and avidin binding)
 RN 6929-42-6 CAPLUS
 CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, hexahydro-2-oxo-, (3aS,4S,6aR)-
 (CA INDEX NAME)

Absolute stereochemistry.



RN 53906-36-8 CAPLUS
 CN 1H-Thieno[3,4-d]imidazol-2(3H)-one, tetrahydro-4-(5-hydroxypentyl)-,
 (3aS,4S,6aR)- (CA INDEX NAME)

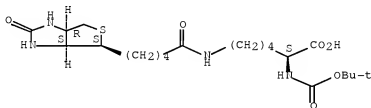
Absolute stereochemistry. Rotation (+).



RN 62062-43-5 CAPLUS

CN L-Lysine, N2-[(1,1-dimethylethoxy)carbonyl]-N6-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]- (CA INDEX NAME)

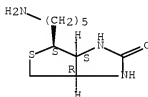
Absolute stereochemistry.



RN 135242-89-6 CAPLUS

CN 1H-Thieno[3,4-d]imidazol-2(3H)-one, 4-(5-aminopentyl)tetrahydro-, (3aS,4S,6aR)- (CA INDEX NAME)

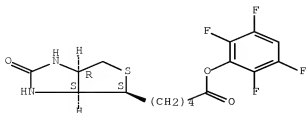
Absolute stereochemistry.



RN 173341-32-7 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanoic acid, hexahydro-2-oxo-, 2,3,5,6-tetrafluorophenyl ester, (3aS,4S,6aR)- (CA INDEX NAME)

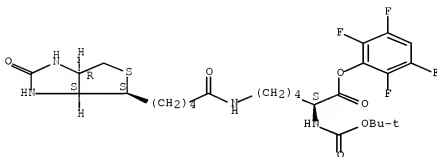
Absolute stereochemistry.



RN 889886-87-7 CAPLUS

CN L-Lysine, N2-[(1,1-dimethylethoxy)carbonyl]-N6-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 2,3,5,6-tetrafluorophenyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 889886-85-5P 889886-86-6P 889886-88-8P

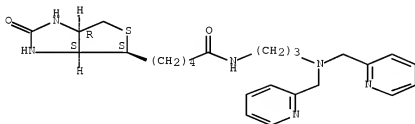
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(single amino acid chelate bifunctional biotin analogs complexation of Tc and Re core: preparation, stability, and avidin binding)

RN 889886-85-5 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, N-[3-[bis(2-pyridinylmethyl)amino]propyl]hexahydro-2-oxo-, (3aS,4S,6aR)- (CA INDEX NAME)

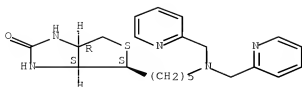
Absolute stereochemistry.



RN 889886-86-6 CAPLUS

CN 1H-Thieno[3,4-d]imidazol-2(3H)-one, 4-[5-[bis(2-pyridinylmethyl)amino]pentyl]tetrahydro-, (3aS,4S,6aR)- (CA INDEX NAME)

Absolute stereochemistry.

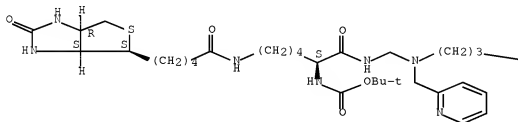


RN 889886-88-8 CAPLUS

CN	Carbamic acid, [(1S)-5-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-[[[(2-pyridinylmethyl)[3-(2-pyridinyl)propyl]amino)methyl]amino]carbonyl]pentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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OS.CITING REF COUNT:      12  THERE ARE 12 CAPLUS RECORDS THAT CITE THIS
                             RECORD (12 CITINGS)
REFERENCE COUNT:          71  THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS
                             RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L22 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:333680 CAPLUS Full-text
DOCUMENT NUMBER: 140:357669
TITLE: Preparation of peptidyl activity-based probes for
catalytically-active enzymes
INVENTOR(S): Winn, David; Campbell, David Alan
PATENT ASSIGNEE(S): Activx Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004033397	A2	20040422	WO 2003-US32152	20031008 <--
WO 2004033397	A3	20060727		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2501831	A1	20040422	CA 2003-2501831	20031008 <--
AU 2003282575	A1	20040504	AU 2003-282575	20031008 <--
EP 1583726	A2	20051012	EP 2003-774762	20031008 <--
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JP 2006514824	T	20060518	JP 2004-543659	20031008 <--
US 20070141624	A1	20070621	US 2006-530646	20060111 <--
PRIORITY APPLN. INFO.:			US 2002-417664P	P 20021009 <--
			WO 2003-US32152	W 20031008

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:357669

AB The invention provides compns. and methods for assessing profiles of catalytically-active enzymes (e.g., a hydrolase, most preferably a cysteine protease) in compns. containing a plurality of proteins. The methods use activity-based probes (ABPs) that have an affinity moiety for directing the binding of the ABP to one or more catalytically-active target enzymes, a reactive group for forming a covalent bond at an active site of the target enzyme(s), and a TAG (e.g., a detectable label, preferably a fluorophore). ABPs TAG-L-CO(NHCHR2CO)nNHCHR1-RG [R1, R2 are H, alkyl optionally containing 1-3 heteroatoms N, O, or S, alkylaryl, -heteroaryl, or -phenyl; RG is a reactive group that reacts to form a covalent bond with a catalytically-active target enzyme; L is optionally present and is an alkyl or heteroalkyl group of 1-20 backbone atoms selected from NR, O, S or CR2, where R is H or alkyl; n is 1-4] or pharmaceutically-acceptable salts or complexes are claimed. One or more ABPs may be combined with a protein-containing sample under conditions for binding and reaction of the ABP(s) with target enzyme(s) that are present in the sample. The resulting products may then be used to assess the active enzyme profile of the sample and can be correlated to the presence, amount, or activity of one or more target enzyme(s) present in the original complex protein mixture. An example describes the synthesis of ANP TAMRA-NH(CH2)10CO-L-Asp-CH2OC6HF4-2,3,5,6, where TAMRA is a rhodamine dye.

IC ICM C07C

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 7, 9

ST peptide fluorescent prepn activity based probe enzyme protein

IT Diagnosis

Fluorescent indicators

(preparation of peptidyl activity-based probes for catalytically-active enzymes)

IT	681812-81-7P	681812-82-8P	681812-83-9P
	681812-84-0P	681812-85-1P	681812-86-2P
	681812-87-3P	681812-88-4P	681812-89-5P

RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(preparation of peptidyl activity-based probes for catalytically-active enzymes)

IT 769-39-1, 2 3 5 6 Tetrafluorophenol 5545-52-8 10436-25-6
118253-03-5 246256-50-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of peptidyl activity-based probes for catalytically-active enzymes)

IT 153088-76-7P 254750-84-0P 254751-09-2P 254751-10-5P
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681447-89-2P

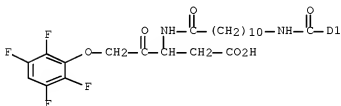
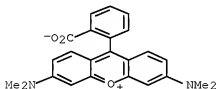
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptidyl activity-based probes for catalytically-active enzymes)

IT 681812-81-7P 681812-82-8P 681812-83-9P
681812-84-0P 681812-85-1P 681812-86-2P
681812-87-3P 681812-88-4P 681812-89-5P

RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(preparation of peptidyl activity-based probes for catalytically-active enzymes)

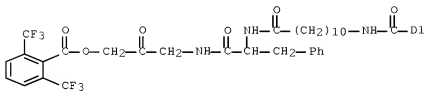
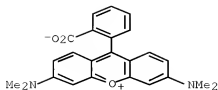
RN 681812-81-7 CAPLUS

CN Xanthylium, 9-[2-carboxy-4(or 5)-[[[11-[(1S)-1-(carboxymethyl)-2-oxo-3-(2,3,5,6-tetrafluorophenoxy)propyl]amino]-11-oxoundecyl]amino]carbonyl]phenyl]-3,6-bis(dimethylamino)-, inner salt (9CI) (CA INDEX NAME)



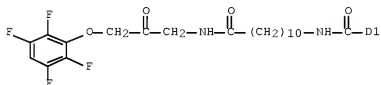
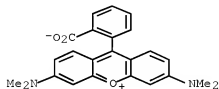
RN 681812-82-8 CAPLUS

CN Xanthylium, 9-[4(or 5)-[(1S)-22-[2,6-bis(trifluoromethyl)phenyl]-1,13,16,19,22-penta-oxo-15-(phenylmethyl)-21-oxa-2,14,17-triazadocos-1-yl]-2-carboxyphenyl]-3,6-bis(dimethylamino)-, inner salt (9CI) (CA INDEX NAME)



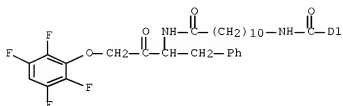
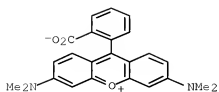
RN 681812-83-9 CAPLUS

CN Xanthylum, 9-[2-carboxy-4 (or 5)-[[[11-oxo-11-[[2-oxo-3-(2,3,5,6-tetrafluorophenoxy)propyl]amino]undecyl]amino]carbonyl]phenyl]-3,6-bis(dimethylamino)-, inner salt (9CI) (CA INDEX NAME)



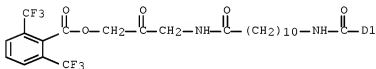
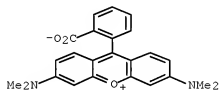
RN 681812-84-0 CAPLUS

CN Xanthylum, 9-[2-carboxy-4 (or 5)-[[[11-oxo-11-[[[(1S)-2-oxo-1-(phenylmethyl)-3-(2,3,5,6-tetrafluorophenoxy)propyl]amino]undecyl]amino]carbonyl]phenyl]-3,6-bis(dimethylamino)-, inner salt (9CI) (CA INDEX NAME)



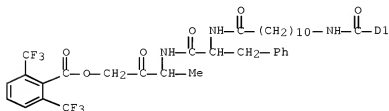
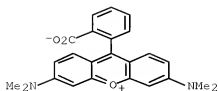
RN 681812-85-1 CAPLUS

CN Xanthylum, 9-[4(or 5)-[[(11-[3-[2,6-bis(trifluoromethyl)benzoyl]oxy]-2-oxopropyl]amino]-11-oxoundecyl]amino]carbonyl]-2-carboxyphenyl]-3,6-bis(dimethylamino)-, inner salt (9CI) (CA INDEX NAME)



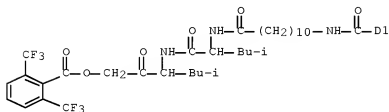
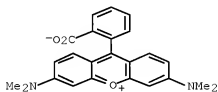
RN 681812-86-2 CAPLUS

CN Xanthylum, 9-[4(or 5)-[(15S,18S)-22-[2,6-bis(trifluoromethyl)phenyl]-18-methyl-1,13,16,19,22-pentaoxo-15-(phenylmethyl)-21-oxa-2,14,17-triazadocos-1-yl]-2-carboxyphenyl]-3,6-bis(dimethylamino)-, inner salt (9CI) (CA INDEX NAME)



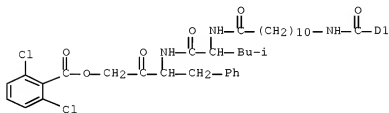
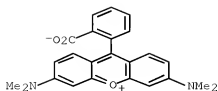
RN 681812-87-3 CAPLUS

CN Xanthylum, 9-[4(or 5)-[(15S,18S)-22-[2,6-bis(trifluoromethyl)phenyl]-15,18-bis(2-methylpropyl)-1,13,16,19,22-pentaoso-21-oxa-2,14,17-triazadocos-1-yl]-2-carboxyphenyl]-3,6-bis(dimethylamino)-, inner salt (9CI) (CA INDEX NAME)



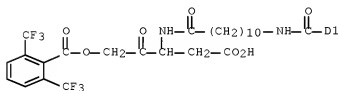
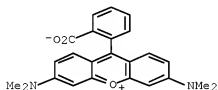
RN 681812-88-4 CAPLUS

CN Xanthylum, 9-[2-carboxy-4(or 5)-[(15S,18S)-22-(2,6-dichlorophenyl)-15-(2-methylpropyl)-1,13,16,19,22-pentaoso-18-(phenylmethyl)-21-oxa-2,14,17-triazadocos-1-yl]phenyl]-3,6-bis(dimethylamino)-, inner salt (9CI) (CA INDEX NAME)



RN 681812-89-5 CAPLUS

CN Xanthylum, 9-[4(or 5)-[[[11-[[[(1S)-3-[[2,6-bis(trifluoromethyl)benzoyl]oxy]-1-(carboxymethyl)-2-oxopropyl]amino]-11-oxoundecyl]amino]carbonyl]-2-carboxyphenyl]-3,6-bis(dimethylamino)-, inner salt (9CI) (CA INDEX NAME)



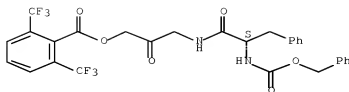
IT 118253-03-5 246256-50-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of peptidyl activity-based probes for catalytically-active enzymes)

RN 118253-03-5 CAPLUS

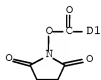
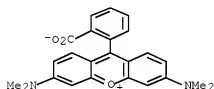
CN Benzoic acid, 2,6-bis(trifluoromethyl)-, 2-oxo-3-[[[(2S)-1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]propyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 246256-50-8 CAPLUS

CN Xanthylium, 9-[2-carboxy-4(or 5)-[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]phenyl]-3,6-bis(dimethylamino)-, inner salt (CA INDEX NAME)



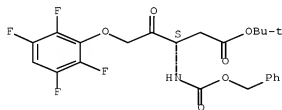
IT 254751-09-2P 681447-86-9P 681447-88-1P
681447-89-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptidyl activity-based probes for catalytically-active enzymes)

RN 254751-09-2 CAPLUS

CN Pentanoic acid, 4-oxo-3-[[(phenylmethoxy)carbonyl]amino]-5-(2,3,5,6-tetrafluorophenoxy)-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

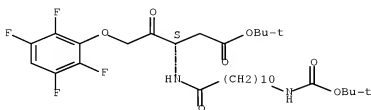


RN 681447-86-9 CAPLUS

CN Pentanoic acid, 3-[[[1-[[(1,1-dimethylethoxy)carbonyl]amino]-1-

oxoundecylamino]-4-oxo-5-(2,3,5,6-tetrafluorophenoxy)-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 681447-88-1 CAPLUS

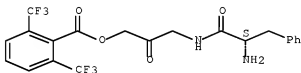
CN Benzoic acid, 2,6-bis(trifluoromethyl)-, 3-[[[(2S)-2-amino-1-oxo-3-phenylpropyl]amino]-2-oxopropyl ester, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 681447-87-0

CMF C21 H18 F6 N2 O4

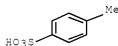
Absolute stereochemistry.



CM 2

CRN 104-15-4

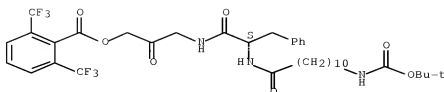
CMF C7 H8 O3 S



RN 681447-89-2 CAPLUS

CN Benzoic acid, 2,6-bis(trifluoromethyl)-, (6S)-22,22-dimethyl-2,5,8,20-tetraoxo-6-(phenylmethyl)-21-oxa-4,7,19-triazatricos-1-yl ester (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:132953 CAPLUS Full-text
DOCUMENT NUMBER: 138:183522
TITLE: Simultaneous imaging of cardiac perfusion and a
vitronectin receptor targeted imaging agent
INVENTOR(S): Carpenter, Alan, Jr.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
SOURCE: PCT Int. Appl., 340 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013346	A2	20030220	WO 2002-US25375	20020807 <--
WO 2003013346	A3	20030814		
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PRIORITY APPLN. INFO.:

US 2001-310859P

P 20010808 <--

WO 2002-US25375

W 20020807 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 138:183522

AB The present invention describes a method of concurrent imaging in a mammal comprising: (a) administering to said mammal a vitronectin receptor targeted imaging agent and a perfusion imaging agent; and (b) concurrently detecting the vitronectin receptor targeted imaging agent bound at the vitronectin receptor and the perfusion imaging agent; and (c) forming an image from the detection of said vitronectin targeted imaging agent and said perfusion imaging agent.

IC ICM A61B

CC 9-15 (Biochemical Methods)

Section cross-reference(s): 2, 8, 13

IT Angiogenesis

Chelating agents

Diagnostic agents

Drug screening

Heart

Imaging

Imaging agents

Mammalia

Perfusion

Reducing agents

Sound and Ultrasound

Surfactants

Test kits

X-ray

(simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

IT	40324-66-1P	57932-18-0P	137076-54-1P	192635-89-5P	220156-99-0P
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

IT 277315-82-9P

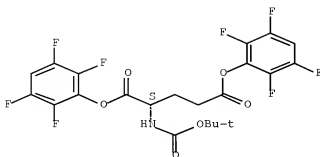
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

RN 277315-82-9 CAPLUS

CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 1,5-bis(2,3,5,6-tetrafluorophenyl) ester (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:794210 CAPLUS Full-text
DOCUMENT NUMBER: 137:275361
TITLE: Extended tethering approach for rapid identification
of ligands
INVENTOR(S): Erlanson, Daniel A.; Braisted, Andrew C.; McDowell,
Robert; Prescott, John
PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U. S.
Provisional Ser. No. 310,725.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020150947	A1	20021017	US 2001-990421	20011121 <--
US 6919178	B2	20050719		
EP 1441228	A1	20040728	EP 2004-8373	20011120 <--
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			US 2001-310725P	P 20010807 <--
			US 1998-105372	A3 19980626 <--
			US 2001-981547	A2 20011017 <--
			AU 2002-25731	A3 20011120 <--
			EP 2001-995216	A3 20011120 <--
			JP 2002-544662	A3 20011120 <--
			US 2001-990421	A2 20011121 <--
			US 2002-121216	A 20020410 <--
			AU 2002-254725	A3 20020424 <--
			EP 2002-723967	A3 20020424 <--
			JP 2003-547631	A3 20020424 <--
			WO 2002-US13061	W 20020424 <--
			US 2002-377034P	P 20020501 <--
			WO 2002-US14778	W 20020510 <--
			US 2002-214419	B1 20020805 <--
			WO 2002-US24921	W 20020805 <--
			US 2003-374499	A1 20030225

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention concerns a method for rapid identification and characterization of binding partners for a target mol., and for providing binding partners with improved binding affinity. More specifically, the invention concerns an improved tethering method for the rapid identification of at least two binding partners that bind near one another to a target mol. This approach is based on the design of a Small Mol. Extender (SME) that is tethered, via a reversible or irreversible covalent bond, to a Target Mol. (TM) at or near a first site of interest, and has a chemical reactive group reactive with small organic mols. to be screened for affinity to a second site of interest on the TM. Accordingly, the SME is used for screening a plurality of ligand candidates to identify a ligand that has intrinsic binding affinity for a second site of interest on the TM. If desired, further SME's can be designed based on the identification of the ligand with binding affinity for the second site of interest, and the screening can be repeated to identify further ligands having intrinsic binding affinity for the same or other site(s) of interest on the same or related TM's.

IC ICM C12Q001-68
ICS G01N033-53; G01N033-543

INCL 435007100

CC 9-14 (Biochemical Methods)
Section cross-reference(s): 1

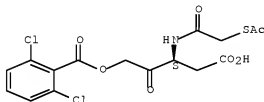
IT Enzymes, analysis
RL: ANT (Analyte); ANST (Analytical study)
(DNA helicase; extended tethering approach for rapid identification of ligands)

IT Proteins
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(associated with DNA/RNA synthesis or degradation; extended tethering approach for rapid identification of ligands)

IT 60-23-1, Cysteamine 60-24-2, Mercaptoethanol 70-18-8,
Glutathione, uses 1892-31-5, Propanethioic acid 3483-12-3,

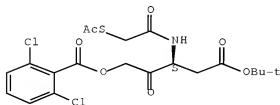
- Dithiothreitol 4023-53-4 5961-85-3 6892-68-8, Dithioerythritol
 RL: NUU (Other use, unclassified); USES (Uses)
 (extended tethering approach for rapid identification of ligands)
- IT 428819-41-4P, Benzoic acid, 2,6-dichloro-,
 (3S)-3-[[[(acetylthio)acetyl]amino]-4-carboxy-2-oxobutyl ester
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (extended tethering approach for rapid identification of ligands)
- IT 428819-38-9P, L-Aspartic acid, N-[(acetylthio)acetyl]-,
 4-(1,1-dimethylethyl) ester 428819-39-0P, Pentanoic acid,
 3-[[[(acetylthio)acetyl]amino]-5-chloro-4-oxo-, 1,1-dimethylethyl ester,
 (3S)- 428819-40-3P, Benzoic acid, 2,6-dichloro-,
 (3S)-3-[[[(acetylthio)acetyl]amino]-5-(1,1-dimethylethoxy)-2,5-dioxopentyl
 ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (extended tethering approach for rapid identification of ligands)
- IT 428819-41-4P, Benzoic acid, 2,6-dichloro-,
 (3S)-3-[[[(acetylthio)acetyl]amino]-4-carboxy-2-oxobutyl ester
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (extended tethering approach for rapid identification of ligands)
- RN 428819-41-4 CAPLUS
- CN Benzoic acid, 2,6-dichloro-, (3S)-3-[[2-(acetylthio)acetyl]amino]-4-
 carboxy-2-oxobutyl ester (CA INDEX NAME)

Absolute stereochemistry.



- IT 428819-40-3P, Benzoic acid, 2,6-dichloro-,
 (3S)-3-[[[(acetylthio)acetyl]amino]-5-(1,1-dimethylethoxy)-2,5-dioxopentyl
 ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (extended tethering approach for rapid identification of ligands)
- RN 428819-40-3 CAPLUS
- CN Benzoic acid, 2,6-dichloro-, (3S)-3-[[2-(acetylthio)acetyl]amino]-5-(1,1-
 dimethylethoxy)-2,5-dioxopentyl ester (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 148 THERE ARE 148 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L22 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:424944 CAPLUS Full-text

DOCUMENT NUMBER: 138:66404

TITLE: Amelioration of myocardial global ischemia/reperfusion
injury with volume-regulatory chloride channel
inhibitors in vivo

AUTHOR(S): Mizoguchi, Kazuhiro; Maeta, Hajime; Yamamoto, Akira;
Oe, Masahiro; Kosaka, Hiroaki

CORPORATE SOURCE: First Department of Surgery and Second Department of
Physiology, Kagawa Medical University, Kagawa,
761-0793, Japan

SOURCE: Transplantation (2002), 73(8), 1185-1193

CODEN: TRPLAU; ISSN: 0041-1337

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Recently, the apoptotic volume decrease was suggested to be regulated by volume regulatory Cl⁻ channels in cultured cell lines. We thus examined whether inhibition of volume-regulatory Cl⁻ channels is cardioprotective, like caspase inhibition, by hindering the apoptosis of cardiomyocytes induced by global ischemia/reperfusion (I/R) in vivo. We performed global ischemia for 8 min at 37°C or 4°C in isolated rat hearts, followed by 24-h reperfusion via heterotopic heart transplantation. The heart tissue was examined by means of the terminal deoxynucleotidyl transferase-mediated dUTP nick end-labeling (TUNEL) method, genomic DNA electrophoresis, and caspase-3 activity. Two blockers of volume-regulatory Cl⁻ channels, 4,4'-diisothiocyanostilbene-2,2'-disulfonic acid (DIDS) and 5-nitro-2-(3-phenylpropylamino)-benzoate (NPPB), and a broad-spectrum caspase inhibitor, benzoyloxycarbonyl-Asp-CH2OC(O)-2,6-dichlorobenzene (Z-Asp-DCB), were administered i.v. Triphenyltetrazolium chloride (TTC) staining and ultrasound cardiog. were performed to examine myocardial viability. The TTC-unstained region was assessed by means of horseradish peroxidase (HRP) infiltration and the TUNEL method. The transplanted hearts showed TUNEL-positivity and DNA laddering with a peak at 24 h during reperfusion after ischemia at 37°C, but not at 4°C. NPPB and DIDS were as potent as Z-Asp-DCB for recovery of cardiac function and for blocking the appearance of TUNEL-positivity, DNA laddering, caspase 3 activity, and a TTC-unstained area. TTC-unstained areas were composed of either TUNEL- and slightly HRP-pos. or TUNEL-neg. and strongly HRP-pos. cardiomyocytes. The present results demonstrated that myocardial DNA fragmentation, caspase activation, and loss of cardiac function after global I/R were blocked by NPPB and DIDS, similar to in the case of Z-Asp-DCB. These results suggest that inhibition of volume-regulatory Cl⁻ channels is also effective for preventing cardiac I/R injury.

CC 1-8 (Pharmacology)

IT 153088-73-4

RL: DNA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(apoptosis inhibitor, comparison; amelioration of myocardial global ischemia/reperfusion injury with volume-regulatory chloride channel inhibitors in vivo)

IT 153088-73-4

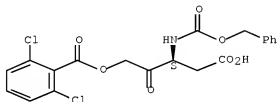
RL: DNA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(apoptosis inhibitor, comparison; amelioration of myocardial global ischemia/reperfusion injury with volume-regulatory chloride channel inhibitors in vivo)

RN 153088-73-4 CAPLUS

CN Benzoic acid, 2,6-dichloro-, (3S)-4-carboxy-2-oxo-3-
[[(phenylmethoxy) carbonyl] amino] butyl ester (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:408909 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 136:398188

TITLE: An extended tethering approach for rapid
identification of ligands

INVENTOR(S): Erlanson, Daniel A.; Braisted, Andrew; McDowell,
Robert; Prescott, John

PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042773	A2	20020530	WO 2001-US44036	20011120 <--
WO 2002042773	A3	20021114		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2430234	A1	20020530	CA 2001-2430234	20011120 <--
CA 2430234	C	20080212		
AU 2002025731	A	20020603	AU 2002-25731	20011120 <--
EP 1337853	A2	20030827	EP 2001-995216	20011120 <--
EP 1337853	B1	20090107		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2004514891 T 20040520 JP 2002-544662 20011120 <--
 JP 3836791 B2 20061025
 EP 1441228 A1 20040728 EP 2004-8373 20011120 <--
 EP 1441228 B1 20060628
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI, CY, TR
 NZ 525861 A 20040924 NZ 2001-525861 20011120 <--
 AU 2002225731 B2 20050414 AU 2002-225731 20011120 <--
 AT 420366 T 20090115 AT 2001-995216 20011120 <--
 IL 155926 A 20090615 IL 2001-155926 20011120 <--
 ES 2322796 T3 20090629 ES 2001-995216 20011120 <--
 ZA 2003003772 A 20040517 ZA 2003-3772 20030515 <--
 MX 2003004435 A 20050125 MX 2003-4435 20030520 <--
 HK 1063844 A1 20061229 HK 2004-106701 20040906 <--
 AU 2005203048 A1 20050804 AU 2005-203048 20050713 <--
 AU 2005203048 B2 20070517
 JP 2006113077 A 20060427 JP 2005-359639 20051213 <--
 PRIORITY APPLN. INFO.: US 2000-252294P P 20001121 <--
 AU 2002-25731 A3 20011120 <--
 EP 2001-995216 A3 20011120 <--
 JP 2002-544662 A3 20011120 <--
 WO 2001-US44036 W 20011120 <--
 AB The invention concerns a method for rapid identification and characterization of binding partners for a target mol., and for providing binding partners with improved binding affinity. More specifically, the invention concerns an improved tethering method for the rapid identification of at least two binding partners that bind near one another to a target mol. This approach is based on the design of a Small Mol. Extender (SME) that is tethered, via a reversible or irreversible covalent bond, to a Target Mol. (TM) at or near a first site of interest, and has a chemical reactive group reactive with small organic mols. to be screened for affinity to a second site of interest on the TM. Accordingly, the SME is used for screening a plurality of ligand candidates to identify a ligand that has intrinsic binding affinity for a second site of interest on the TM. If desired, further SME's can be designed based on the identification of the ligand with binding affinity for the second site of interest, and the screening can be repeated to identify further ligands having intrinsic binding affinity for the same or other site(s) of interest on the same or related TM's.
 IC ICM G01N033-53
 CC 9-14 (Biochemical Methods)
 Section cross-reference(s): 1
 IT Enzymes, analysis
 RL: ANT (Analyte); ANST (Analytical study)
 (DNA helicase; extended tethering approach for rapid identification of ligands)
 IT Proteins
 RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
 (associated with DNA/RNA synthesis or degradation; extended tethering approach for rapid identification of ligands)
 IT 60-23-1, Cysteamine 60-24-2, Mercaptoethanol 70-18-8, Glutathione, uses 1892-31-5, Propanethioic acid 3483-12-3, Dithiothreitol 4023-53-4 5961-85-3 6892-68-8, Dithioerythritol
 RL: NUU (Other use, unclassified); USES (Uses)
 (extended tethering approach for rapid identification of ligands)
 IT 428819-41-4P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (extended tethering approach for rapid identification of ligands)
 IT 428819-38-9P 428819-39-0P 428819-40-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(extended tethering approach for rapid identification of ligands)

IT 428819-41-4P

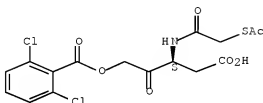
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(extended tethering approach for rapid identification of ligands)

RN 428819-41-4 CAPLUS

CN Benzoic acid, 2,6-dichloro-, (3S)-3-[[2-(acetylthio)acetyl]amino]-4-carboxy-2-oxobutyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 428819-40-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

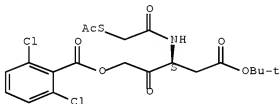
(Reactant or reagent)

(extended tethering approach for rapid identification of ligands)

RN 428819-40-3 CAPLUS

CN Benzoic acid, 2,6-dichloro-, (3S)-3-[[2-(acetylthio)acetyl]amino]-5-(1,1-dimethylethoxy)-2,5-dioxopentyl ester (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:185062 CAPLUS Full-text

DOCUMENT NUMBER: 136:232548

TITLE: Preparation of γ -keto acid dipeptides as inhibitors of caspase-3

INVENTOR(S): Han, Yongxin; Giroux, Andre; Grimm, Erich L.;

Aspiotis, Renee; Black, Cameron

PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.

SOURCE: PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020465	A2	20020314	WO 2001-CA1272	20010906 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MY, NZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2421172	A1	20020314	CA 2001-2421172	20010906 <--
AU 2001093533	A	20020322	AU 2001-93533	20010906 <--
EP 1317414	A2	20030611	EP 2001-973867	20010906 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004521080	T	20040715	JP 2002-525088	20010906 <--
US 20020165230	A1	20021107	US 2001-948244	20010907 <--
US 6525025	B2	20030225		
PRIORITY APPLN. INFO.:			US 2000-231019P	P 20000908 <--
			WO 2001-CA1272	W 20010906 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136.232548

AB γ -Keto acid dipeptides RCR12CONHCR2R3CONHCH(CH2CO2H)COCH2-O-W-Z [W = a bond, CH2, CO or COCH2; Z = H, (un)substituted alkyl, cycloalkyl or a benzofused analog, Ph, naphthyl or a 5- to 10-membered mono- or bicyclic, aromatic or non-aromatic ring, or a benzofused analog, containing 1-3 heteroatoms selected from O, S and N; R = (un)substituted alkoxyphenyl; R1 = H, halo, OH, alkyl or alkoxy optionally substituted by oxo or 1-3 halo groups; R2 = H, Ph, naphthyl, (un)substituted (cyclo)alkyl; R3 = H or R2R3 represent a 4-7 membered ring optionally containing one heteroatom selected from O, S and N] were prepared as inhibitors of caspase-3. Thus, (3S)-5-[(2-chloro-6-fluorobenzyl)oxy]-3-[[[(2S)-2-[[[2-(2,5-dimethoxyphenyl)acetyl]amino]-3-methylbutanoyl]amino]-4-oxopentanoic acid was prepared by the solid phase method by loading (S)-FmocNHCH(CH2CO2Bu-t)COCH2Br (Fmoc = fluorenylmethoxycarbonyl) (preparation described) onto a solid support using the technol. described by Webb et al. (1992).

IC ICM C07C237-22
 ICS A61K031-16; A61P031-18; C07D413-12; C07D241-44; C07D239-34;
 C07D307-86

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 7

IT 403499-16-1P	403499-17-2P	403499-18-3P	403499-19-4P	403499-20-7P
403499-21-8P	403499-22-9P	403499-23-0P	403499-24-1P	
403499-25-2P	403499-26-3P	403499-27-4P	403499-28-5P	403499-29-6P
403499-30-9P	403499-31-0P	403499-32-1P	403499-33-2P	
403499-34-3P	403499-35-4P	403499-36-5P	403499-37-6P	
403499-38-7P	403499-39-8P	403499-40-1P	403499-41-2P	403499-42-3P
403499-43-4P	403499-44-5P	403499-45-6P	403499-46-7P	403499-47-8P
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403499-58-1P	403499-59-2P	403499-60-5P	403499-61-6P	403499-62-7P
403499-63-8P	403499-64-9P	403499-65-0P	403499-66-1P	403499-67-2P
403499-68-3P	403499-69-4P	403499-70-7P	403499-71-8P	403499-72-9P
403499-73-0P	403499-74-1P	403499-75-2P	403499-76-3P	403499-77-4P

403499-78-5P 403499-79-6P 403499-80-9P 403499-81-0P 403499-82-1P
403499-83-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of γ -keto acid dipeptides as inhibitors of caspase-3)

IT 93-25-4, 2-Methoxyphenylacetic acid 367-12-4, 2-Fluorophenol
1758-25-4, 2,5-Dimethoxyphenylacetic acid 2673-19-0 5292-43-3,
tert-Butyl bromoacetate 6956-76-9 13518-40-6 22059-22-9,
Methylamidoxime 68858-20-8 71989-14-5 115416-38-1, 5-
Biotinamidopentylamine 403499-84-3 403499-85-4 403499-92-3
403499-93-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of γ -keto acid dipeptides as inhibitors of caspase-3)

IT 116296-30-1P 294860-44-9P 294860-95-0P 294860-96-1P 403499-86-5P
403499-87-6P 403499-88-7P 403499-89-8P 403499-90-1P 403499-91-2P
403499-94-5P 403499-95-6P 403499-96-7P
403499-97-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of γ -keto acid dipeptides as inhibitors of caspase-3)

IT 403499-21-8P 403499-31-0P 403499-35-4P

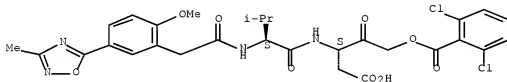
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of γ -keto acid dipeptides as inhibitors of caspase-3)

RN 403499-21-8 CAPLUS

CN Benzoic acid, 2,6-dichloro-, (3S)-4-carboxy-3-[[[(2S)-2-[[2-[2-methoxy-5-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-2-oxobutyl ester (CA INDEX NAME)

Absolute stereochemistry.

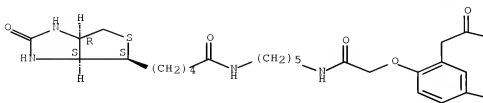


RN 403499-31-0 CAPLUS

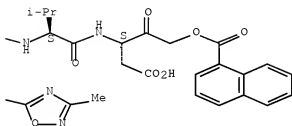
CN 1-Naphthalenecarboxylic acid, (3S)-4-carboxy-3-[[[(2S)-2-[[2-[2-[[5-[[3aS,4S,6aR]-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]pentyl]amino]-2-oxoethoxy]-5-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-2-oxobutyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



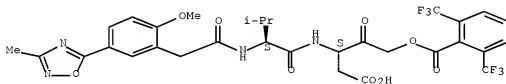
PAGE 1-B



RN 403499-35-4 CAPLUS

CN Benzoic acid, 2,6-bis(trifluoromethyl)-, (3S)-4-carboxy-3-[[[(2S)-2-[[2-[2-methoxy-5-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-2-oxobutyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 115416-38-1, 5-Biotinamidopentylamine

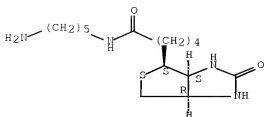
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of γ -keto acid dipeptides as inhibitors of caspase-3)

RN 115416-38-1 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, N-(5-aminopentyl)hexahydro-2-oxo-, (3aS,4S,6aR)- (CA INDEX NAME)

Absolute stereochemistry.



IT 403499-96-7P 403499-97-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

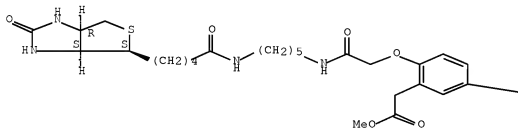
(preparation of γ -keto acid dipeptides as inhibitors of caspase-3)

RN 403499-96-7 CAPLUS

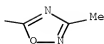
CN Benzeneacetic acid, 2-[2-[5-[5-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]pentyl]amino]-2-oxoethoxy]-5-(3-methyl-1,2,4-oxadiazol-5-yl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

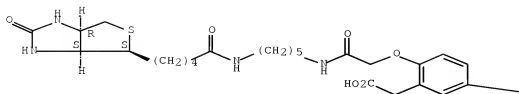


RN 403499-97-8 CAPLUS

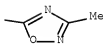
CN Benzeneacetic acid, 2-[2-[5-[5-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]pentyl]amino]-2-oxoethoxy]-5-(3-methyl-1,2,4-oxadiazol-5-yl)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L22 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:111162 CAPLUS Full-text

DOCUMENT NUMBER: 136:322140

TITLE: A critical role for ethylene in hydrogen peroxide release during programmed cell death in tomato suspension cells

AUTHOR(S): de Jong, Anke J.; Yakimova, Elena T.; Kapchina, Veneta M.; Woltering, Ernst J.

CORPORATE SOURCE: Wageningen University and Research Center, Agrotechnological Research Institute (ATO), Wageningen, 6708 PD, Neth.

SOURCE: Planta (2002), 214(4), 537-545

CODEN: PLANAB; ISSN: 0032-0935

PUBLISHER: Springer-Verlag

DOCUMENT TYPE: Journal

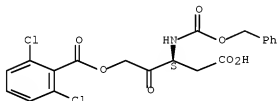
LANGUAGE: English

AB Camptothecin, a topoisomerase-I inhibitor used in cancer therapy, induces apoptosis in animal cells. In tomato (*Lycopersicon esculentum* Mill.) suspension cells, camptothecin induces cell death that is accompanied by the characteristic nuclear morphol. changes such as chromatin condensation and nuclear and DNA fragmentation that are commonly associated with apoptosis in animal systems. These effects of camptothecin can effectively be blocked by inhibitors of animal caspases, indicating that, in tomato suspension cells, camptothecin induces a form of programmed cell death (PCD) with similarities to animal apoptosis (A.J. De Jong et al., 2000). Camptothecin-induced cell death was employed to study processes involved in plant PCD. Camptothecin induced a transient increase in H2O2 production starting within 2 h of application. Both camptothecin-induced cell death and the release of H2O2 were effectively blocked by application of the calcium-channel blocker lanthanum chloride, the caspase-specific inhibitor Z-Asp-CH2-DCB, or the NADPH

oxidase inhibitor di-Ph iodonium, indicating that camptothecin exerts its effect on cell death through a calcium- and caspase-dependent stimulation of NADPH oxidase activity. In addition, ethylene is an essential factor in camptothecin-induced PCD. Inhibition of either ethylene synthesis or ethylene perception by L- α -(2-aminoethoxyvinyl)glycine or silver thiosulfate, resp., blocked camptothecin-induced H2O2 production and PCD. Although, in itself, insufficient to trigger H2O2 production and cell death, exogenous ethylene greatly stimulated camptothecin-induced H2O2 production and cell death. Thus, ethylene is a potentiator of the camptothecin-induced oxidative burst and subsequent PCD in tomato cells. The possible mechanisms by which ethylene stimulates cell death are discussed.

CC 11-5 (Plant Biochemistry)
 IT 10099-58-8, Lanthanum chloride 10182-84-0, Diphenyl iodonium
 153088-73-4
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (ethylene in hydrogen peroxide release during programmed cell death in
 tomato suspension cells induced by camptothecin response to)
 IT 153088-73-4
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (ethylene in hydrogen peroxide release during programmed cell death in
 tomato suspension cells induced by camptothecin response to)
 RN 153088-73-4 CAPLUS
 CN Benzoic acid, 2,6-dichloro-, (3S)-4-carboxy-2-oxo-3-
 [[(phenylmethoxy)carbonyl]amino]butyl ester (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 55 THERE ARE 55 CAPLUS RECORDS THAT CITE THIS
 RECORD (55 CITINGS)
 REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2002:51305 CAPLUS Full-text
 DOCUMENT NUMBER: 136:123597
 TITLE: Preparation of stable radiopharmaceutical compositions
 useful for tumor therapy
 INVENTOR(S): Liu, Shuang; Barrett, John A.; Carpenter, Alan P., Jr.
 PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
 SOURCE: PCT Int. Appl., 127 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002004030 A2 20020117 WO 2001-US21261 20010705 <--
 WO 2002004030 A3 20030227
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 CA 2413538 A1 20020117 CA 2001-2413538 20010705 <--
 US 20020122768 A1 20020905 US 2001-899629 20010705 <--
 EP 1311301 A2 20030521 EP 2001-984147 20010705 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 PRIORITY APPLN. INFO.: US 2000-216396P P 20000706 <--
 WO 2001-US21261 W 20010705 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:123597

AB The present invention provides stable radiopharmaceutical compns. including a therapeutic radionuclide and an effective stabilizing amount of an aromatic stabilizer (e.g., a polyhydroxylated aromatic compound, an aromatic amine, or a hydroxylated aromatic amine), alone or in combination with other antioxidants or stabilizers, to inhibit radiolytic degradation of radiopharmaceuticals. The present invention also provides improved radiopharmaceutical formulations by the use of an aromatic stabilizing agent (e.g., a polyhydroxylated aromatic compound, an aromatic amines, or a hydroxylated aromatic amine), and/or low temperature storage. The present invention also provides processes for making stable radiopharmaceutical compns. The present invention also provides the use of the pharmaceutical compns. in medical therapy and/or medical diagnosis.

IC ICM A61K051-04

ICS A61K051-08

CC 63-5 (Pharmaceuticals)

Section cross-reference(s): 8, 34, 78

ST radiopharmaceutical stabilization gentisate hydroxybenzoate; sulfonatobenzeneamine ascorbate radiopharmaceutical stabilization; antioxidant hydroxybenzaldehyde radiopharmaceutical stabilization; radionuclide chelator biomol conjugate prepn stabilization

IT Peptides, biological studies

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of chelator-optional linker-biomol. conjugates for use in stable radiopharmaceutical compns.)

IT 108-68-9 769-39-1 2419-94-5 2969-81-5 6066-82-6 18807-71-1

114559-25-0 137076-54-1 208580-27-2 277316-35-5 277316-57-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of chelator-optional linker-biomol. conjugates for use in stable radiopharmaceutical compns.)

IT 40324-66-1P 57932-18-0P 161552-03-0P 246234-73-1P 250612-43-2P

250612-45-4P 250612-48-7P 250612-82-9P 277315-71-6P

277315-82-9P 277315-89-6P 277315-90-9P 277316-24-2P

277316-27-5P 277316-28-6P 277316-29-7P 277316-30-0P 277316-31-1P

277316-40-2P 277316-41-3P 277316-44-6P 277316-45-7P 277316-58-2P

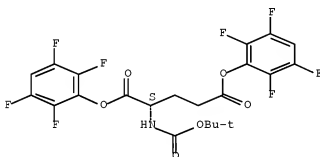
389885-48-7DP, oxime resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of chelator-optional linker-biomol. conjugates for

use in stable radiopharmaceutical compns.)
 IT 250612-07-8P 277315-68-1P 277315-72-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
 USES (Uses)
 (preparation of chelator-optional linker-biomol. conjugates for
 use in stable radiopharmaceutical compns.)
 IT 277315-82-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of chelator-optional linker-biomol. conjugates for
 use in stable radiopharmaceutical compns.)
 RN 277315-82-9 CAPLUS
 CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-,
 1,5-bis(2,3,5,6-tetrafluorophenyl) ester (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
 (7 CITINGS)
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:855316 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 136:247856

TITLE: The solid phase synthesis and NMR spectroscopy of a
 99Tc chelate-bombesin derived peptide conjugate

AUTHOR(S): Valliant, John F.; Riddoch, R. William; Hughes, Donald
 W.; Roe, David G.; Fauconnier, Theresa K.; Thornback,
 John R.

CORPORATE SOURCE: Department of Chemistry, McMaster University,
 Hamilton, ON, L8S 4M1, Can.

SOURCE: Inorganica Chimica Acta (2001), 325(1,2), 155-163
 CODEN: ICHAA3; ISSN: 0020-1693

PUBLISHER: Elsevier Science S.A.

DOCUMENT TYPE: Journal

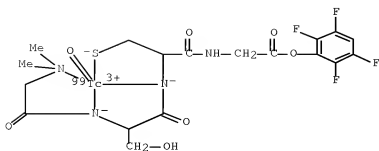
LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:247856

AB A bombesin derived peptide-99Tc chelate conjugate was prepared using a solid
 phase synthetic methodol. The reported approach involved linking a
 prefabricated bifunctional N2N'S technetium chelate complex to a resin bound
 peptide sequence derived from bombesin, which has been shown to bind to the
 gastrin-releasing peptide (GRP) receptor. The technetium chelate-peptide
 conjugate was subsequently isolated from the solid support and characterized

by electrospray mass spectrometry, HPLC and NMR spectroscopy. The goal of the approach was to develop a versatile solid phase synthetic procedure that would facilitate the future application of modern drug discovery techniques for the development of receptor selective technetium radiopharmaceuticals. Furthermore, the NMR studies of the reported radiometal-peptide conjugate provide an important reference for the characterization of future bombesin-based radiopharmaceuticals.

- CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 22, 78
- ST solid phase synthesis technetium chelate bombesin derived peptide conjugate; technetium chelate complex linking peptide resin bound NMR; gastrin releasing peptide receptor binding bombesin based radiopharmaceutical
- IT Solid phase synthesis
(peptide; solid phase synthesis and NMR spectroscopy of 99Tc chelate-bombesin derived peptide conjugate)
- IT NMR (nuclear magnetic resonance)
Radiopharmaceuticals
(solid phase synthesis and NMR spectroscopy of 99Tc chelate-bombesin derived peptide conjugate)
- IT Gastrin-releasing peptide receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(solid phase synthesis and NMR spectroscopy of 99Tc chelate-bombesin derived peptide conjugate)
- IT Chelates
RL: RCT (Reactant); RACT (Reactant or reagent)
(solid phase synthesis and NMR spectroscopy of 99Tc chelate-bombesin derived peptide conjugate)
- IT Bombesin receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(type BB2; solid phase synthesis and NMR spectroscopy of 99Tc chelate-bombesin derived peptide conjugate)
- IT 31362-50-2DP, Bombesin, 99Tc chelate derived peptide conjugate
215307-03-2P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(solid phase synthesis and NMR spectroscopy of 99Tc chelate-bombesin derived peptide conjugate)
- IT 92622-25-8 231614-43-0 344798-48-7D, resin-bound
RL: RCT (Reactant); RACT (Reactant or reagent)
(solid phase synthesis and NMR spectroscopy of 99Tc chelate-bombesin derived peptide conjugate)
- IT 259198-91-9P 259256-16-1P 404391-38-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid phase synthesis and NMR spectroscopy of 99Tc chelate-bombesin derived peptide conjugate)
- IT 404391-38-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid phase synthesis and NMR spectroscopy of 99Tc chelate-bombesin derived peptide conjugate)
- RN 404391-38-4 CAPLUS
- CN Technetium-99Tc, oxo[2,3,5,6-tetrafluorophenyl
N,N-dimethylglycyl-kN-L-seryl-kN-L-cysteinyl-kN,KS-glycinato(3-)]-, (SP-5-25)- (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
 REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:408721 CAPLUS Full-text

DOCUMENT NUMBER: 135:134197

TITLE: Biotin reagents for antibody pretargeting. 5. Additional studies of biotin conjugate design to provide biotinidase stability

AUTHOR(S): Wilbur, D. Scott; Hamlin, Donald K.; Chyan, Ming-Kuan; Kegley, Brian B.; Pathare, Pradip M.

CORPORATE SOURCE: Department of Radiation Oncology, University of Washington, Seattle, WA, 98195, USA

SOURCE: Bioconjugate Chemistry (2001), 12(4), 616-623

CODEN: BCCHEG; ISSN: 1043-1802

PUBLISHER: American Chemical Society

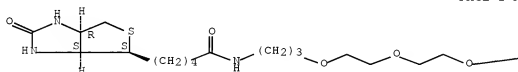
DOCUMENT TYPE: Journal

LANGUAGE: English

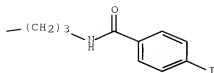
AB An investigation was conducted in which the stabilities of four structurally different biotin derivs. were assessed with regard to biotinamide bond hydrolysis by the enzyme biotinidase. The biotin derivs. studied contained an extra methylene in the valeric acid chain of biotin (i.e., homobiotin), or contained conjugated amino acids having hydroxymethylene, carboxylate, or acetate functionalities on a methylene alpha to the biotinamide bond. The biotinidase hydrolysis assay was conducted on biotin derivs. that were radioiodinated at high specific activity, and then subjected to diluted human serum at 37° for 2 h. After incubation, assessment of biotinamide bond hydrolysis by biotinidase was readily achieved by measuring the percentage of radioactivity that did not bind with avidin. As controls, an unsubstituted biotin derivative which is rapidly cleaved by biotinidase and an N-methyl-substituted biotin derivative which is stable to biotinidase cleavage were included in the study. The results indicate that increasing the distance from the biotin ring structure to the biotinamide bond by one methylene only decreases the rate of biotinidase cleavage, but does not block it. The data obtained also indicate that placing a hydroxymethylene, carboxylate, or acetate alpha to the biotinamide bond is effective in blocking the biotinamide hydrolysis reaction. These data, in combination with data previously obtained, which indicate that biotin derivs. containing hydroxymethylene or carboxylate moieties retain the slow dissociation rate of biotin from avidin and streptavidin [Wilbur, D. S., et al. (2000) Bioconjugate Chemical 11, 569-583], strongly support incorporation of these structural features into biotin derivs. being used for in vivo targeting applications.

CC 9-14 (Biochemical Methods)

Absolute stereochemistry.



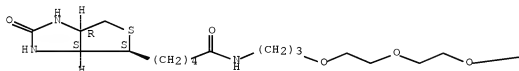
PAGE 1-B



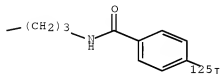
RN 194920-46-2 CAPLUS
 CN 1H-Thieno[3,4-d]imidazole-4-pentanamide,
 hexahydro-N-[15-[4-(iodo-125I)phenyl]-15-oxo-4,7,10-trioxa-14-azapentadec-
 1-yl]-2-oxo-, (3aS,4S,6aR)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



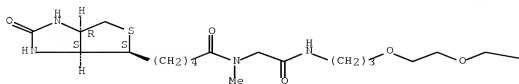
PAGE 1-B



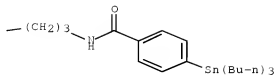
RN 194920-60-0 CAPLUS
 CN 1H-Thieno[3,4-d]imidazole-4-pentanamide,
 hexahydro-N-[1-(4-iodophenyl)-1,17-dioxo-6,9,12-trioxa-2,16-diazaoctadec-
 18-yl]-N-methyl-2-oxo-, (3aS,4S,6aR)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



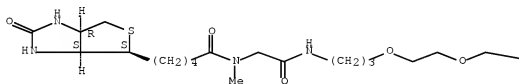
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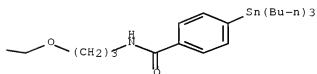
RN 194920-71-3 CAPLUS
 CN 1H-Thieno[3,4-d]imidazole-4-pentanamide,
 N-[2,18-dioxo-18-[4-(tributylstannyl)phenyl]-7,10,13-trioxa-3,17-
 diazaoctadec-1-yl]hexahydro-N-methyl-2-oxo-, (3aS,4S,6aR)- (CA INDEX
 NAME)

Absolute stereochemistry.

PAGE 1-A



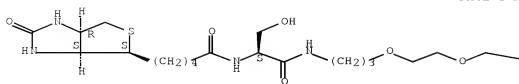
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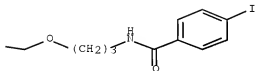
RN 351534-98-0 CAPLUS
 CN 1H-Thieno[3,4-d]imidazole-4-pentanamide,
 hexahydro-N-[(1S)-1-(hydroxymethyl)-18-(4-iodophenyl)-2,18-dioxo-7,10,13-
 trioxa-3,17-diazaoctadec-1-yl]-2-oxo-, (3aS,4S,6aR)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

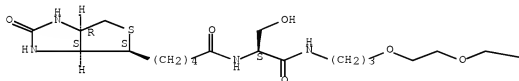


RN 351534-99-1 CAPLUS

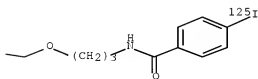
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide,
 hexahydro-N-[(1S)-1-(hydroxymethyl)-18-[4-(iodo-125I)phenyl]-2,18-dioxo-
 7,10,13-trioxa-3,17-diazaoctadec-1-yl]-2-oxo-, (3aS,4S,6aR)- (CA INDEX
 NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



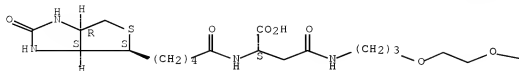
RN 351535-00-7 CAPLUS

CN 6,9,12-Trioxa-2,16-diazaeicosan-20-oic acid,
 19-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-
 oxopentyl]amino]-1-(4-iodophenyl)-1,17-dioxo-, (19S)- (9CI) (CA INDEX

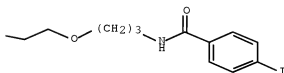
(NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

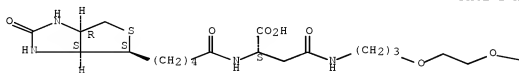


RN 351535-01-8 CAPLUS

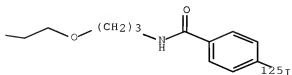
CN 6,9,12-Trioxa-2,16-diazaeicosan-20-oic acid,
 19-[15-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-[4-(iodo-125I)phenyl]-1,17-dioxo-, (19S)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

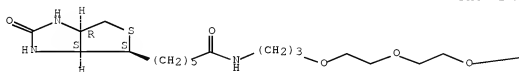


RN 351535-02-9 CAPLUS

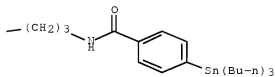
CN 1H-Thieno[3,4-d]imidazole-4-hexanamide,
hexahydro-2-oxo-N-[1-oxo-1-[4-(tributylstannyl)phenyl]-6,9,12-trioxa-2-
azapentadec-15-yl]-, (3aS,4S,6aR)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

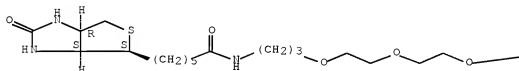


RN 351535-03-0 CAPLUS

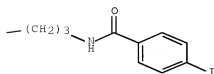
CN 1H-Thieno[3,4-d]imidazole-4-hexanamide,
hexahydro-N-[1-(4-iodophenyl)-1-oxo-6,9,12-trioxa-2-azapentadec-15-yl]-2-
oxo-, (3aS,4S,6aR)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



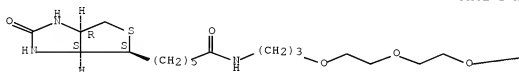
PAGE 1-B



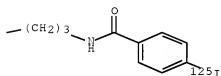
RN 351535-04-1 CAPLUS
 CN 1H-Thieno[3,4-d]imidazole-4-hexanamide,
 hexahydro-N-[15-[4-(iodo-125I)phenyl]-15-oxo-4,7,10-trioxa-14-azapentadec-
 1-yl]-2-oxo-, (3aS,4S,6aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



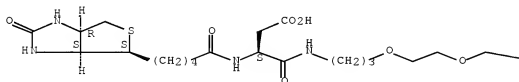
PAGE 1-B



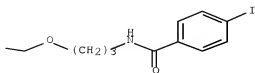
RN 351535-05-2 CAPLUS
 CN Butanoic acid, 3-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-
 d]imidazol-4-yl]-1-oxopentyl]amino]-4-[[15-(4-iodophenyl)-15-oxo-4,7,10-
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Absolute stereochemistry.

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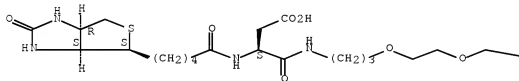
PAGE 1-B



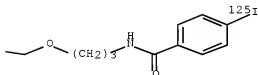
RN 351535-06-3 CAPLUS
 CN 6,9,12-Trioxa-2,16-diazaeicosan-20-oic acid,
 18-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-[4-(iodo-125I)phenyl]-1,17-dioxo-, (18S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

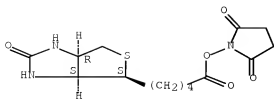


PAGE 1-B



IT 35013-72-0 188014-61-1 295322-35-9
 295322-41-7 295322-44-0 295322-51-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (biotin reagents for antibody pretargeting.)
 RN 35013-72-0 CAPLUS
 CN 1H-Thieno[3,4-d]imidazole-4-pentanoic acid, hexahydro-2-oxo-,
 2,5-dioxo-1-pyrrolidinyl ester, (3aS,4S,6aR)- (CA INDEX NAME)

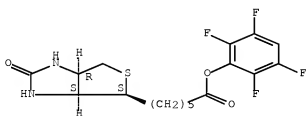
Absolute stereochemistry. Rotation (+).



RN 188014-61-1 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-hexanoic acid, hexahydro-2-oxo-,
2,3,5,6-tetrafluorophenyl ester, (3aS,4S,6aR)- (CA INDEX NAME)

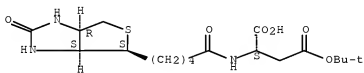
Absolute stereochemistry.



RN 295322-35-9 CAPLUS

CN L-Aspartic acid, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 4-(1,1-dimethylethyl) ester (CA INDEX NAME)

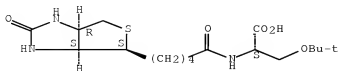
Absolute stereochemistry.



RN 295322-41-7 CAPLUS

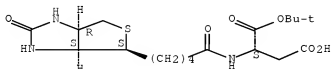
CN L-Serine, O-(1,1-dimethylethyl)-N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]- (CA INDEX NAME)

Absolute stereochemistry.



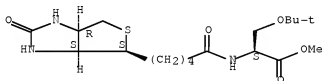
RN 295322-44-0 CAPLUS
 CN L-Aspartic acid, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 1-(1,1-dimethylethyl) ester (CA INDEX NAME)

Absolute stereochemistry.



RN 295322-51-9 CAPLUS
 CN L-Serine, O-(1,1-dimethylethyl)-N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

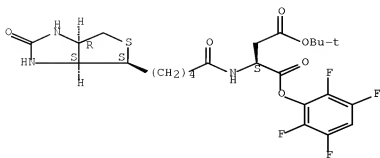


IT 295322-36-0P 295322-42-8P 295322-45-1P
 295322-52-0P 295322-53-1P 351535-09-6P
 351535-10-9P 351535-11-0P 351535-12-1P
 351535-13-2P 351535-14-3P 351535-15-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (biotin reagents for antibody pretargeting.)

RN 295322-36-0 CAPLUS
 CN L-Aspartic acid, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 4-(1,1-dimethylethyl) 1-(2,3,5,6-tetrafluorophenyl) ester (CA INDEX NAME)

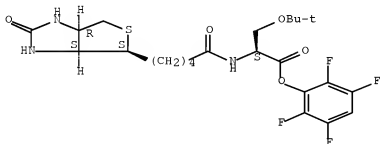
Absolute stereochemistry.



RN 295322-42-8 CAPLUS

CN L-Serine, O-(1,1-dimethylethyl)-N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 2,3,5,6-tetrafluorophenyl ester (CA INDEX NAME)

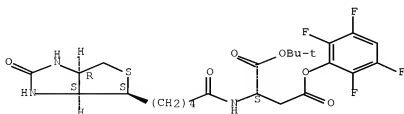
Absolute stereochemistry.



RN 295322-45-1 CAPLUS

CN L-Aspartic acid, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 1-(1,1-dimethylethyl)-4-(2,3,5,6-tetrafluorophenyl) ester (CA INDEX NAME)

Absolute stereochemistry.

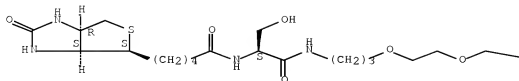


RN 295322-52-0 CAPLUS

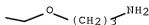
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, N-[(1S)-16-amino-1-(hydroxymethyl)-2-oxo-7,10,13-trioxo-3-azahexadec-1-yl]hexahydro-2-oxo-, (3aS,4S,6aR)- (CA INDEX NAME)

Absolute stereochemistry.

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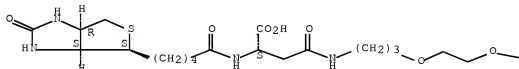


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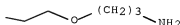
CN 9,12,15-Trioxa-5-azaooctadecanoic acid,
18-amino-2-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-4-oxo-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

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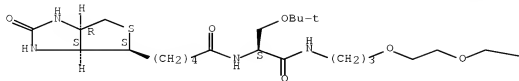


RN 351535-09-6 CAPLUS

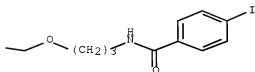
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide,
N-[(1S)-1-[(1,1-dimethylethoxy)methyl]-18-(4-iodophenyl)-2,18-dioxo-7,10,13-trioxa-3,17-diazoctadec-1-yl]hexahydro-2-oxo-, (3aS,4S,6aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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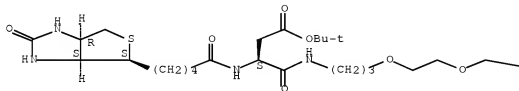
RN 351535-10-9 CAPLUS

CN 9,12,15-Trioxa-5,19-diazaeicosanoic acid,

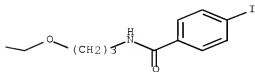
3-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-20-(4-iodophenyl)-4,20-dioxo-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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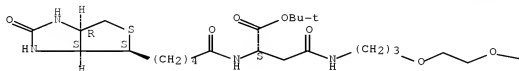
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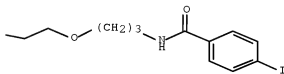
19-[15-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-(4-iodophenyl)-1,17-dioxo-, 1,1-dimethylethyl ester, (19S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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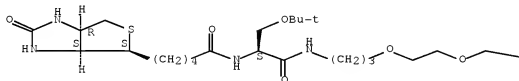


RN 351535-12-1 CAPLUS

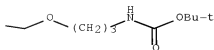
CN 6,9,12-Trioxa-2,16,19-triazatetracosanoic acid, 18-[(1,1-dimethylethoxy)methyl]-24-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-17,20-dioxo-, 1,1-dimethylethyl ester, (18S)- (CA INDEX NAME)

Absolute stereochemistry.

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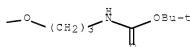
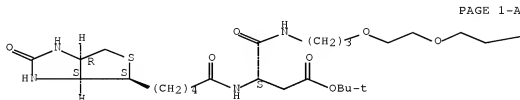


PAGE 1-B



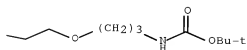
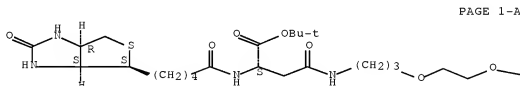
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 CN 6,9,12-Trioxa-2,16-diazaeicosanedioic acid,
 18-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-17-oxo-, 1,20-bis(1,1-dimethylethyl) ester, (18S)- (CA
 INDEX NAME)

Absolute stereochemistry.



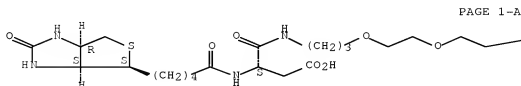
RN 351535-14-3 CAPLUS
 CN 6,9,12-Trioxa-2,16-diazaeicosanedioic acid,
 19-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-17-oxo-, 1,20-bis(1,1-dimethylethyl) ester, (19S)- (CA
 INDEX NAME)

Absolute stereochemistry.



RN 351535-15-4 CAPLUS
 CN Butanoic acid, 4-[[3-[2-[2-(3-aminopropoxy)ethoxy]ethoxy]propyl]amino]-3-
 [[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-
 oxopentyl]amino]-4-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS
 RECORD (28 CITINGS)
 REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:285808 CAPLUS Full-text

DOCUMENT NUMBER: 135:176625

TITLE: Interaction of cigarette smoke and house dust mite
 allergens on inflammatory mediator release from
 primary cultures of human bronchial epithelial cells
 AUTHOR(S): Rusznak, C.; Sapsford, R. J.; Devalia, J. L.; Shah, S.
 S.; Hewitt, E. L.; Lamont, A. G.; Davies, R. J.;
 Lozewicz, S.

CORPORATE SOURCE: Academic Department of Respiratory Medicine, St
 Bartholomew's and the Royal London School of Medicine
 and Dentistry, The London Chest Hospital, London, UK
 SOURCE: Clinical and Experimental Allergy (2001), 31(2),
 226-238

CODEN: CLEAEN; ISSN: 0954-7894

PUBLISHER: Blackwell Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Several studies have shown that exposure to cigarette smoke and/or house dust
 mite (HDM) can lead to increased airway inflammation in susceptible
 individuals. The underlying mechanisms, however, are not defined. To
 investigate the interaction between cigarette smoke and HDM allergen on
 mediator release from primary cultures of human bronchial epithelial cells.
 Confluent human bronchial epithelial cell cultures were exposed to cigarette
 smoke in the absence or presence of HDM allergen and investigated for the
 release of IL-8, IL-1 β , and sICAM-1. Damage to the epithelial cells
 themselves was assessed by release of 51Cr. On sep. occasions, we
 investigated the effect of PTL1028, a highly potent and selective Der p1

inhibitor, on HDM allergen-induced release of IL-8, following activation of HDM allergen by incubation with cysteine. The effect of cigarette smoke exposure on the stability of these released mediators in prepared solns. in the absence/presence of reduced glutathione was also studied. Both HDM allergens and short-term (20 min) cigarette smoke exposure led to a significantly increased release of IL-8, IL-1 β and sICAM-1 from the epithelial cell cultures. Longer exposure (1-6 h) to cigarette smoke led to a dramatic decrease in the amount of these mediators detected in the culture medium. While incubation of epithelial cultures with HDM allergen did not cause any significant change in the release of 51Cr from pre-loaded cells, cigarette smoke on its own led to a marked, exposure and incubation-time dependent increase in the release of 51Cr. Incubation with HDM allergen led to a significant, dose and time-dependent increase in the release of IL-8, which was further enhanced when the allergen extract was pre-activated with cysteine. This effect was completely abrogated by PTL11028, a novel Der p1 inhibitor. Prepared solns. of various concns. of IL-8, IL-1 β and sICAM-1 exposed to cigarette smoke demonstrated a dramatic exposure time-dependent decrease in the detectable amount of these mediators, an effect which was abrogated by GSH. HDM-induced airway inflammation may include Der p-mediated release of inflammatory mediators from epithelial cells. Addnl., short-term cigarette smoke exposure may induce airway inflammation by release of inflammatory mediators from these cells, an effect which may be potentiated by Der p allergens. Longer term cigarette smoke exposure may cause damage to epithelial cells and changes in the structure of inflammatory mediators.

CC 4-8 (Toxicology)

Section cross-reference(s): 15

IT 187991-44-2, PTL 11028

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(interaction of cigarette smoke and house dust mite allergens on inflammatory mediator release from primary cultures of human bronchial epithelial cells)

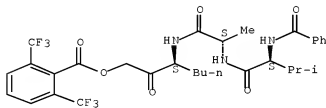
IT 187991-44-2, PTL 11028

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(interaction of cigarette smoke and house dust mite allergens on inflammatory mediator release from primary cultures of human bronchial epithelial cells)

RN 187991-44-2 CAPLUS

CN L-Alaninamide, N-benzoyl-L-valyl-N-[(1S)-1-[[[2,6-bis(trifluoromethyl)benzoyl]oxy]acetyl]pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2001:91508 CAPLUS Full-text
 DOCUMENT NUMBER: 134:131819
 TITLE: Preparation of dipeptide apoptosis inhibitors
 INVENTOR(S): Keana, John F. W.; Cai, Sui Xiong; Guastella, John;
 Yang, Wu; Drewe, John A.
 PATENT ASSIGNEE(S): Cytovia, Inc., USA
 SOURCE: U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 168,945,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6184210	B1	20010206	US 1999-270736	19990316 <--
US 6596693	B1	20030722	US 2000-653279	20000831 <--
US 20030181391	A1	20030925	US 2003-429095	20030505 <--
US 6949516	B2	20050927		
US 20050192231	A1	20050901	US 2005-100470	20050407 <--
PRIORITY APPLN. INFO.:			US 1997-61676P	P 19971010 <--
			US 1998-168945	B2 19981009 <--
			US 1999-270736	A3 19990316 <--
			US 2000-653279	A3 20000831 <--
			US 2003-429095	A3 20030505

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 134:131819

AB Dipeptides R1-AA-NHCH(CH₂CO₂R₃)COCH₂F (R1 is an N-terminal protecting group selected from Boc, Ac, or Cbz; R₃ is alkyl or H; AA is a residue of an amino acid selected from Val, Ile or Leu) were prepared as apoptosis inhibitors. Thus, Cbz-Val-Asp-fmk (fmk = fluoromethyl ketone), prepared by reaction of 2-fluoroethanol with tert-Bu 3-nitropropanoate, nitro group reduction of tert-Bu 5-fluoro-4-hydroxy-3-nitropentanoate, coupling with Cbz-Valine, Dess-Martin oxidation and trifluoroacetic acid-catalyzed ester cleavage, was assayed for apoptosis inhibitory activity in several examples (IC₅₀ = 0.04 μ M for inhibition of caspase-3).

IC ICM A61K038-05
 ICS C07K004-00

INCL 514019000

CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1

IT DNA

Tumor necrosis factors

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(preparation of dipeptide apoptosis inhibitors)

IT 153088-73-4 187389-52-2 187389-53-3 210344-95-9
 210344-98-2 321690-65-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of dipeptide apoptosis inhibitors)

IT 153088-73-4

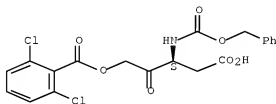
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of dipeptide apoptosis inhibitors)

RN 153088-73-4 CAPLUS

CN Benzoic acid, 2,6-dichloro-, (3S)-4-carboxy-2-oxo-3-
[[(phenylmethoxy)carbonyl]amino]butyl ester (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS
RECORD (10 CITINGS)
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:433866 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 133:248664

TITLE: Biotin Reagents for Antibody Pretargeting. 4.
Selection of Biotin Conjugates for in Vivo
Application Based on Their Dissociation Rate from
Avidin and Streptavidin

AUTHOR(S): Wilbur, D. Scott; Chyan, Ming-Kuan; Pathare, Pradip
M.; Hamlin, Donald K.; Frownfelter, Milah B.; Kegley,
Brian B.

CORPORATE SOURCE: Department of Radiation Oncology, University of
Washington, Seattle, WA, 98195, USA

SOURCE: Bioconjugate Chemistry (2000), 11(4), 569-583
CODEN: BCCHE5; ISSN: 1043-1802

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An investigation was conducted to determine the affect of structural variation of biotin conjugates on their dissociation rates from Av and SAV. This information was sought to help identify optimal biotin derivs. for in vivo applications. Fifteen biotin derivs. were conjugated with a cyanocobalamin (CN-Cbl) derivative for evaluation of their "relative" dissociation rates by size exclusion HPLC anal. Two biotin-CN-Cbl conjugates, one containing unaltered biotin and the other containing iminobiotin, were prepared as reference compds. for comparison purposes. The first structural variations studied involved modification of the biotinamide bond with a N-Me moiety (i.e., sarcosine conjugate), lengthening the valeric acid side chain by a methylene unit (i.e., homobiotin), and replacing the biotinamide bond with thiourea bonds in two conjugates. The rate of dissociation of the biotin-CN-Cbl derivative from Av and SAV was significantly increased for biotin derivs. containing those structural features. Nine addnl. biotin conjugates were obtained by coupling amino acids or functional group protected amino acids to the biotin moiety. In the conjugates, the biotin moiety and biotinamide bond were not altered, but substituents of various sizes were introduced α to the biotinamide bond. The results obtained from HPLC analyses indicated that the rate of dissociation from Av or SAV was not affected by small substituents α to the biotinamide (e.g., Me, hydroxymethyl, and carboxylate groups), but was

significantly increased when larger functional groups were present. On the basis of the results obtained, it appears that biotin conjugates which retain an unmodified biotin moiety and have a linker mol. conjugated to it that has a small functional group (e.g., hydroxymethylene or carboxylate) α to the biotinamide bond are excellent candidates for in vivo applications. These structural features are obtained in the biotin amino acid conjugates: biotin-serine, biotin-aspartate, biotin-lysine, and biotin-cysteine. Importantly, these biotin derivs. can be readily conjugated with other mols. for specific in vivo applications. In our studies, these derivs. will be used in the design of new biotin conjugates to carry radionuclides for cancer therapy using the pretargeting approach.

- CC 6-7 (General Biochemistry)
 Section cross-reference(s): 9, 26
- ST biotin conjugate binding avidin streptavidin structure synthesis
- IT Structure-activity relationship
 (avidin-binding; biotin reagents for antibody
 pretargeting - selection of biotin conjugates for in vivo
 application based on dissociation rate from avidin and
 streptavidin)
- IT Molecular association
 (biotin reagents for antibody pretargeting - selection of
 biotin conjugates for in vivo application based on dissociation
 rate from avidin and streptavidin)
- IT Avidins
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
 (Biological study); PROC (Process)
 (biotin reagents for antibody pretargeting - selection of
 biotin conjugates for in vivo application based on dissociation
 rate from avidin and streptavidin)
- IT 9013-20-1, Streptavidin 151009-85-7D, derivs.
 295322-52-0D, derivs. 295322-53-1D, derivs.
 295322-54-2D, derivs.
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
 (Biological study); PROC (Process)
 (biotin reagents for antibody pretargeting - selection of
 biotin conjugates for in vivo application based on dissociation
 rate from avidin and streptavidin)
- IT 58-85-5, Biotin
 RL: BPR (Biological process); BSU (Biological study, unclassified); PRP
 (Properties); BIOL (Biological study); PROC (Process)
 (biotin reagents for antibody pretargeting - selection of
 biotin conjugates for in vivo application based on dissociation
 rate from avidin and streptavidin)
- IT 295330-59-5P
 RL: BPR (Biological process); BSU (Biological study, unclassified); RCT
 (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP
 (Preparation); PROC (Process); RACT (Reactant or reagent)
 (biotin reagents for antibody pretargeting - selection of
 biotin conjugates for in vivo application based on dissociation
 rate from avidin and streptavidin)
- IT 58-85-5DP, Biotin, conjugates 295329-79-2P
 295329-86-1P 295329-90-7P 295330-09-5P
 295330-10-8P 295330-11-9P 295330-21-1P
 295330-33-5P 295330-44-8P 295330-76-6P
 295330-87-9P 295330-88-0P 295330-91-5P
 295330-92-6P
 RL: BPR (Biological process); BSU (Biological study, unclassified); SPN
 (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC
 (Process)
 (biotin reagents for antibody pretargeting - selection of

biotin conjugates for in vivo application based on dissociation rate from avidin and streptavidin)

IT 98-59-9, p-Toluenesulfonyl chloride 769-39-1 1784-22-1,
 Biotin 2418-95-3 3057-74-7 4125-93-3 6160-65-2
 17083-26-0 135242-89-6 142685-25-4 157720-49-5
 173341-32-7 173401-47-3, Norbiotinamine
 295322-35-9 295322-37-1 295322-40-6
 295322-41-7 295322-44-0 295329-78-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(biotin reagents for antibody pretargeting - selection of biotin conjugates for in vivo application based on dissociation rate from avidin and streptavidin)

IT 608-16-2P 6929-42-6P, Biotinamide
 53906-36-8P 69705-14-2P 173355-35-6P
 188014-61-1P 195152-91-1P 295322-34-8P
 295322-36-0P 295322-39-3P 295322-42-8P
 295322-43-9P 295322-45-1P 295322-48-4P
 295322-49-5P 295322-51-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(biotin reagents for antibody pretargeting - selection of biotin conjugates for in vivo application based on dissociation rate from avidin and streptavidin)

IT 151009-85-7D, derivs. 295322-52-0D, derivs.
 295322-53-1D, derivs. 295322-54-2D, derivs.

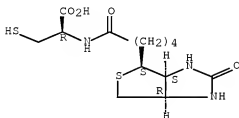
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(biotin reagents for antibody pretargeting - selection of biotin conjugates for in vivo application based on dissociation rate from avidin and streptavidin)

RN 151009-85-7 CAPLUS

CN L-Cysteine, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]- (CA INDEX NAME)

Absolute stereochemistry.

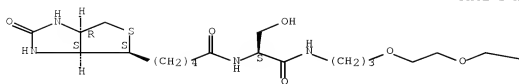


RN 295322-52-0 CAPLUS

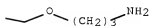
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide,
 N-[(1S)-16-amino-1-(hydroxymethyl)-2-oxo-7,10,13-trioxa-3-azahexadec-1-yl]hexahydro-2-oxo-, (3aS,4S,6aR)- (CA INDEX NAME)

Absolute stereochemistry.

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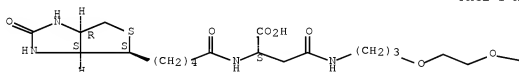


RN 295322-53-1 CAPLUS

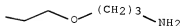
CN 9,12,15-Trioxa-5-azaoctadecanoic acid,
18-amino-2-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-4-oxo-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



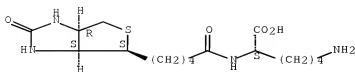
PAGE 1-B



RN 295322-54-2 CAPLUS

CN L-Lysine, N2-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]- (CA INDEX NAME)

Absolute stereochemistry.



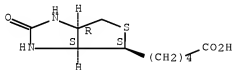
IT 58-85-5, Biotin

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(biotin reagents for antibody pretargeting - selection of biotin conjugates for in vivo application based on dissociation rate from avidin and streptavidin)

RN 58-85-5 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanoic acid, hexahydro-2-oxo-, (3aS,4S,6aR)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



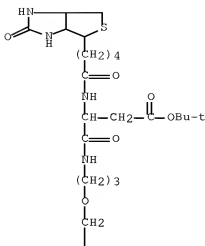
IT 295330-59-5P

RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(biotin reagents for antibody pretargeting - selection of biotin conjugates for in vivo application based on dissociation rate from avidin and streptavidin)

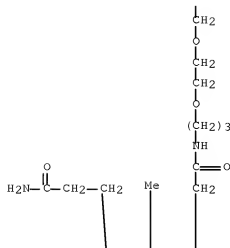
RN 295330-59-5 CAPLUS

CN Cobinamide, Co-(cyano-kC)-Nc-[(16S)-16-[2-(1,1-dimethylethoxy)-2-oxoethyl]-22-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-15,18-dioxo-4,7,10-trioxo-14,17-diazadocos-1-yl]-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1- α -D-ribofuranosyl-1H-benzimidazole-kN3), stereoisomer (9CI) (CA INDEX NAME)

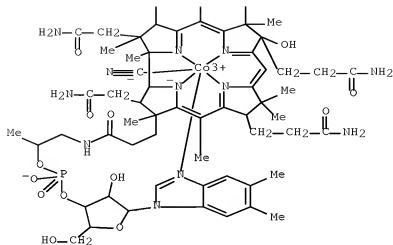
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IT 58-85-5DP, Biotin, conjugates 295329-79-2P
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 295330-10-8P 295330-11-9P 295330-21-1P
 295330-33-5P 295330-44-8P 295330-76-6P
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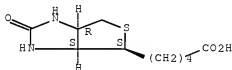
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(biotin reagents for antibody pretargeting - selection of biotin conjugates for in vivo application based on dissociation rate from avidin and streptavidin)

RN 58-85-5 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanoic acid, hexahydro-2-oxo-, (3aS,4S,6aR)- (CA INDEX NAME)

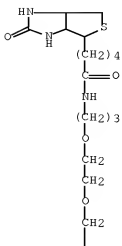
Absolute stereochemistry. Rotation (+).



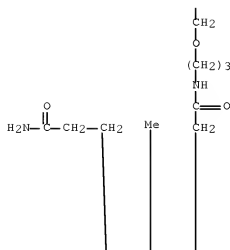
RN 295329-79-2 CAPLUS

CN Cobinamide, Co-(cyano-κC)-Nc-[19-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-15-oxo-4,7,10-trioxo-14-azanonadec-1-yl]-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1-α-D-ribofuranosyl-1H-benzimidazole-κN3), stereoisomer (9CI) (CA INDEX NAME)

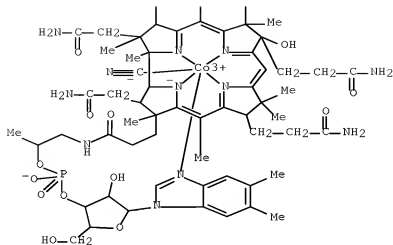
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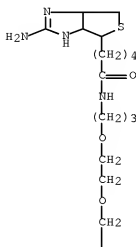
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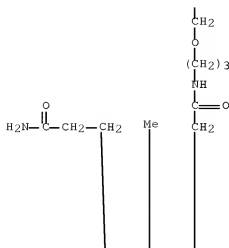
RN 295329-86-1 CAPLUS

CN Cobinamide, Co-(cyano-κC)-Nc-[19-[(3aS,4S,6aR)-hexahydro-2-imino-1H-thieno[3,4-d]imidazol-4-yl]-15-oxo-4,7,10-trioxa-14-azanadec-1-yl]-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1-α-D-ribofuranosyl-1H-benzimidazole-κN3), stereoisomer (9CI) (CA INDEX NAME)

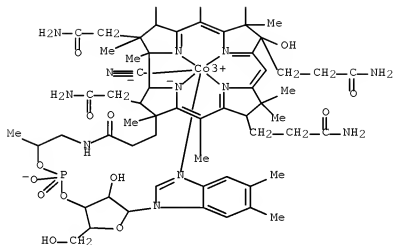
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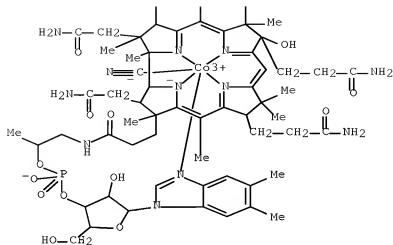
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RN 295329-90-7 CAPLUS

CN Cobinamide, Co-(cyano-κC)-Nc-[22-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-17-methyl-15,18-dioxo-4,7,10-trioxa-14,17-diazadocos-1-yl]-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1-α-D-ribofuranosyl-1H-benzimidazole-κN3), stereoisomer (CA INDEX NAME)

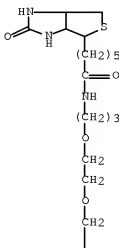
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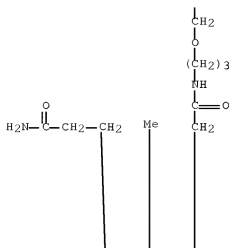
RN 295330-09-5 CAPLUS

CN Cobinamide, Co-(cyano-κC)-Nc-[20-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-15-oxo-4,7,10-trioxa-14-azaeicos-1-yl]-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1-α-D-ribofuranosyl-1H-benzimidazole-κN3), stereoisomer (9CI) (CA INDEX NAME)

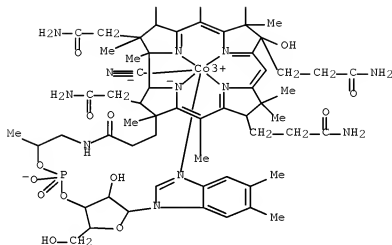
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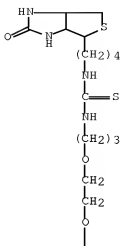
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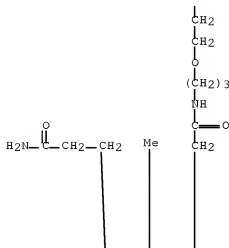
RN 295330-10-8 CAPLUS

CN Cobinamide, Co-(cyano-κC)-Nc-[20-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-15-thioxo-4,7,10-trioxo-14,16-diazaeicos-1-yl]-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1-α-D-ribofuranosyl-1H-benzimidazole-κN3), stereoisomer (9CI) (CA INDEX NAME)

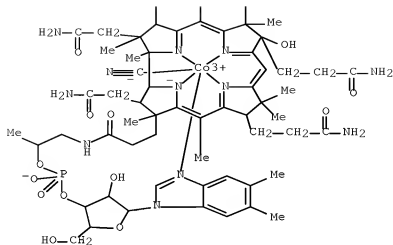
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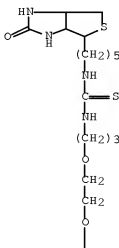
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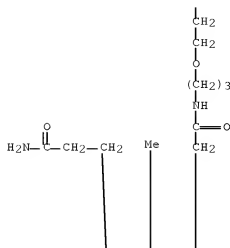
RN 295330-11-9 CAPLUS

CN Cobinamide, Co-(cyano-κC)-Nc-[21-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-15-thioxo-4,7,10-trioxo-14,16-diazaheneicos-1-yl]-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1-α-D-ribofuranosyl-1H-benzimidazole-κN3), stereoisomer (9CI) (CA INDEX NAME)

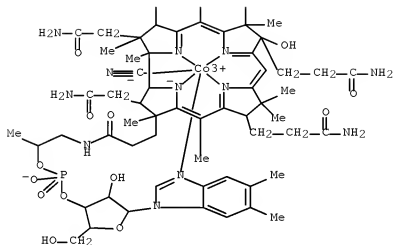
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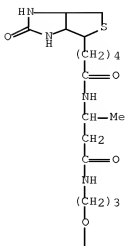
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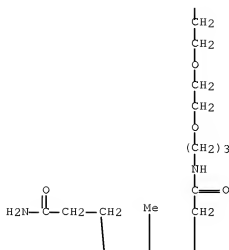
RN 295330-21-1 CAPLUS

CN Cobinamide, Co-(cyano-κC)-Nc-[23-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-17-methyl-15,19-dioxo-4,7,10-trioxa-14,18-diazatricos-1-yl]-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1-α-D-ribofuranosyl-1H-benzimidazole-κN3), stereoisomer (9CI) (CA INDEX NAME)

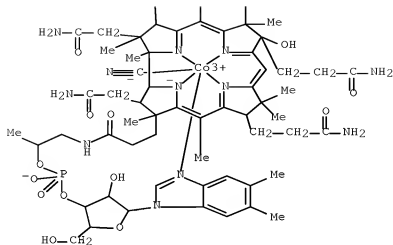
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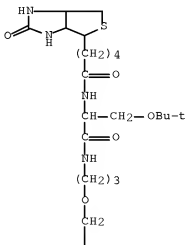
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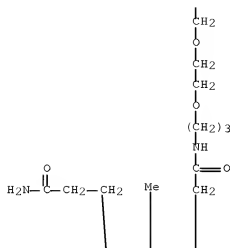
RN 295330-33-5 CAPLUS

CN Cobinamide, Co-(cyano-κC)-Nc-[(16S)-16-[(1,1-dimethylethoxy)methyl]-22-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-15,18-dioxo-4,7,10-trioxa-14,17-diazadocos-1-yl]-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1-α-D-ribofuranosyl-1H-benzimidazole-κN3), stereoisomer (9CI) (CA INDEX NAME)

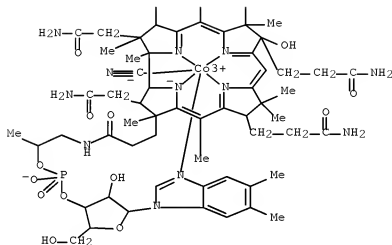
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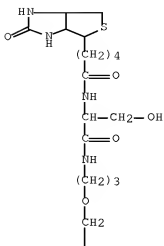
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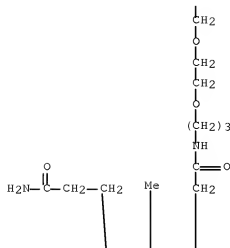
RN 295330-44-8 CAPLUS

CN Cobinamide, Co-(cyano- κC)-Nc-[(16S)-22-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-16-(hydroxymethyl)-15,18-dioxo-4,7,10-trioxa-14,17-diazadocos-1-yl]-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1- α -D-ribofuranosyl-1H-benzimidazole- $\kappa\text{N}3$), stereoisomer (9CI) (CA INDEX NAME)

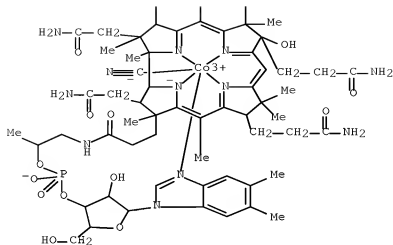
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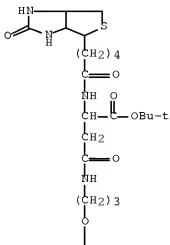
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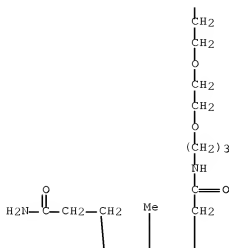
RN 295330-76-6 CAPLUS

CN Cobinamide, Co-(cyano-κC)-Nc-[(17S)-17-[(1,1-dimethylethoxy)carbonyl]-23-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-15,19-dioxo-4,7,10-trioxo-14,18-diazatricos-1-yl]-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1-α-D-ribofuranosyl-1H-benzimidazole-κN3), stereoisomer (9CI) (CA INDEX NAME)

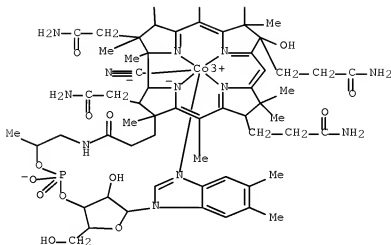
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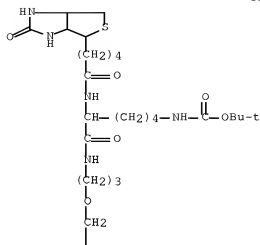
PAGE 3-A



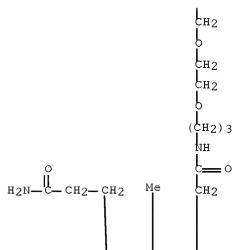
RN 295330-87-9 CAPLUS

CN Cobinamide, Co-(cyano-κC)-Nc-[(16S)-16-[4-[(1,1-dimethylethoxy)carbonylamino]butyl]-22-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-15,18-dioxo-4,7,10-trioxo-14,17-diazadocos-1-yl]-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1-α-D-ribofuranosyl-1H-benzimidazole-κN3), stereoisomer (9CI) (CA INDEX NAME)

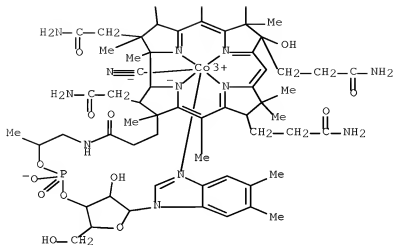
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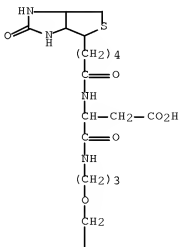


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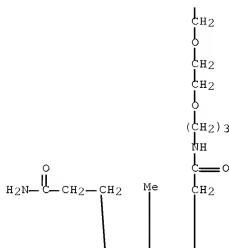


RN 295330-88-0 CAPLUS
 CN Cobinamide, Nc-[(16S)-16-(carboxymethyl)-22-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-15,18-dioxo-4,7,10-trioxo-14,17-diazadocos-1-yl]-Co-(cyano-κC)-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1-α-D-ribofuranosyl-1H-benzimidazole-κN3), stereoisomer (9CI) (CA INDEX NAME)

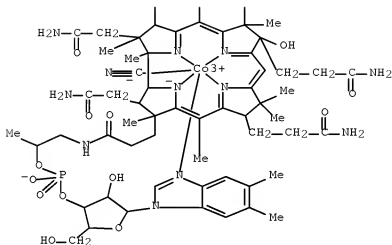
PAGE 1-A



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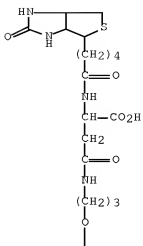


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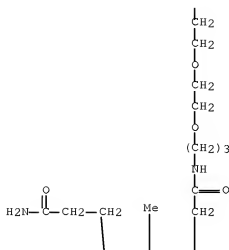


RN 295330-91-5 CAPLUS
 CN Cobinamide, Nc-[(16S)-16-carboxy-22-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-15,18-dioxo-4,7,10-trioxo-14,17-diazadocos-1-yl]-Co-(cyano-κC)-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1-α-D-ribofuranosyl-1H-benzimidazole-κN3), stereoisomer (9CI) (CA INDEX NAME)

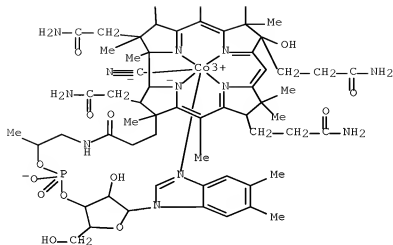
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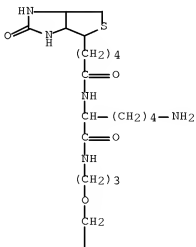


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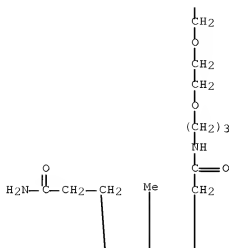


RN 295330-92-6 CAPLUS
 CN Cobinamide, Nc-[(16S)-16-(4-aminobutyl)-22-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-15,18-dioxo-4,7,10-trioxo-14,17-diazadocos-1-yl]-Co-(cyano-κC)-8-hydroxy-, f-(dihydrogen phosphate), inner salt, 3'-ester with (5,6-dimethyl-1-α-D-ribofuranosyl-1H-benzimidazole-κN3), stereoisomer (9CI) (CA INDEX NAME)

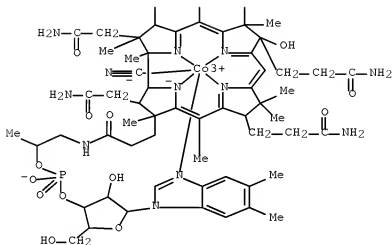
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IT 1784-22-1, Romobiotin 135242-89-6
 157720-49-5 173341-32-7 173401-47-3,
 Norbiotinamine 295322-35-9 295322-37-1
 295322-40-6 295322-41-7 295322-44-6

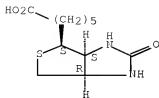
RL: RCT (Reactant); RACT (Reactant or reagent)

(biotin reagents for antibody pretargeting - selection of
 biotin conjugates for in vivo application based on dissociation
 rate from avidin and streptavidin)

RN 1784-22-1 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-hexanoic acid, hexahydro-2-oxo-, (3aS,4S,6aR)-
 (CA INDEX NAME)

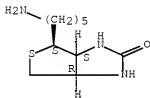
Absolute stereochemistry.



RN 135242-89-6 CAPLUS

CN 1H-Thieno[3,4-d]imidazol-2(3H)-one, 4-(5-aminopentyl)tetrahydro-,
(3aS,4S,6aR)- (CA INDEX NAME)

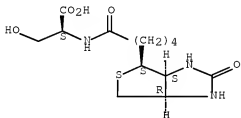
Absolute stereochemistry.



RN 157720-49-5 CAPLUS

CN L-Serine, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]- (CA INDEX NAME)

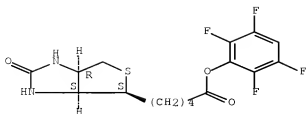
Absolute stereochemistry.



RN 173341-32-7 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanoic acid, hexahydro-2-oxo-,
2,3,5,6-tetrafluorophenyl ester, (3aS,4S,6aR)- (CA INDEX NAME)

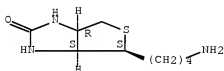
Absolute stereochemistry.



RN 173401-47-3 CAPLUS

CN 1H-Thieno[3,4-d]imidazol-2(3H)-one, 4-(4-aminobutyl)tetrahydro-, (3aS,4S,6aR)- (CA INDEX NAME)

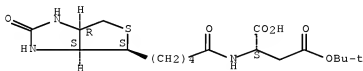
Absolute stereochemistry.



RN 295322-35-9 CAPLUS

CN L-Aspartic acid, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 4-(1,1-dimethylethyl) ester (CA INDEX NAME)

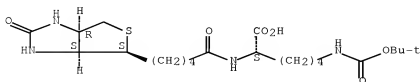
Absolute stereochemistry.



RN 295322-37-1 CAPLUS

CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]- (CA INDEX NAME)

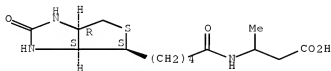
Absolute stereochemistry.



RN 295322-40-6 CAPLUS

CN Butanoic acid, 3-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino)- (CA INDEX NAME)

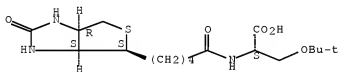
Absolute stereochemistry.



RN 295322-41-7 CAPLUS

CN L-Serine, O-(1,1-dimethylethyl)-N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]- (CA INDEX NAME)

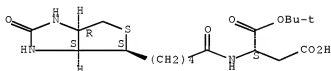
Absolute stereochemistry.



RN 295322-44-0 CAPLUS

CN L-Aspartic acid, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 1-(1,1-dimethylethyl) ester (CA INDEX NAME)

Absolute stereochemistry.



IT 608-16-2P 6929-42-6P, Biotinamide
 53906-36-8P 69705-14-2P 173355-35-6P
 188014-61-1P 195152-91-1P 295322-34-8P
 295322-36-0P 295322-39-3P 295322-42-8P
 295322-43-9P 295322-45-1P 295322-48-4P
 295322-49-5P 295322-51-9P

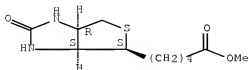
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(biotin reagents for antibody pretargeting - selection of biotin conjugates for in vivo application based on dissociation rate from avidin and streptavidin)

RN 608-16-2 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanoic acid, hexahydro-2-oxo-, methyl ester, (3aS,4S,6aR)- (CA INDEX NAME)

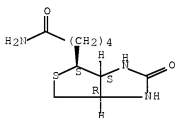
Absolute stereochemistry. Rotation (+).



RN 6929-42-6 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, hexahydro-2-oxo-, (3aS,4S,6aR)- (CA INDEX NAME)

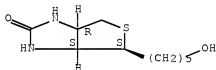
Absolute stereochemistry.



RN 53906-36-8 CAPLUS

CN 1H-Thieno[3,4-d]imidazol-2(3H)-one, tetrahydro-4-(5-hydroxypentyl)-, (3aS,4S,6aR)- (CA INDEX NAME)

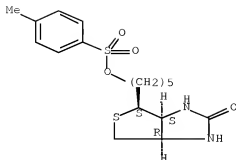
Absolute stereochemistry. Rotation (+).



RN 69705-14-2 CAPLUS

CN 1H-Thieno[3,4-d]imidazol-2(3H)-one, tetrahydro-4-[5-[[[4-methylphenyl)sulfonyl]oxy]pentyl]-, [3aS-(3α,4β,6α)]- (9CI) (CA INDEX NAME)

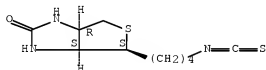
Absolute stereochemistry.



RN 173355-35-6 CAPLUS

CN 1H-Thieno[3,4-d]imidazol-2(3H)-one, tetrahydro-4-(4-isothiocyanatobutyl)-, (3aS,4S,6aR)- (CA INDEX NAME)

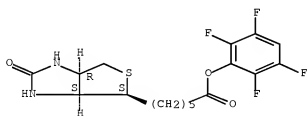
Absolute stereochemistry.



RN 188014-61-1 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-hexanoic acid, hexahydro-2-oxo-, 2,3,5,6-tetrafluorophenyl ester, (3aS,4S,6aR)- (CA INDEX NAME)

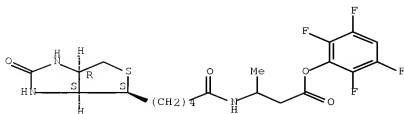
Absolute stereochemistry.



RN 195152-91-1 CAPLUS

CN Butanoic acid, 3-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-, 2,3,5,6-tetrafluorophenyl ester (CA INDEX NAME)

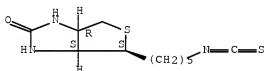
Absolute stereochemistry.



RN 295322-34-8 CAPLUS

CN 1H-Thieno[3,4-d]imidazol-2(3H)-one,
tetrahydro-4-(5-isothiocyanatopentyl)-, (3aS,4S,6aR)- (CA INDEX NAME)

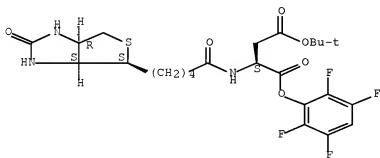
Absolute stereochemistry.



RN 295322-36-0 CAPLUS

CN L-Aspartic acid, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 4-(1,1-dimethylethyl)
1-(2,3,5,6-tetrafluorophenyl) ester (CA INDEX NAME)

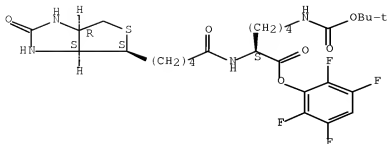
Absolute stereochemistry.



RN 295322-39-3 CAPLUS

CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 2,3,5,6-tetrafluorophenyl ester (CA INDEX NAME)

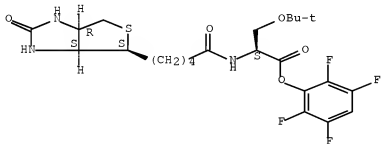
Absolute stereochemistry.



RN 295322-42-8 CAPLUS

CN L-Serine, O-(1,1-dimethylethyl)-N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 2,3,5,6-tetrafluorophenyl ester
(CA INDEX NAME)

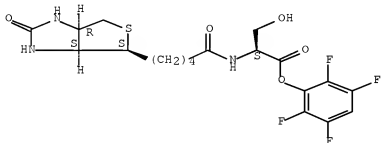
Absolute stereochemistry.



RN 295322-43-9 CAPLUS

CN L-Serine, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 2,3,5,6-tetrafluorophenyl ester (CA INDEX NAME)

Absolute stereochemistry.

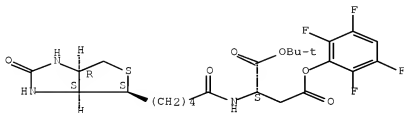


RN 295322-45-1 CAPLUS

CN L-Aspartic acid, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 1-(1,1-dimethylethyl)

4-(2,3,5,6-tetrafluorophenyl) ester (CA INDEX NAME)

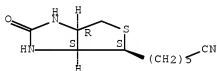
Absolute stereochemistry.



RN 295322-48-4 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-hexanenitrile, hexahydro-2-oxo-, (3aS,4S,6aR)-
(CA INDEX NAME)

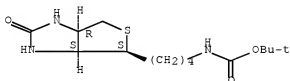
Absolute stereochemistry.



RN 295322-49-5 CAPLUS

CN Carbamic acid, [4-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

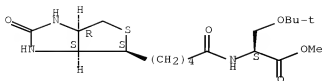
Absolute stereochemistry.



RN 295322-51-9 CAPLUS

CN L-Serine, O-(1,1-dimethylethyl)-N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (26 CITINGS)

REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:800847 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 132:208124

TITLE: A novel approach to the solid-phase synthesis of (acyloxy)methyl ketones

AUTHOR(S): Mujica, M. Teresa; Jung, Gunther

CORPORATE SOURCE: Institut Organische Chemie, Eberhard-Karls-Univ., Tübingen, D-72076, Germany

SOURCE: Synlett (1999), (12), 1933-1935

CODEN: SYNLES; ISSN: 0936-5214

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 132:208124

AB A procedure for the preparation of (acyloxy)methyl ketones on a solid support is reported. The key step in the synthesis includes an efficient hydrohalogenation reaction of aspartyl diazomethyl ketone compatible with Wang-resin.

CC 34-3 (Amino Acids, Peptides, and Proteins)

IT 50-30-6, 2,6-Dichlorobenzoic acid 99-34-3, 3,5-Dinitrobenzoic acid 586-38-9, 3-Methoxybenzoic acid 619-65-8, 4-Cyanobenzoic acid 632-46-2, 2,6-Dimethylbenzoic acid 771-61-9, Pentafluorophenol 2516-96-3, 2-Chloro-5-nitrobenzoic acid 119062-05-4D, Resin Bound

RL: RCT (Reactant); RACT (Reactant or reagent)

(solid-phase synthesis of (acyloxy)methyl ketones, peptidomimetics)

IT 260434-72-8P 260434-73-9P 260434-74-0P 260434-75-1P 260434-76-2P 260434-77-3P 260434-78-4P 260434-79-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(solid-phase synthesis of (acyloxy)methyl ketones, peptidomimetics)

IT 260434-74-0P

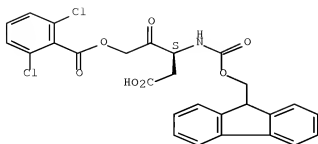
RL: SPN (Synthetic preparation); PREP (Preparation)

(solid-phase synthesis of (acyloxy)methyl ketones, peptidomimetics)

RN 260434-74-0 CAPLUS

CN Benzoic acid, 2,6-dichloro-, (3S)-4-carboxy-3-[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-2-oxobutyl ester (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(6 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:530878 CAPLUS [Full-text](#)
DOCUMENT NUMBER: 131:189466
TITLE: Hair growth stimulants containing cysteine protease
inhibitors or caspase family inhibitors
INVENTOR(S): Nakagawa, Noriaki
PATENT ASSIGNEE(S): Kanebo, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11228352	A	19990824	JP 1998-37419	19980219 <--

PRIORITY APPLN. INFO.: JP 1998-37419 19980219 <--

AB Hair growth stimulants contain cysteine protease inhibitors or caspase family inhibitors, which suppress apoptosis occurring in catagen. Iodoacetamide (I) significantly inhibited DNA fragmentation in hair follicle-derived epithelial cells during apoptosis induction. A hair tonic containing olive oil 5.0, iso-Pr myristate 2.0, isopropylmethylphenol 0.05, polyoxyethylene nonylphenyl ether 0.5, methylparaben 0.1, EtOH 60.0, I 1.0, perfume 0.1%, and H2O balance was prepared

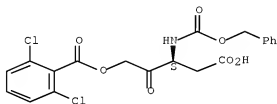
IC ICM A61K007-06
CC 62-3 (Essential Oils and Cosmetics)
IT 56-84-8, Aspartic acid, biological studies 144-48-9, Iodoacetamide 402-71-1, TPCK 2364-87-6, TLCK 143313-51-3 153088-73-4 169332-60-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(hair growth stimulants containing cysteine protease inhibitors or caspase family inhibitors)

IT 153088-73-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(hair growth stimulants containing cysteine protease inhibitors or caspase family inhibitors)

RN 153088-73-4 CAPLUS

CN Benzoic acid, 2,6-dichloro-, (3S)-4-carboxy-2-oxo-3-
[[(phenylmethoxy)carbonyl]amino]butyl ester (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L22 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:259857 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 131:88165

TITLE: Experiments on a new phosphine-peptide chelator for
labeling of peptides with Tc-99m
AUTHOR(S): Santimaria, M.; Blok, D.; Feitsma, R. I. J.; Mazzi,
U.; Pauwels, E. K. J.

CORPORATE SOURCE: Department of Radiology, Division of Nuclear Medicine,
Leiden University Medical Center, Leiden, 2300 RC,
Neth.

SOURCE: Nuclear Medicine and Biology (1999), 26(3), 251-258
CODEN: NMBIEO; ISSN: 0969-8051

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A phosphine-containing ligand providing a N-(N-[3-(diphenylphosphino)propionylglycyl]-L-S-benzyl-cystein (PNNS) donor atomset for the chelation of ^{99m}Tc was studied in labeling expts. with a model peptide (tetragastrin, cholecystokinin-fragment). The peptide was conjugated to the ligand chelator by active ester chemical either before or after radiolabeling. Both the chelator-conjugate and the preformed chelate approaches resulted in the same radiolabeled isomers of the ligand peptide. Sequence and reaction conditions influence yield and purity.

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 8, 78

ST peptide ligand prepn chelation technetium ^{99m} scintigraphy

IT Chelation

Scintigraphy

(expts. on a new phosphine-peptide chelator for labeling of
peptides with ^{99m}Tc)

IT Peptides, preparation

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(expts. on a new phosphine-peptide chelator for labeling of
peptides with ^{99m}Tc)

IT 170278-49-6P 191981-60-9P 229163-58-0P 229163-60-4P

229483-09-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation and reaction of in the synthesis of phosphine-peptide chelator 99mTc-labeled peptides)

IT 229483-10-7P 229483-11-8P 229483-12-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of as phosphine-peptide chelator 99mTc-labeled peptides)

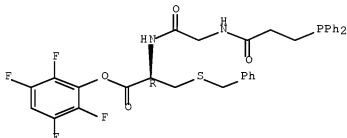
IT 1947-37-1, 4-7-Cholecystokinin-7 (swine) 23288-60-0 170278-50-9
 170278-51-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of in the synthesis of phosphine-peptide chelator 99mTc-labeled peptides)

IT 229163-58-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of in the synthesis of phosphine-peptide chelator 99mTc-labeled peptides)

RN 229163-58-0 CAPLUS

CN L-Cysteine, N-[3-(diphenylphosphino)-1-oxopropyl]glycyl-S-(phenylmethyl)-, 2,3,5,6-tetrafluorophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:166524 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 130:231396

TITLE: Combinatorial library

INVENTOR(S): Pollak, Alfred; Thornback, John; Roe, David; Wong, Ernest

PATENT ASSIGNEE(S): Resolution Pharmaceuticals Inc., Can.

SOURCE: PCT Int. Appl., 69 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

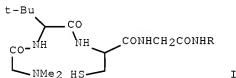
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910016	A1	19990304	WO 1998-CA801	19980821 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,				

KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
 NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
 UA, UG, UZ, VN, YU, ZW
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
 CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 CA 2341969 A1 19990304 CA 1998-2341969 19980821 <--
 AU 9888493 A 19990316 AU 1998-88493 19980821 <--
 EP 1007106 A1 20000614 EP 1998-940025 19980821 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI
 PRIORITY APPLN. INFO.: US 1997-56531P P 19970821 <--
 CA 1997-2214704 A 19970905 <--
 US 1997-67403P P 19971205 <--
 WO 1998-CA801 W 19980821 <--

OTHER SOURCE(S): MARPAT 130:231396
 GI



AB Combinatorial libraries containing chelator compds., such as I (R = polypeptide, a mixture of potential targeting mols.) (H3L) and ReOL were prepared The chelator compds. maybe complexed with metals and metal radionuclides for imaging applications or reactive Re complexes for radiotherapy. These libraries are useful in identifying labeled compds. which exhibit a desired targeting activity.

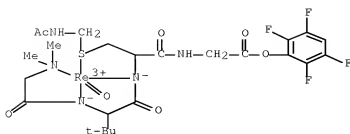
IC ICM A61K051-04
 ICS A61K051-08; A61K049-00; C07K001-04

CC 78-7 (Inorganic Chemicals and Reactions)
 Section cross-reference(s): 8, 34

IT 221034-26-QP
 RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of)

IT 221034-26-QP
 RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of)

RN 221034-26-0 CAPLUS
 CN Rhenium(1+), oxo[2,3,5,6-tetrafluorophenyl
 N,N-dimethylglycyl-κN-3-methyl-L-valyl-κN-S-
 [(acetylaminomethyl)-L-cysteinyl-κN,κS-glycinato(2-)]-,
 (SP-5-25)- (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(6 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:568911 CAPLUS Full-text
DOCUMENT NUMBER: 129:184238
ORIGINAL REFERENCE NO.: 129:37273a, 37276a
TITLE: Screening for thymocyte caspase activity modulators
INVENTOR(S): Reinherz, Ellis; Clayton, Linda; Ocain, Timothy D.;
Patch, Raymond J.
PATENT ASSIGNEE(S): Dana Farber Cancer Institute, USA; Procept, Inc.
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9836057	A1	19980820	WO 1998-US3524	19980217
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 7247438	B1	20070724	US 1997-948124	19971009
PRIORITY APPLN. INFO.:			US 1997-802474	A 19970218
			US 1997-948124	A 19971009

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Work described herein shows that T cell receptor triggering by peptide/MHC ligands activates a caspase in thymocytes, including CD4+CD8+ double pos. thymocytes, resulting in their death. Methods of inhibiting apoptosis in thymocytes are described, as well as assays for identifying an agent which alters the activity of the caspase are described.

IC ICM C12N009-50
CC 1-1 (Pharmacology)
Section cross-reference(s): 7
IT 187389-52-2P 191666-52-1P 211918-95-5P
211918-96-6P 211918-97-7P 211918-98-8P 211918-99-9P
211919-00-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(screening for thymocyte caspase activity modulators)
IT 211918-91-1P 211918-92-2P 211918-93-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(screening for thymocyte caspase activity modulators)

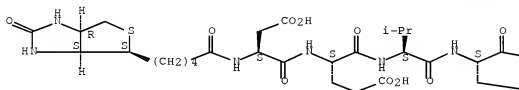
IT 191666-52-1P 211918-96-6P 211918-99-9P
211919-00-5PRL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(screening for thymocyte caspase activity modulators)

RN 191666-52-1 CAPLUS

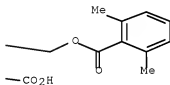
CN L-Valinamide, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-L- α -aspartyl-L- α -glutamyl-N-[(1S)-1-(carboxymethyl)-3-[(2,6-dimethylbenzoyl)oxy]-2-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

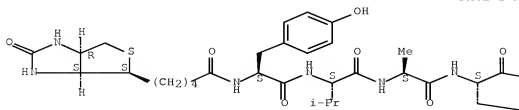


RN 211918-96-6 CAPLUS

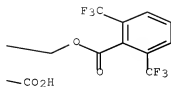
CN L-Alaninamide, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-L-tyrosyl-L-valyl-N-[(1S)-3-[(2,6-bis(trifluoromethyl)benzoyl)oxy]-1-(carboxymethyl)-2-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



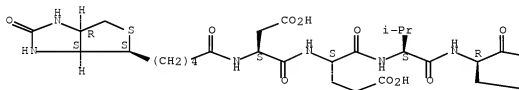
PAGE 1-B



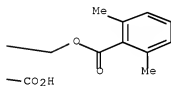
RN 211918-99-9 CAPLUS
 CN L-Valinamide, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-L- α -aspartyl-L- α -glutamyl-N-[(1R)-1-(carboxymethyl)-3-[(2,6-dimethylbenzoyl)oxy]-2-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



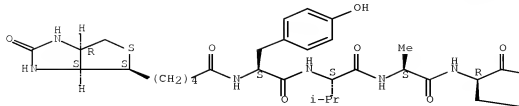
PAGE 1-B



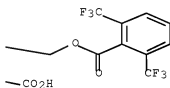
RN 211919-00-5 CAPLUS
 CN L-Alaninamide, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-L-tyrosyl-L-valyl-N-[(1R)-3-[[2,6-bis(trifluoromethyl)benzoyl]oxy]-1-(carboxymethyl)-2-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

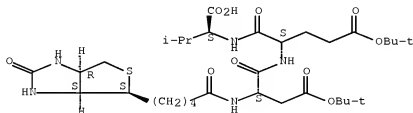


PAGE 1-B



IT 211918-91-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (screening for thymocyte caspase activity modulators)
 RN 211918-91-1 CAPLUS
 CN L-Valine, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-
 yl]-1-oxopentyl]-L- α -aspartyl-L- α -glutamyl-,
 2,3-bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1997:717935 CAPLUS Full-text
 DOCUMENT NUMBER: 128:1461
 ORIGINAL REFERENCE NO.: 128:331a,334a

TITLE: Substrates and inhibitors of proteolytic enzymes
 INVENTOR(S): Quibell, Martin; Johnson, Tony; Hart, Terance
 PATENT ASSIGNEE(S): Peptide Therapeutics Ltd., UK; Quibell, Martin; Johnson, Tony; Hart, Terance
 SOURCE: PCT Int. Appl., 93 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740065	A2	19971030	WO 1997-GB1157	19970424 <--
WO 9740065	A3	19971204		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2252508	A1	19971030	CA 1997-2252508	19970424 <--
AU 9726449	A	19971112	AU 1997-26449	19970424 <--
AU 706855	B2	19990624		
CA 2252408	A1	19971113	CA 1997-2252408	19970424 <--
EP 906333	A2	19990407	EP 1997-918252	19970424 <--
EP 906333	B1	20010725		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001501170	T	20010130	JP 1997-537864	19970424 <--
JP 4215822	B2	20090128		
AT 203545	T	20010815	AT 1997-918252	19970424 <--
ES 2162277	T3	20011216	ES 1997-918252	19970424 <--
US 6528275	B1	20030304	US 1999-171680	19991103 <--
US 20030092067	A1	20030515	US 2002-259420	20020930 <--
PRIORITY APPLN. INFO.:				
			GB 1996-8457	A 19960424 <--
			GB 1996-16115	A 19960731 <--
			GB 1996-24584	A 19961127 <--
			WO 1997-GB1157	W 19970424 <--
			US 1999-171680	A3 19991103 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The present invention relates to the field of compds. which are substrates or inhibitors of proteolytic enzymes and to apparatus and methods for identifying substrates or inhibitors for proteolytic enzymes. We have devised a combinatorial method for the rapid identification of binding motifs which will greatly expedite the synthesis of inhibitors of a variety of proteolytic enzymes such as aspartyl proteases, serine proteases, metallo proteases and cysteinyl proteases. Some inhibitors have the formula A-B-C-D-n-E-F, in which A represents a fluororescor internally quenched by F; while B, C, D, and E represent groups such that the scissile bond between any two of these groups is a suitable bond; n is an integer 1, 2, 3, or 4; and F a quencher capable of internally quenching the fluororescor A.

IC ICM C07K001-04
 ICS B01J019-00; G01N033-68

CC 7-3 (Enzymes)

IT Peptide library

RL: BSU (Biological study, unclassified); BIOL (Biological study) (combinatorial FRET (fluorescence resonance energy transfer))

libraries of proteinase inhibitors; substrates and inhibitors of proteolytic enzymes)

IT 73300-75-1P 187991-44-2P 187991-45-3P 187991-46-4P
 187991-47-5P 187991-48-6P 187991-49-7P 187991-51-1P
 187991-52-2P 187991-53-3P 187991-54-4P 187991-61-3P 187991-62-4P
 187991-63-5P 187991-64-6P 187991-73-7P 187991-74-8P 187991-75-9P
 187991-77-1P 198839-31-5P 198839-32-6P 198839-33-7P
 RL: BPR (Biological process); BSU (Biological study, unclassified); SPN
 (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC
 (Process)

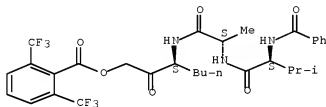
(substrates and inhibitors of proteolytic enzymes)

IT 187991-44-2P 187991-47-3P
 RL: BPR (Biological process); BSU (Biological study, unclassified); SPN
 (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC
 (Process)

(substrates and inhibitors of proteolytic enzymes)

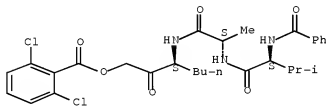
RN 187991-44-2 CAPLUS
 CN L-Alaninamide, N-benzoyl-L-valyl-N-[(1S)-1-[[[2,6-bis(trifluoromethyl)benzoyl]oxy]acetyl]pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 187991-47-5 CAPLUS
 CN L-Alaninamide, N-benzoyl-L-valyl-N-[(1S)-1-[[[2,6-dichlorobenzoyl]oxy]acetyl]pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1997:206852 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 126:274659
 ORIGINAL REFERENCE NO.: 126:53161a,53164a

TITLE: Use of inhibitors to identify essential cysteine proteinases of *Trichomonas vaginalis*

AUTHOR(S): Irvine, Joseph W.; North, Michael J.; Coombs, Graham H.

CORPORATE SOURCE: Infection and Immunity, Institute of Biological and Life Sciences, Joseph Black Building, University of Glasgow, Glasgow, G12 8QQ, UK

SOURCE: FEMS Microbiology Letters (1997), 149(1), 45-50
CODEN: FMLED7; ISSN: 0378-1097

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Designing cysteine proteinase inhibitors as antitrichomonal drugs requires knowledge of which cysteine proteinases are essential to the parasite. To obtain such information, the effects of a number of cysteine proteinase inhibitors on trichomonad growth in vitro and proteinase activity were investigated. The broad specificity inhibitor trans-epoxysuccinyl-L-leucylamido-(4-guanidino)butane (known as E-64) had little effect on growth of *Trichomonas vaginalis* (27% inhibition at 280 μ M, none at 28 μ M) even though the addition of 2.8 μ M E-64 to growth medium resulted in inhibition of all but two (apparent mol. masses: 35 k and 49 k) of the parasite's proteinases detected by gelatin SDS-PAGE. This shows that many of the parasite's cysteine proteinases are not essential for growth in axenic culture. In contrast, a peptidyl acyloxymethyl ketone, N-benzoyloxycarbonyl-Phe-Ala-CH₂OOC-(2,6-(CF₃)₂)Ph, at 16 μ M killed *T. vaginalis* and severely inhibited growth of *Trichomonas foetus*. Exposure of *Trichomonas vaginalis* to 16 μ M of this compound for 1 h resulted in both the 35 kDa and 49 kDa proteinases being inhibited, whereas some other proteinases were unaffected. Similar distinctions between the inhibitor sensitivity of the parasite's cysteine proteinases were apparent when a biotinylated peptidyl diazomethyl ketone was used to detect active proteinases. These data suggest that the growth inhibitory effects of the peptidyl acyloxymethyl ketone are through inhibition of cysteine proteinases that are not affected when the parasites are grown in the presence of E-64. At least one of these enzymes, which include the 35 kDa and 49 kDa cysteine proteinases, must be essential and so a suitable target for chemotherapeutic attack.

CC 10-5 (Microbial, Algal, and Fungal Biochemistry)
Section cross-reference(s): 1, 7

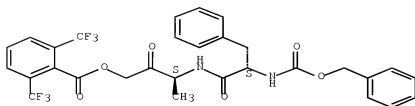
IT 115186-03-3 138674-34-7, Cysteine proteinase inhibitor
RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); PROC (Process); USES (Uses)
(use of inhibitors to identify essential cysteine proteinases of *Trichomonas vaginalis*)

IT 115186-03-3
RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); PROC (Process); USES (Uses)
(use of inhibitors to identify essential cysteine proteinases of *Trichomonas vaginalis*)

RN 115186-03-3 CAPLUS

CN Benzoic acid, 2,6-bis(trifluoromethyl)-,
2-oxo-3-[[1-oxo-3-phenyl-2-
[[[(phenylmethoxy)carbonyl]amino]propyl]amino]butyl ester, [S-(R*,R*)]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L22 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:583102 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 125:292311

ORIGINAL REFERENCE NO.: 125:54371a,54374a

TITLE: Cysteine protease inhibitors block schistosome

hemoglobin degradation in vitro and decrease worm

burden and egg production in vivo

AUTHOR(S): Wasilewski, Margaret M.; Lim, K. C.; Phillips, Jennifer; McKerrow, James H.

CORPORATE SOURCE: Department of Medicine, University of California, San Francisco, San Francisco, CA, USA

SOURCE: Molecular and Biochemical Parasitology (1996), 81(2), 179-189

CODEN: MBIPDP; ISSN: 0166-6851

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Schistosome parasites utilize Hb as a major protein source for their metabolism. Degradation of Hb has been hypothesized to be mediated by both cysteine and aspartyl proteases secreted into the lumen of the parasite intestine. We now show that two distinct types of irreversible cysteine protease-specific inhibitors both arrest schistosome Hb degradation in vitro. Arrest of Hb degradation is followed by death of developing schistosomula 1 wk later. Schistosome infected mice treated by a dose of 2 mg inhibitor per day for 1 wk early in infection, and 2 wk at the time of egg production, showed a significant reduction in worm burden, hepatomegaly, and the number of eggs produced per female worm. Histopathol. showed a minimal immune response to those eggs which were produced, consistent with a delay in egg production relative to untreated infections. By tagging the inhibitor with biotin, specific cysteine protease targets were identified in exts. of schistosome worms.

CC 1-5 (Pharmacology)

IT 105637-38-5 115186-03-3 115186-07-7 118252-93-0

118253-05-7 139323-38-9 148504-25-0 155149-67-0 156707-49-2

182950-32-9 182950-33-0 182950-34-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cysteine protease inhibitors block schistosome Hb degradation in vitro and decrease worm burden and egg production in vivo)

IT 115186-03-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

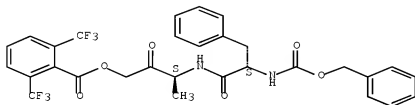
(cysteine protease inhibitors block schistosome Hb degradation in vitro and

decrease worm burden and egg production in vivo)

RN 115186-03-3 CAPLUS

CN Benzoic acid, 2,6-bis(trifluoromethyl)-,
 2-oxo-3-[[1-oxo-3-phenyl-2-
 [[(phenylmethoxy)carbonyl]amino]propyl]amino]butyl ester, [S-(R*,R*)]-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 69 THERE ARE 69 CAPLUS RECORDS THAT CITE THIS
 RECORD (69 CITINGS)

L22 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:184037 CAPLUS Full-text

DOCUMENT NUMBER: 124:254781

ORIGINAL REFERENCE NO.: 124:47093a,47096a

TITLE: Conjugates of metal complexes and oligoribonucleotides
 which bind specifically to selected target structures

INVENTOR(S): Dinkelborg, Ludger; Hilger, Christoph-Stephan;
 Niedballa, Ulrich; Platzeck, Johannes; Raduechel,
 Bernd; Speck, Ulrich

PATENT ASSIGNEE(S): Schering A.-G., Germany

SOURCE: Ger. Offen., 25 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4424922	A1	19960118	DE 1994-4424922	19940714 <--
US 20020077306	A1	20020620	US 1995-488290	19950607 <--
IL 114237	A	20000831	IL 1995-114237	19950620 <--
CA 2194558	A1	19960201	CA 1995-2194558	19950630 <--
WO 9602274	A1	19960201	WO 1995-EP2539	19950630 <--
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9529791	A	19960216	AU 1995-29791	19950630 <--
EP 777498	A1	19970611	EP 1995-925792	19950630 <--
EP 777498	B1	20040428		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1152879	A	19970625	CN 1995-194000	19950630 <--
CN 1219551	C	20050921		
HU 76329	A2	19970828	HU 1997-100	19950630 <--
HU 220859	B1	20020629		

JP 10503182	T	19980324	JP 1996-504630	19950630 <--
RU 2165771	C2	20010427	RU 1997-102039	19950630 <--
AT 265229	T	20040515	AT 1995-925792	19950630 <--
PT 777498	E	20040930	PT 1995-925792	19950630 <--
ES 2220933	T3	20041216	ES 1995-925792	19950630 <--
SK 284598	B6	20050701	SK 1997-28	19950630 <--
CZ 295930	B6	20051214	CZ 1997-114	19950630 <--
ZA 9505895	A	19960219	ZA 1995-5895	19950714 <--
TW 502040	B	20020911	TW 1995-84110812	19951014 <--
NO 9700141	A	19970314	NO 1997-141	19970113 <--
NO 318585	B1	20050411		
AU 9920360	A	19990617	AU 1999-20360	19990312 <--
AU 721330	B2	20000629		
JP 2009197024	A	20090903	JP 2009-134684	20090604 <--
PRIORITY APPLN. INFO.:			DE 1994-4424922	A 19940714 <--
			US 1994-336127	B2 19941104 <--
			US 1994-336128	B2 19941104 <--
			DE 1994-4445078	A 19941205 <--
			US 1994-357573	B2 19941215 <--
			US 1994-358065	B2 19941215 <--
			US 1995-409813	B1 19950324 <--
			AU 1995-29791	A3 19950630 <--
			JP 1996-504630	A3 19950630 <--
			WO 1995-EP2539	W 19950630 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Conjugates of modified oligonucleotides with complexes of radioactive or stable metal isotopes, which bind specifically to biol. target structures, are useful in diagnostic imaging and radiotherapy. The oligonucleotides are modified to render them resistant to degradation by endogenous nucleases, e.g. by O-alkylation, halogenation, amination, or reduction at the 2' position or by replacement of phosphodiester groups by phosphorothioate, phosphorodithioate, or alkylphosphonate linkages. The oligonucleotides are selected from a random mixture for binding to a target such as a non-nucleic acid macromol., tissue, or organ. Thus, a 30-mer oligonucleotide ligand for NGF was conjugated with the linker β -cyanoethyl N,N-diisopropylamino-6-(trifluoroacetamido)-1- hexylphosphoramidite, then with 10-[7-(4-isothiocyanatophenyl)-2-hydroxy-5- oxo-7-(carboxymethyl)-4-azaheptyl]-1,4,7-tris(carboxymethyl)-1,4,7,10- tetraazacyclododecane (preparation given), and complexed with $^{111}\text{In(III)}$ for use as a radiodiagnostic agent.

IC ICM C07H021-04
ICS A61K051-00

ICA C07F009-547

CC 8-9 (Radiation Biochemistry)
Section cross-reference(s): 33

IT Rare earth metals, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(complexes, with chelating agent-oligonucleotide conjugates;
conjugates of metal complexes and oligoribonucleotides which bind specifically to selected target structures)

IT Chelating agents
(conjugates with oligonucleotides; conjugates of metal complexes and oligoribonucleotides which bind specifically to selected target structures)

IT Radioelements, biological studies
Transition metal compounds
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(complexes, with chelating agent-oligonucleotide conjugates;
 conjugates of metal complexes and oligoribonucleotides which bind
 specifically to selected target structures)

IT 10098-91-6DP, Yttrium-90, complex with oligonucleotide-chelating
 agent conjugate, biological studies 13981-25-4DP, Copper-64, complex
 with oligonucleotide-chelating agent conjugate, biological
 studies 14119-09-6DP, Gallium-67, complex with oligonucleotide-
 chelating agent conjugate, biological studies 14133-76-7DP,
 Technetium-99, complexes with oligonucleotide-chelating agent
 conjugates, biological studies 14913-49-6DP, Bismuth-212, complex with
 oligonucleotide-chelating agent conjugate, biological studies
 15750-15-9DP, Indium-111, complex with oligonucleotide-chelating
 agent conjugate, biological studies 175279-02-4DP, technetium-99m
 complexes 175279-03-5DP, yttrium-90 complexes 175387-29-8DP,
 indium-111 complexes 175387-30-1DP, bismuth-212 complexes
 175387-32-3DP, technetium-99m complexes 175387-33-4DP, technetium-99m
 complexes 175387-34-5DP, technetium-99m complexes 175387-35-6DP,
 copper-64 complex 175387-36-7DP, yttrium-90 complexes 175387-37-8DP,
 gallium-67 complex 175387-39-0DP, technetium-99m complexes
 175387-40-3DP, technetium-99m complexes 175387-42-5DP, technetium-99m
 complexes 175387-44-7DP, technetium-99m complexes 175387-45-8DP,
 technetium-99m complexes 175387-46-9DP, yttrium-90 complexes

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)

(conjugates of metal complexes and oligoribonucleotides which bind
 specifically to selected target structures)

IT 7429-91-6D, Dysprosium, complexes with chelating
 agent-oligonucleotide conjugates 7439-88-5D, Iridium, complexes with
 chelating agent-oligonucleotide conjugates 7439-89-6D, Iron,
 complexes with chelating agent-oligonucleotide conjugates
 7439-91-0D, Lanthanum, complexes with chelating
 agent-oligonucleotide conjugates 7439-92-1D, Lead, complexes with
 chelating agent-oligonucleotide conjugates 7439-94-3D, Lutetium,
 complexes with chelating agent-oligonucleotide conjugates
 7439-96-5D, Manganese, complexes with chelating
 agent-oligonucleotide conjugates 7439-97-6D, Mercury, complexes with
 chelating agent-oligonucleotide conjugates 7439-98-7D,
 Molybdenum, complexes with chelating agent-oligonucleotide
 conjugates 7440-00-8D, Neodymium, complexes with chelating
 agent-oligonucleotide conjugates 7440-02-0D, Nickel, complexes with
 chelating agent-oligonucleotide conjugates 7440-04-2D, Osmium,
 complexes with chelating agent-oligonucleotide conjugates
 7440-06-4D, Platinum, complexes with chelating
 agent-oligonucleotide conjugates 7440-10-0D, Praseodymium, complexes
 with chelating agent-oligonucleotide conjugates 7440-12-2D,
 Promethium, complexes with chelating agent-oligonucleotide
 conjugates 7440-15-5D, Rhenium, complexes with chelating
 agent-oligonucleotide conjugates 7440-18-8D, Ruthenium, complexes with
 chelating agent-oligonucleotide conjugates 7440-19-9D, Samarium,
 complexes with chelating agent-oligonucleotide conjugates
 7440-20-2D, Scandium, complexes with chelating
 agent-oligonucleotide conjugates 7440-25-7D, Tantalum, complexes with
 chelating agent-oligonucleotide conjugates 7440-26-8D,
 Technetium, complexes with chelating agent-oligonucleotide
 conjugates 7440-27-9D, Terbium, complexes with chelating
 agent-oligonucleotide conjugates 7440-28-0D, Thallium, complexes with
 chelating agent-oligonucleotide conjugates 7440-30-4D, Thulium,
 complexes with chelating agent-oligonucleotide conjugates
 7440-32-6D, Titanium, complexes with chelating

agent-oligonucleotide conjugates 7440-33-7D, Tungsten, complexes with
 chelating agent-oligonucleotide conjugates 7440-42-8D, Boron,
 complexes with chelating agent-oligonucleotide conjugates
 7440-45-1D, Cerium, complexes with chelating
 agent-oligonucleotide conjugates 7440-47-3D, Chromium, complexes with
 chelating agent-oligonucleotide conjugates 7440-48-4D, Cobalt,
 complexes with chelating agent-oligonucleotide conjugates
 7440-50-8D, Copper, complexes with chelating
 agent-oligonucleotide conjugates 7440-52-0D, Erbium, complexes with
 chelating agent-oligonucleotide conjugates 7440-53-1D, Europium,
 complexes with chelating agent-oligonucleotide conjugates
 7440-54-2D, Gadolinium, complexes with chelating
 agent-oligonucleotide conjugates 7440-55-3D, Gallium, complexes with
 chelating agent-oligonucleotide conjugates 7440-57-5D, Gold,
 complexes with chelating agent-oligonucleotide conjugates
 7440-58-6D, Hafnium, complexes with chelating
 agent-oligonucleotide conjugates 7440-60-0D, Holmium, complexes with
 chelating agent-oligonucleotide conjugates 7440-62-2D, Vanadium,
 complexes with chelating agent-oligonucleotide conjugates
 7440-64-4D, Ytterbium, complexes with chelating
 agent-oligonucleotide conjugates 7440-65-5D, Yttrium, complexes with
 chelating agent-oligonucleotide conjugates 7440-68-8D, Astatine,
 complexes with chelating agent-oligonucleotide conjugates
 7440-69-9D, Bismuth, complexes with chelating
 agent-oligonucleotide conjugates 7440-74-6D, Indium, complexes with
 chelating agent-oligonucleotide conjugates

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
 (Uses)

(conjugates of metal complexes and oligoribonucleotides which bind
 specifically to selected target structures)

IT 76-83-5, Triphenylmethyl chloride 2776-60-5, Glycylglycine methyl ester
 hydrochloride 4048-33-3, 6-Aminohexanol 4781-83-3 5437-45-6, Benzyl
 bromoacetate 5455-98-1, N-(2,3-Epoxypropyl)phthalimide 6066-82-6,
 N-Hydroxysuccinimide 34805-17-9 34914-36-8 53911-69-6 81186-33-6
 84611-23-4 86030-43-5 114873-37-9,
 1,4,7-Tris(carboxymethyl)-1,4,7,10-tetraazacyclododecane 116919-17-6
 121557-52-6 121806-83-5 122497-12-5 131274-04-9
 133975-85-6 137174-07-3 155269-64-0 157022-76-9 159639-90-4
 164575-76-2 174701-10-1 174701-34-9 174701-35-0 175387-46-9
 175387-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(conjugates of metal complexes and oligoribonucleotides which bind
 specifically to selected target structures)

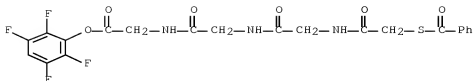
IT 121557-52-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(conjugates of metal complexes and oligoribonucleotides which bind
 specifically to selected target structures)

RN 121557-52-6 CAPLUS

CN Glycine, N-[2-(benzoylthio)acetyl]glycylglycyl-, 2,3,5,6-tetrafluorophenyl
 ester (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

L22 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:637254 CAPLUS Full-text

DOCUMENT NUMBER: 123:74430

ORIGINAL REFERENCE NO.: 123:12947a,12950a

TITLE: Reduction of inflammation and pyrexia in the rat by oral administration of SDZ 224-015, an inhibitor of the interleukin-1 β converting enzyme

AUTHOR(S): Elford, P. R.; Heng, R.; Revesz, L.; MacKenzie, A. R.

CORPORATE SOURCE: Sandoz Res. Inst. Berne Ltd., Bern, CH-3001, Switz.

SOURCE: British Journal of Pharmacology (1995), 115(4), 601-6

CODEN: BJPCBM; ISSN: 0007-1188

PUBLISHER: Macmillan Scientific & Medical Division

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The aim of this study was to determine whether a synthetic inhibitor of the interleukin-1 β converting enzyme (ICE) displays oral activity in models of inflammation. To this end, the ICE inhibitor, SDZ 224-015, was examined in rat paw edema, pyrexia and nociception tests. SDZ 224-015 (0.3-300 μ g kg⁻¹) potentially reduced carrageenin-induced paw edema, with an oral ED50 of approx. 25 μ g kg⁻¹. This effect was independent of endogenous glucocorticoid, as shown by retention of activity upon adrenalectomy. Pyrexia induced by lipopolysaccharide (0.1 mg kg⁻¹ s.c.) of by interleukin-1 β (100 ng i.v.) was also reduced, over a similar dose-range to edema (oral ED50s 11 μ g kg⁻¹ and 4 μ g kg⁻¹ resp.). SDZ 224-015 (0.2-5 mg kg⁻¹, p.o.) displayed analgesic activity in the Randall-Selitto yeast-inflamed paw pressure test, significant at a dose of 1 mg kg⁻¹, p.o. Thus, SDZ 224-015 has potent oral activity in several acute models for inflammation, suggesting that ICE inhibitors may constitute a novel type of anti-inflammatory agent.

CC 1-7 (Pharmacology)

IT 161511-45-1, SDZ 224-015

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(reduction of inflammation and pyrexia by SDZ 224-015, an inhibitor of the interleukin-1 β converting enzyme)

IT 161511-45-1, SDZ 224-015

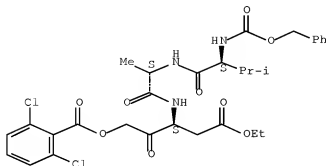
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(reduction of inflammation and pyrexia by SDZ 224-015, an inhibitor of the interleukin-1 β converting enzyme)

RN 161511-45-1 CAPLUS

CN L-Alaninamide, N-[(phenylmethoxy)carbonyl]-L-valyl-N-[(1S)-3-[(2,6-dichlorobenzoyl)oxy]-1-(2-ethoxy-2-oxoethyl)-2-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

L22 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:258755 CAPLUS Full-text

DOCUMENT NUMBER: 122:133744

ORIGINAL REFERENCE NO.: 122:24959a, 24962a

TITLE: Kinetics of peptide synthesis studied by fluorescence of fluorophenyl esters

AUTHOR(S): Permyakov, Eugene A.; Medvedkin, Vyacheslav N.; Klimenko, Lyubov V.; Mitin, Yuri V.; Permyakov, Serge E., Jr.

CORPORATE SOURCE: Inst. Theoretical Exp. Biophysics, Russian Acad. Sci., Moscow, Russia

SOURCE: International Journal of Peptide & Protein Research (1994), 44(5), 472-6

CODEN: IJPPC3; ISSN: 0367-8377

PUBLISHER: Munksgaard

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The kinetics of peptide coupling of protected alanine active esters Boc-Ala-OR [R = 2,3,5-trifluorophenyl (Trf), p-chlorotetrafluorophenyl (Tfc), pentafluorophenyl (Pfp), 2,3,5,6-tetrafluorophenyl (Tfp)] with leucine amide and valine Me ester have been measured using changes in fluorophenyl chromophore emission at 375 nm. The kinetic data cannot be well fit with a simple second-order reaction scheme. Measurements of the reaction kinetics at different concns. of the reagents showed that the expression for the reaction rate is $V = kCN_0.5CAE^{1.5}$, in which k is the reaction rate constant, CN is the concentration of either H-Leu-NH₂ or H-Val-OMe, and CAE is the concentration of the fluorophenyl ester. This reaction equation indicates a complex, probably chain-like, reaction mechanism. The order of reactivity for these active esters with H-Val-OMe is Tfc > Pfp > Tfp > Trf. The apparent rate constant, k, for the reaction with H-Leu-NH₂ is higher than that for the reaction with H-Val-OMe.

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 22

IT Amino acids, reactions

RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent) (esters, fluorophenyl esters; kinetics of peptide synthesis via fluorescence of fluorophenyl esters)

IT Kinetics of amidation

(peptide coupling, kinetics of peptide synthesis via fluorescence of fluorophenyl esters)

IT 6306-52-1, Valine methyl ester hydrochloride 10466-61-2, Leucine amide hydrochloride 50903-48-5, N-tert-Butoxycarbonylalanine pentafluorophenyl ester 125363-80-6, N-tert-Butoxycarbonylalanine 2,3,5,6-tetrafluorophenyl ester 131526-06-2, L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, 4-chloro-2,3,5,6-tetrafluorophenyl ester 160948-60-7

RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent) (kinetics of peptide synthesis via fluorescence of fluorophenyl esters)

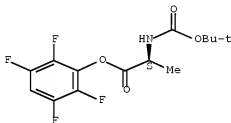
IT 125363-80-6, N-tert-Butoxycarbonylalanine 2,3,5,6-tetrafluorophenyl ester

RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent) (kinetics of peptide synthesis via fluorescence of fluorophenyl esters)

RN 125363-80-6 CAPLUS

CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, 2,3,5,6-tetrafluorophenyl ester (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L22 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:264340 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 120:264340

ORIGINAL REFERENCE NO.: 120:46661a,46664a

TITLE: Inactivation of Interleukin-1 β Converting Enzyme by Peptide (Acyloxy)methyl Ketones

AUTHOR(S): Thornberry, Nancy A.; Peterson, Erin P.; Zhao, Justin J.; Howard, Andrew D.; Griffin, Patrick R.; Chapman, Kevin T.

CORPORATE SOURCE: Department of Enzymology Medicinal Chemical Research, Merck Research Laboratories, Rahway, NJ, 07065, USA

SOURCE: Biochemistry (1994), 33(13), 3934-40

CODEN: BICHAW; ISSN: 0006-2960

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Interleukin-1 β converting enzyme (ICE) is a cysteine protease in monocytes that is essential for the proteolytic activation of interleukin-1 β , an important mediator of inflammation. Peptide (acyloxy)methyl ketones designed with the appropriate peptide recognition sequence (Ac-Tyr-Val-Ala-Asp-CH₂OC(O)Ar) are potent, competitive, irreversible inhibitors. Mass spectrometry and carboxy anal. indicate that inactivation proceeds through expulsion of the carboxylate leaving group to form a thiomethyl ketone with

the active site Cys285. The second-order inactivation rate is independent of leaving group pKa, with an approx. value of 1×10^6 M⁻¹ s⁻¹. This rate constant is directly proportional to the reaction macroviscosity, indicating that the rate-limiting step in inactivation is association of enzyme and inhibitor, rather than any bond-forming reactions. Affinity labeling of THP.1 monocytic cell cytosol with a biotinylated tetrapeptide (acyloxy)methyl ketone for 28 half-lives resulted in labeling of only the converting enzyme, demonstrating the selectivity of these inhibitors. These inhibitors are relatively inert toward other bionucleophiles such as glutathione ($<5 \times 10^{-4}$ M⁻¹ s⁻¹), making them excellent candidates for in vivo studies of enzyme inhibition.

CC 7-3 (Enzymes)

Section cross-reference(s): 1

IT 151272-16-1P 151272-17-2P 154674-81-4P
 154674-82-5P 154674-83-6P 154674-84-7P 154674-85-8P
 154674-86-9P 154674-87-0P 154674-88-1P 154674-89-2P 154674-90-5P
 154674-91-6P 154719-25-2P 154719-26-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of and human interleukin-1 β -converting enzyme inhibition by, structure in relation to)

IT 151272-16-1P 154674-82-5P 154719-25-2P
 154719-26-3P

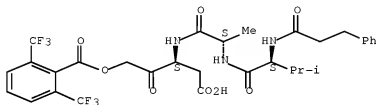
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of and human interleukin-1 β -converting enzyme inhibition by, structure in relation to)

RN 151272-16-1 CAPLUS

CN L-Alaninamide, N-(1-oxo-3-phenylpropyl)-L-valyl-N-[(1S)-3-[[2,6-bis(trifluoromethyl)benzoyl]oxy]-1-(carboxymethyl)-2-oxopropyl]- (9CI)
 (CA INDEX NAME)

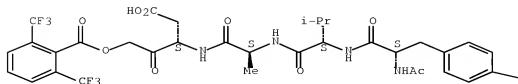
Absolute stereochemistry.



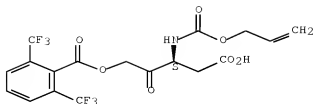
RN 154674-82-5 CAPLUS

CN L-Alaninamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-3-[[2,6-bis(trifluoromethyl)benzoyl]oxy]-1-(carboxymethyl)-2-oxopropyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A



OS.CITING REF COUNT: 185 THERE ARE 185 CAPLUS RECORDS THAT CITE THIS RECORD (187 CITINGS)

L22 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:77637 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 120:77637

ORIGINAL REFERENCE NO.: 120:13989a,13992a

TITLE: Preparation of peptides useful for inhibiting IL-1 β release

INVENTOR(S): Heng, Richard; Payne, Trevor Glyn; Revesz, Laszlo; Weidmann, Beat

PATENT ASSIGNEE(S): Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H., Austria; Sandoz-Patent-G.m.b.H.; Sandoz Ltd.

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9309135	A1	19930513	WO 1992-EP2472	19921029 <--
W: AU, CA, CS, FI, HU, JP, KR, NO, PL, RO, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
AU 9228852	A	19930607	AU 1992-28852	19921029 <--
EP 611375	A1	19940824	EP 1992-922580	19921029 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE				
JP 07500828	T	19950126	JP 1992-508146	19921029 <--
HU 68200	A2	19950529	HU 1994-1303	19921029 <--
ZA 9208511	A	19940504	ZA 1992-8511	19921104 <--
CN 1094730	A	19941109	CN 1993-105500	19930503 <--
NO 9401629	A	19940704	NO 1994-1629	19940503 <--
FI 9402061	A	19940504	FI 1994-2061	19940504 <--
PRIORITY APPLN. INFO.:			GB 1991-23326	A 19911104 <--
			WO 1992-EP2472	A 19921029 <--

OTHER SOURCE(S): MARPAT 120:77637

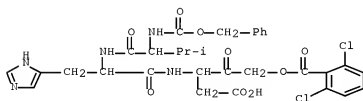
AB R(A1A2)nA3A4XA5 [R = H, protecting group, (substituted) PhCH2O; n = 0, 1; A1 = Val, Leu, Ala, Ile, trimethylsilylalanyl; A2 = Phe, Tyr; A3 = bond, A1, (substituted) C6H4CO; A4 = bond, NR1CHY1CO; Y1 = α -amino acid residue, (protected) dialkylaminoethyl, imidazol-2-ylmethyl, pyrazol-3-ylmethyl, (substituted) PhCH2, etc.; A3A4 = NHCHR1aCONR1CHY1CO; R1aR1 = (CH2)2-5; X = NR6CH(CH2CO2H)CO, NR6CH(CH2CO2H)COCO, NR6CH(CH2CO2H)CH:NNHCO, NR6CH(CH2CO2H)CH(OH), NR6CH(CH2CO2H)COCH:CH, etc.; R6 = H, alkyl; A5 = H, CF3, Z1Z2Y2, etc.; Z1, Z2 = bond, α -amino acid residue; Y2 = NH2(di)alkylamino, heterocyclyl] were prepared. Thus, Z-Val-Met-Asp-H (preparation given) was stirred with semicarbazide hydrochloride and pyridine in MeOH to give the semicarbazone, which was stirred with H2NNHCO-Pro-Val-NMe2 in

MeOH/pyridine/H₂O/HCl to give Z-Val-Met-NHCH(CH₂CO₂H)CH:NNHCO-Pro-Val-NMe₂.

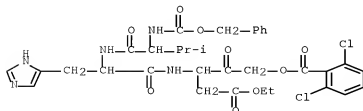
Certain I inhibited IL-1 β release from THP-1 cells (IC₅₀ = .apprx.0.01-100 μ M), while leaving IL-6, TNF- α , PGE₂, and DNA levels unaffected. I

significantly inhibited carrageenan-induced paw edema in rats at 0.02-5 mg/kg orally.

IC	ICM C07K005-04				
	ICS C07K005-06; A61K037-02				
CC	34-3 (Amino Acids, Peptides, and Proteins)				
	Section cross-reference(s): 1				
IT	151544-21-7P	151544-22-8P	151544-23-9P	151544-24-0P	151544-25-1P
	151544-26-2P	151544-27-3P	151544-28-4P	151544-29-5P	151544-30-8P
	151544-31-9P	151544-32-0P	151544-33-1P		
	151544-34-2P	151544-35-3P	151544-36-4P		
	151544-37-5P	151544-38-6P	151544-39-7P	151544-40-0P	
	151544-41-1P	151544-42-2P	151544-43-3P		
	151544-44-4P	151594-00-2P	151594-01-3P		
	RL: SPN (Synthetic preparation); PREP (Preparation)				
	(preparation of, as inhibitor of IL-1 β release)				
IT	151544-31-9P	151544-32-0P	151544-35-3P		
	151544-36-4P	151544-40-0P	151544-42-2P		
	151544-43-3P	151544-44-4P	151594-00-2P		
	151594-01-3P				
	RL: SPN (Synthetic preparation); PREP (Preparation)				
	(preparation of, as inhibitor of IL-1 β release)				
RN	151544-31-9 CAPLUS				
CN	L-Histidinamide, N-[(phenylmethoxy)carbonyl]-D-valyl-N-[1-(carboxymethyl)-3-[(2,6-dichlorobenzoyl)oxy]-2-oxopropyl]-, (S)- (9CI) (CA INDEX NAME)				



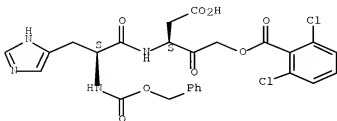
RN	151544-32-0 CAPLUS
CN	L-Histidinamide, N-[(phenylmethoxy)carbonyl]-L-valyl-N-[3-[(2,6-dichlorobenzoyl)oxy]-1-(2-ethoxy-2-oxoethyl)-2-oxopropyl]-, (S)- (9CI) (CA INDEX NAME)



RN 151544-35-3 CAPLUS

CN Benzoic acid, 2,6-dichloro-, 4-carboxy-3-[[3-(1H-imidazol-4-yl)-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-2-oxobutyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

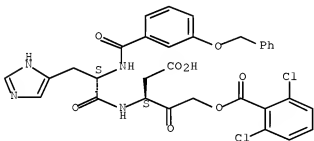
Absolute stereochemistry.



RN 151544-36-4 CAPLUS

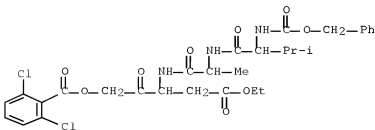
CN Benzoic acid, 2,6-dichloro-, 4-carboxy-3-[[3-(1H-imidazol-4-yl)-1-oxo-2-[[3-(phenylmethoxy)benzoyl]amino]propyl]amino]-2-oxobutyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 151544-40-0 CAPLUS

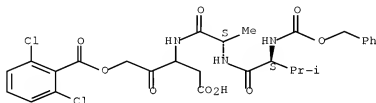
CN L-Alaninamide, N-[(phenylmethoxy)carbonyl]-L-valyl-N-[3-[(2,6-dichlorobenzoyl)oxy]-1-(2-ethoxy-2-oxoethyl)-2-oxopropyl]-, (R)- (9CI) (CA INDEX NAME)



RN 151544-42-2 CAPLUS

CN L-Alaninamide, N-[(phenylmethoxy) carbonyl]-L-valyl-N-[1-(carboxymethyl)-3-
[(2,6-dichlorobenzoyl)oxy]-2-oxopropyl]- (9CI) (CA INDEX NAME)

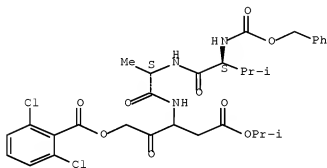
Absolute stereochemistry.



RN 151544-43-3 CAPLUS

CN L-Alaninamide, N-[(phenylmethoxy) carbonyl]-L-valyl-N-[3-[(2,6-
dichlorobenzoyl)oxy]-1-[2-(1-methylethoxy)-2-oxoethyl]-2-oxopropyl]- (9CI)
(CA INDEX NAME)

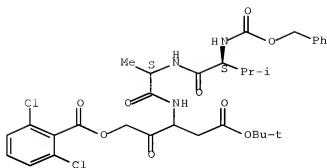
Absolute stereochemistry.



RN 151544-44-4 CAPLUS

CN L-Alaninamide, N-[(phenylmethoxy) carbonyl]-L-valyl-N-[3-[(2,6-
dichlorobenzoyl)oxy]-1-[2-(1,1-dimethylethoxy)-2-oxoethyl]-2-oxopropyl]-
(9CI) (CA INDEX NAME)

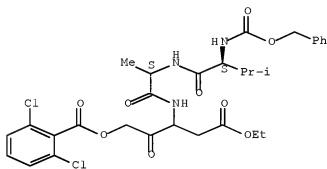
Absolute stereochemistry.



RN 151594-00-2 CAPLUS

CN L-Alaninamide, N-[(phenylmethoxy)carbonyl]-L-valyl-N-[3-[(2,6-dichlorobenzoyl)oxy]-1-(2-ethoxy-2-oxoethyl)-2-oxopropyl]- (9CI) (CA INDEX NAME)

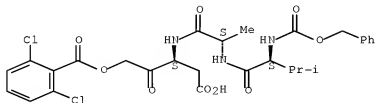
Absolute stereochemistry.



RN 151594-01-3 CAPLUS

CN L-Alaninamide, N-[(phenylmethoxy)carbonyl]-L-valyl-N-[(1S)-1-((carboxymethyl)-3-[(2,6-dichlorobenzoyl)oxy]-2-oxopropyl)-1-phenylethoxy]propan-1-yl)propan-1-yl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1991:467645 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 115:67645

ORIGINAL REFERENCE NO.: 115:11587a,11590a

TITLE: Thiotriaza chelating compounds for metal-radionuclide labeled proteins, carbohydrates, and glycoproteins for diagnosis and therapy

INVENTOR(S): Fritzberg, Alan R.; Kasina, Sudhakar; Rao, Tripuraneni N.; Vander-Heyden, Jean Luc; Srinivasan, Ananthachari

PATENT ASSIGNEE(S): NeoRx Corp., USA

SOURCE: U.S., 12 pp. Cont.-in-part of U.S. Ser. No. 31,440, abandoned

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

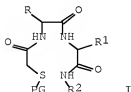
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4965392	A	19901023	US 1988-172004	19880323 <--
DK 8801654	A	19880927	DK 1988-1654	19880325 <--
AU 8813751	A	19880929	AU 1988-13751	19880325 <--
AU 619738	B2	19920206		
CN 1034545	A	19890809	CN 1988-102772	19880325 <--
CA 1328147	C	19940329	CA 1988-562452	19880325 <--
JP 01019058	A	19890123	JP 1988-70902	19880326 <--
US 5091514	A	19920225	US 1990-494191	19900315 <--
US 5681927	A	19971028	US 1993-75305	19930611 <--
US 5616692	A	19970401	US 1995-436961	19950508 <--
PRIORITY APPLN. INFO.:		US 1987-31440	B2 19870326	<--
		US 1988-172004	A3 19880323	<--
		US 1990-494076	B1 19900315	<--
		US 1990-494191	A1 19900315	<--
		US 1991-800535	B1 19911127	<--
		US 1993-152272	B1 19931112	<--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 115:67645

GI



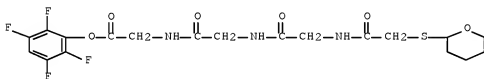
AB N3S multidentate chelates [e.g. I; PG = THP (tetrahydropyranyl), EOE (ethoxyethyl), iso-PrCO, ACM (acetamidomethyl), MOM (methoxymethyl); R = H, CH₂CO₂H, (CH₂)₂CO₂H, (CH₂)₃CO₂TFP (TFP = 2,3,5,6-tetrafluorophenyl); R₁ = H,

CH₂CO₂H; R₂ = CO₂TFP, (CH₂)₂CO₂TFP, CO₂H] are provided for conjugation to polypeptides, carbohydrates, and glycoproteins for use in diagnosis and therapy. Gly-Gly-Gly was reacted with benzoyl-protected thioglycolic acid succinate ester. The product was treated with 99mTc-per technetate to give 90-95%

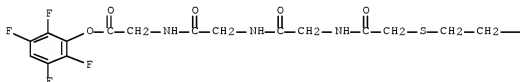
99mTc-mercaptoacetyl-Gly-Gly-Gly(99mTc-MAG3). The complex was esterified with TFP and the ester was conjugated with antimelanoma IgG. 99mTc-MAG3-NRML-05 Fab (labeled Fab fragments of monoclonal anti-melanoma antibody NRML-05) targeted melanoma xenographs in nude mice. Low liver and spleen concns. indicated good excretion patterns. Low stomach levels indicated high stability, since loss of 99mTc as per technetate is seen as a relatively high level of radioactivity in stomach tissue.

- IC ICM C07C069-62
- ICS C07C327-06
- INCL 558254000
- CC 8-9 (Radiation Biochemistry)
- Section cross-reference(s): 34, 78
- ST thiotriaza chelate protein carbohydrate conjugate; radiotherapy
- thiotriaza chelate protein conjugate; diagnosis thiotriaza chelate
- protein conjugate; glycoprotein chelate thiotriaza chelate; melanoma
- antibody technetium chelate conjugate
- IT Melanoma
- (targeting of, with monoclonal antibody labeled with
- technetium-99m-thiotriaza chelate)
- IT Diagnosis
- Radiotherapy
- Scintigraphy
- (targeting proteins and carbohydrates labeled with
- thiotriaza-radionuclide chelates for)
- IT Chelating agents
- (thiotriaza, for labeling proteins and carbohydrates for diagnosis and
- therapy)
- IT Immunoglobulins
- RL: BIOL (Biological study)
- (G, conjugates with technetium-99m thiotriaza chelate, for
- melanoma targeting)
- IT Carbohydrates and Sugars, compounds
- Glycoproteins, specific or class
- Proteins, specific or class
- RL: BIOL (Biological study)
- (conjugates, with thiotriaza-radionuclide chelates, for
- diagnosis and therapy)
- IT Antibodies
- RL: SPN (Synthetic preparation); PREP (Preparation)
- (monoclonal, conjugates with technetium-99m-radiolabeled thiotriaza
- chelate, preparation of and melanoma targeting with)
- IT 121557-36-6 121557-39-9 121557-40-2 121557-41-3
- 121557-42-4 121557-43-5 135154-40-4 135154-42-6
- 135154-43-7
- RL: BIOL (Biological study)
- (as chelating agent for labeling proteins and carbohydrates
- for diagnosis and therapy)
- IT 87-69-4DP, 99Tc complex compds., thiotriaza chelates, monoclonal
- antibody conjugates 14133-76-7DP, glycines complex compds., thiotriaza
- chelates, monoclonal antibody conjugates 135154-41-5DP,
- monoclonal antibody conjugates, radiolabeled with technetium 99m
- RL: SPN (Synthetic preparation); PREP (Preparation)
- (preparation of and melanoma targeting with)
- IT 121557-52-6P
- RL: SPN (Synthetic preparation); PREP (Preparation)

- (preparation of, as chelating agent for labeling proteins and carbohydrates for diagnosis and therapy)
- IT 121557-48-0P 121557-49-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as intermediate in chelating agent preparation)
- IT 121557-52-6DP, 99Tc complex compds., antimelanoma IgG conjugates
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, for melanoma targeting)
- IT 121557-36-6 135154-42-6
 RL: BIOL (Biological study)
 (as chelating agent for labeling proteins and carbohydrates for diagnosis and therapy)
- RN 121557-36-6 CAPLUS
- CN Glycine, N-[N-[N-[(2-ethoxyethyl)thio]acetyl]glycyl]glycyl]-, 2,3,5,6-tetrafluorophenyl ester (9CI) (CA INDEX NAME)



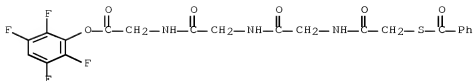
- RN 135154-42-6 CAPLUS
- CN Glycine, N-[N-[N-[(2-ethoxyethyl)thio]acetyl]glycyl]glycyl]-, 2,3,5,6-tetrafluorophenyl ester (9CI) (CA INDEX NAME)



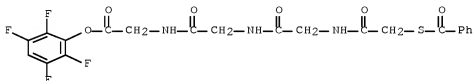
PAGE 1-B

—OEt

- IT 121557-52-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as chelating agent for labeling proteins and carbohydrates for diagnosis and therapy)
- RN 121557-52-6 CAPLUS
- CN Glycine, N-[2-(benzoylthio)acetyl]glycylglycyl-, 2,3,5,6-tetrafluorophenyl ester (CA INDEX NAME)



IT 121557-52-\$DP, 99Tc complex compds., antimelanoma IgG conjugates
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, for melanoma targeting)
 RN 121557-52-6 CAPLUS
 CN Glycine, N-[2-(benzoylthio)acetyl]glycylglycyl-, 2,3,5,6-tetrafluorophenyl
 ester (CA INDEX NAME)

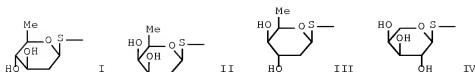


OS.CITING REF COUNT: 38 THERE ARE 38 CAPLUS RECORDS THAT CITE THIS
 RECORD (44 CITINGS)
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1990:135334 CAPLUS Full-text
 DOCUMENT NUMBER: 112:135334
 ORIGINAL REFERENCE NO.: 112:22793a,22796a
 TITLE: Radiolabeling chelating compounds comprising sulfur
 atoms, with metal radionuclides
 INVENTOR(S): Srinivasan, Ananthachari
 PATENT ASSIGNEE(S): NeoRx Corp., USA
 SOURCE: Eur. Pat. Appl., 26 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

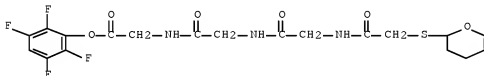
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 300431	A2	19890125	EP 1988-111612	19880719 <--
EP 300431	A3	19900627		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE				
US 5021556	A	19910604	US 1988-212688	19880701 <--
JP 01117857	A	19890510	JP 1988-182003	19880722 <--
PRIORITY APPLN. INFO.:				
			US 1987-76277	A 19870722 <--
			US 1988-212688	A 19880701 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 GI



- AB Chelating compds. comprising ≥ 1 S donor atom attached to a S-protecting group to form a hemithioacetal group ROCR1R2S (R, R1 = alkyl; R2 = H, alkyl) are labeled with radionuclides for use in radiodiagnosis. The S-protecting group is $\text{EtOCH}_2\text{CH}_2$ and the hemithioacetal is EtOCHMeS . I-IV are other suitable hemiacetal groups. 1,3-Dicyclohexylcarbodiimide was added to a solution of 4,5-bis[S-(1-ethoxyethyl)thioacetamido]pentanoic acid (preparation given) and 2,3,5,6-tetrafluorophenol in THF, to give 2,3,5,6-tetrafluorophenyl 4,5-bis[S-(1-ethoxyethyl)thioacetamido]pentanoate. Radiolabeling with e.g. ^{99m}Tc is conducted at acidic pH and the protecting groups are displaced during the reaction, with the formation of bonds between the S atom and radionuclide.
- IC ICM A61K049-02
- CC 8-9 (Radiation Biochemistry)
- ST Section cross-reference(s): 63
- ST chelating compd radiolabeling diagnostic agent
- IT Radioelements, uses and miscellaneous
- RL: USES (Uses)
- (chelating agents labeled with, sulfur-containing, for diagnostic and therapeutic uses)
- IT Radiotherapy
- (radiolabeled sulfur-containing chelators for)
- IT Chelating agents
- (sulfur-containing, radiolabeled, for therapeutic and diagnostic uses)
- IT Diagnosis
- (radio-, radiolabeled sulfur-containing chelators for)
- IT 13982-38-2, reactions 14119-06-3, reactions 14133-76-7, reactions 14993-65-8, reactions 15690-69-4, reactions 17239-87-1, reactions
- RL: RCT (Reactant); RACT (Reactant or reagent)
- (chelating agent labeling with, for therapeutic and diagnostic uses)
- IT 6398-09-0P 105655-72-9P 125488-64-4P 125488-66-6P 125488-67-7P 125488-73-5P 125503-35-7P
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and reaction of, for diagnostic and therapeutic radiolabeled chelator preparation)
- IT 125488-72-4P
- RL: SPN (Synthetic preparation); PREP (Preparation)
- (preparation of, as chelating agent for diagnostic and therapeutic radionuclides)
- IT 121557-36-6P 125488-74-6P 125488-75-7P 125488-76-8P 125488-77-9P
- RL: SPN (Synthetic preparation); PREP (Preparation)
- (preparation of, as chelating agent, for diagnostic and therapeutic radionuclides)
- IT 125488-70-2P
- RL: SPN (Synthetic preparation); PREP (Preparation)
- (preparation of, as chelating agent, for diagnostic and

therapeutic radionuclides)
 IT 125488-81-5P 125488-82-6P 125517-87-5P 125517-88-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as chelating agent, for therapeutic and
 diagnostic radionuclides)
 IT 23288-60-0
 RL: BIOL (Biological study)
 (radiolabeling by, of sulfur-containing chelating agent)
 IT 125845-39-8
 RL: BIOL (Biological study)
 (radiolabeling by, of sulfur-containing chelating agents)
 IT 121557-36-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as chelating agent, for diagnostic and
 therapeutic radionuclides)
 RN 121557-36-6 CAPLUS
 CN Glycine, N-[N-[N-[[[tetrahydro-2H-pyran-2-yl]thio]acetyl]glycyl]glycyl]-,
 2,3,5,6-tetrafluorophenyl ester (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
 (5 CITINGS)

L22 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1989:453446 CAPLUS Full-text
 DOCUMENT NUMBER: 111:53446
 ORIGINAL REFERENCE NO.: 111:9017a,9020a
 TITLE: Metal-radionuclide-labeled proteins and glycoproteins
 and their preparation for diagnosis and therapy
 INVENTOR(S): Fritzberg, Alan R.; Kasina, Sudhakar; Vanderheyden,
 Jean Luc; Srinivasan, Ananthachari
 PATENT ASSIGNEE(S): NeoRx Corp., USA
 SOURCE: Eur. Pat. Appl., 20 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 284071	A2	19880928	EP 1988-104755	19880324 <--
EP 284071	A3	19900516		
EP 284071	B1	19940608		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 106898	T	19940615	AT 1988-104755	19880324 <--
DK 8801654	A	19880927	DK 1988-1654	19880325 <--
AU 8813751	A	19880929	AU 1988-13751	19880325 <--
AU 619738	B2	19920206		
CN 1034545	A	19890809	CN 1988-102772	19880325 <--
CA 1328147	C	19940329	CA 1988-562452	19880325 <--

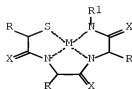
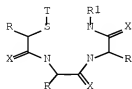
JP 01019058
PRIORITY APPLN. INFO.:

A 19890123

JP 1988-70902
US 1987-31440
EP 1988-104755

19880326 <--
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19880324 <--

OTHER SOURCE(S): MARPAT 111:53446
GI



AB Metal thiotriaza chelating compds. I [T = H, S protecting group; X = H₂, O; R = H, nonalkyl amino acid side chain (≠ cysteine), alkyl, geminal dialkyl, (CH₂)_nZ; Z = CO₂H, conjugation group, targeting compound; n = 1-4; R₁ = H₂, (CH₂)_nZ, polar group(s) substituted alkyl; where the compound has ≥1 (CH₂)_nZ group] and chelates II (M = radionuclide; the rest as above) are prepared and conjugated to proteins, glycoproteins, carbohydrates, or their fragments for use in diagnosis and therapy. Gly-Gly-Gly was reacted with benzoyl-protected thioglycolic acid succinimide ester. The product was treated with ^{99m}Tc-pertechnetate to give 90-95% ^{99m}Tc-mercapto-Gly-Gly-Gly. The complex was esterified with 2,3,5,6-tetrafluorophenol and the ester was conjugated with antineoplasia IgG. Nude mice bearing melanoma xenographs were injected with the labeled conjugate. After 20 h the tumor had the highest percentage dose per g tissue. Low liver and spleen concns. (0.26, each) indicated good excretion patterns. Low stomach levels (0.23) indicated high stability, since loss of ^{99m}Tc as pertechnetate is seen as relatively high levels of radioactivity in stomach tissue.

IC ICM C07K015-00

ICS C07K005-08; C07B059-00; A61K043-00; A61K049-02; G01N033-534
CC 8-9 (Radiation Biochemistry)

Section cross-reference(s): 34, 78

ST radionuclide thiotriaza chelate protein conjugate diagnosis;
glycoprotein radionuclide thiotriaza chelate conjugate; carbohydrate
radionuclide thiotriaza chelate conjugate; melanoma antibody technetium
chelate conjugate

IT Glycols, biological studies

RL: SPN (Synthetic preparation); PREP (Preparation)
(cleaving agents for, in glycoprotein-thiotriaza chelator
conjugates preparation)

IT Neoplasm
(diagnosis of, antibody-thiotriaza-radionuclide chelate
conjugates for)

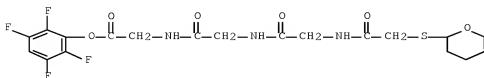
IT Cell
(targeting agent for, conjugates with thiotriaza-radionuclide
chelate, for diagnosis and therapy)

IT Neoplasm inhibitors
(targeting compound-thiotriaza-radionuclide chelate conjugates)

IT Diagnosis
(targeting compound-thiotriaza-radionuclide chelate conjugates
for)

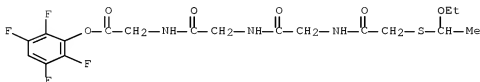
IT Melanoma
(targeting of, with IgG conjugates with technetium-^{99m}-thiotriaza

- chelate)
- IT Immunoglobulins
RL: BIOL (Biological study)
(G, conjugates, with technetium-99m-thiotriaza chelate, melanoma targeting with)
- IT Carbohydrates and Sugars, compounds
Glycoproteins, specific or class
Peptides, compounds
Proteins, specific or class
RL: BIOL (Biological study)
(conjugates, with thiotriaza-radionuclide chelates, for diagnosis and therapy)
- IT Antibodies
RL: BIOL (Biological study)
(monoclonal, conjugates with thiotriaza-radionuclide chelates, for diagnosis and therapy)
- IT 121557-36-6 121557-37-7 121557-38-8 121557-39-9
121557-40-2 121557-41-3 121557-42-4 121557-43-5 121557-44-6
RL: BIOL (Biological study)
(as chelating agents for labeling proteins and glycoproteins for diagnosis and therapy)
- IT 10043-49-9D, Gold-198, thiotriaza chelates, conjugates
13981-25-4D, Copper-64, thiotriaza chelates, conjugates
14378-26-8D, Rhenium-188, thiotriaza chelates, conjugates
14687-25-3D, Lead-203, thiotriaza chelates, conjugates
14913-49-6D, Bismuth-212, thiotriaza chelates, conjugates
14981-64-7D, Palladium-109, thiotriaza chelates, conjugates
14998-63-1D, Rhenium-186, thiotriaza chelates, conjugates
15092-94-1D, Lead-212, thiotriaza chelates, conjugates
15757-86-5D, Copper-67, thiotriaza chelates, conjugates
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(for diagnosis and therapy)
- IT 14133-76-7D, Technetium-99, thiotriaza chelates, conjugates
RL: BIOL (Biological study)
(metastable, for diagnosis and therapy)
- IT 121557-52-6P 121557-53-7P 121557-56-0P
121557-58-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn of)
- IT 121557-57-1DP, technetium-99 complexes
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reaction of, with antimelanoma IgG)
- IT 121557-36-6 121557-37-7
RL: BIOL (Biological study)
(as chelating agents for labeling proteins and glycoproteins for diagnosis and therapy)
- RN 121557-36-6 CAPLUS
- CN Glycine, N-[N-[N-[[[(tetrahydro-2H-pyran-2-yl)thio]acetyl]glycyl]glycyl]-, 2,3,5,6-tetrafluorophenyl ester (9CI) (CA INDEX NAME)



RN 121557-37-7 CAPLUS

CN Glycine, N-[N-[N-[[1-(ethoxyethyl)thio]acetyl]glycyl]glycyl]-, 2,3,5,6-tetrafluorophenyl ester (9CI) (CA INDEX NAME)

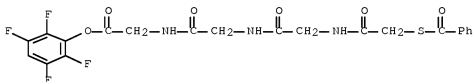


IT 121557-52-6P 121557-53-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn of)

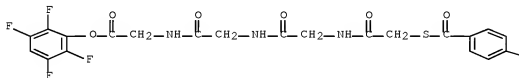
RN 121557-52-6 CAPLUS

CN Glycine, N-[2-(benzoylthio)acetyl]glycylglycyl-, 2,3,5,6-tetrafluorophenyl ester (CA INDEX NAME)



RN 121557-53-7 CAPLUS

CN Glycine, N-[N-[N-[[4-[(1,1-dimethylethoxy)carbonyl]benzoyl]thio]acetyl]glycyl]glycyl]-, 2,3,5,6-tetrafluorophenyl ester (9CI) (CA INDEX NAME)

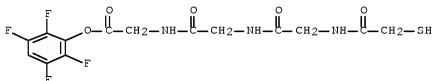


PAGE 1-A

PAGE 1-B



IT 121557-57-IDP, technetium-99 complexes
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and reaction of, with antimelanoma IgG)
 RN 121557-57-1 CAPLUS
 CN Glycine, N-[N-[N-(mercaptoacetyl)glycyl]glycyl]-,
 2,3,5,6-tetrafluorophenyl ester (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS
 RECORD (15 CITINGS)

=> d his ful

(FILE 'HOME' ENTERED AT 11:29:10 ON 28 DEC 2009)

FILE 'CAPLUS' ENTERED AT 11:29:16 ON 28 DEC 2009

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 PRN)

D SCA TI

L2 1 SEA SPE=ON ABB=ON PLU=ON L1 AND WINN D?/AU
 SEL RN

FILE 'REGISTRY' ENTERED AT 11:29:52 ON 28 DEC 2009

L3 22 SEA SPE=ON ABB=ON PLU=ON (10436-25-6/BI OR 118253-03-5/BI
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 254751-09-2/BI OR 254751-10-5/BI OR 5545-52-8/BI OR 681447-85-8
 /BI OR 681447-86-9/BI OR 681447-88-1/BI OR 681447-89-2/BI OR
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 E BIOTIN/CN

L4 1 SEA SPE=ON ABB=ON PLU=ON BIOTIN/CN
 D SCA

E DIGOXIGENIN/CN

L5 1 SEA SPE=ON ABB=ON PLU=ON DIGOXIGENIN/CN

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      E MALTOSE/CN
L6      1 SEA SPE=ON ABB=ON PLU=ON MALTOSE/CN
      D SCA
      E PHENYLARSENATE/CN
L7      1 SEA SPE=ON ABB=ON PLU=ON "PHENYLARSENIC DICHLORIDE"/CN
      D SCA
      E OLIGOHISTIDINE/CN
      E 2,4-DINITROBENZENE/CN
      D SCA
      E DETHIOBIOTIN/CN
L8      1 SEA SPE=ON ABB=ON PLU=ON DETHIOBIOTIN/CN
      D SCA

FILE 'STINGUIDE' ENTERED AT 12:10:52 ON 28 DEC 2009

FILE 'REGISTRY' ENTERED AT 12:32:58 ON 28 DEC 2009
L9      STR
L10     5 SEA SSS SAM L9
L11     1066 SEA SSS FUL L9

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L12     198 SEA SPE=ON ABB=ON PLU=ON L11

FILE 'REGISTRY' ENTERED AT 12:50:49 ON 28 DEC 2009
L13     14 SEA SPE=ON ABB=ON PLU=ON L11 AND L3
L14     STR
L15     50 SEA SSS SAM L14
L16     47888 SEA SSS FUL L14

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L17     10 SEA SPE=ON ABB=ON PLU=ON L11 AND L16
L18     1 SEA SPE=ON ABB=ON PLU=ON L17 AND L1
      D HITSTR

FILE 'REGISTRY' ENTERED AT 13:29:10 ON 28 DEC 2009

FILE 'CAPLUS' ENTERED AT 13:29:16 ON 28 DEC 2009
L19     141 SEA SPE=ON ABB=ON PLU=ON L12 AND (PY<2003 OR PRY<2003 OR
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      D SCA L2
L20     3 SEA SPE=ON ABB=ON PLU=ON L19 AND ?FLUORES?

FILE 'REGISTRY' ENTERED AT 13:30:46 ON 28 DEC 2009
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FILE 'CAPLUS' ENTERED AT 13:30:46 ON 28 DEC 2009
L21     26 SEA SPE=ON ABB=ON PLU=ON L19 AND (?BIOTIN? OR ?GOXIGEN? OR
      ?MALTOS? OR ?OLIGOHIST? OR ?DINITROBENZ? OR ?ARSEN? OR
      ?CHELAT? OR ?POLYPEP? OR DNA OR SSDNA OR DSDNA OR ?SACCHARID?
      OR HAPTEN? OR GLUTATHION? OR ?AVIDIN?)
L22     33 SEA SPE=ON ABB=ON PLU=ON L20 OR L21 OR L17

FILE 'CAPLUS' ENTERED AT 13:33:51 ON 28 DEC 2009
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      D L22 IBIB ABS HITIND HITSTR TOT

FILE HOME

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FILE CAPLUS

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FILE COVERS 1907 - 28 Dec 2009 VOL 152 ISS 1
FILE LAST UPDATED: 25 Dec 2009 (20091225/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

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DICTIONARY FILE UPDATES: 27 DEC 2009 HIGHEST RN 1198748-35-4

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FILE STNGUIDE

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LAST RELOADED: Dec 25, 2009 (20091225/UP).